



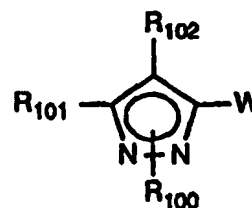
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(21) International Application Number: PCT/EP95/02435 (22) International Filing Date: 22 June 1995 (22.06.95) (30) Priority Data: 2151/94-0 5 July 1994 (05.07.94) CH (71) Applicant (for all designated States except US): CIBA-GEIGY AG [CH/CH]; Klybeckstrasse 141, CH-4002 Basle (CH). (72) Inventors; and (75) Inventors/Applicants (for US only): NEBEL, Kurt [CH/CH]; Baselweg 32, CH-4146 Hochwald (CH). BRUNNER, Hans-Georg [CH/CH]; Wannenstrasse 14, CH-4415 Lausen (CH). PISSIOTAS, Georg [DE/DE]; Am Sonnenrain 71, D-79539 Lörrach (DE). (74) Common Representative: CIBA-GEIGY AG; Patentabteilung, Klybeckstrasse 141, CH-4002 Basle (CH).		(81) Designated States: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ, UG). Published With international search report.	

(54) Title: PYRAZOLE DERIVATIVES AS HERBICIDES

(57) Abstract

Compounds of formula (I) in which the substituents W, R₁₀₀, R₁₀₁ and R₁₀₂ are as defined in claim 1, and the pyrazole N-oxides, salts, complexes and stereoisomer of the compounds of formula (I) have good pre- and post-emergence selective herbicidal properties. The preparation of these compounds and their use as herbicidal active compounds are described.



(I)

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PYRAZOLE DERIVATIVES AS HERBICIDES

The present invention relates to novel herbicidally active pyrazole derivatives, processes for their preparation, compositions which comprise these compounds and their use for control of weeds, in particular in crops of useful plants, or for inhibiting plant growth.

Pyrazole compounds having a herbicidal action are known and are described, for example, in JP-A-03 093 774, JP-A-02 300 173 and JP-A-03 163 063.

Novel pyrazole derivatives having herbicidal and growth-inhibiting properties have now been found.

The present invention thus relates to compounds of the formula I



in which

R₁₀₀ is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₆alkyl, C₃-C₆alkenyl, C₄-C₈cycloalkenyl, C₄-C₈cycloalkenyl-C₁-C₆alkyl, C₃-C₆alkynyl, phenyl, phenyl-C₁-C₆alkyl or cyano, where the groups listed for R₁₀₀, with the exception of hydrogen and cyano, can be substituted by halogen, C₁-C₆alkyl, C₁-C₆halogenoalkyl, cyano, nitro, -COR₃, -X₃R₀₄, -COR₈, -NR₅₆R₅₇ or -NR₅₆OR₅₇, in which R₅₆ and R₅₇ independently of one another are hydrogen, C₁-C₈alkyl, C₁-C₈halogenoalkyl, C₃-C₈alkenyl, C₃-C₈halogenoalkenyl, C₃-C₈alkynyl, C₁-C₄alkoxy-C₁-C₈alkyl, cyano-C₁-C₈alkyl, C₁-C₈alkoxycarbonyl-C₁-C₄alkyl, C₃-C₇cycloalkyl, C₃-C₇cycloalkyl-C₁-C₄alkyl, benzyl, C₁-C₄alkyl which is substituted by -N-morpholino, -N-thiomorpholino or -N-piperazino, di-C₁-C₄alkylamino-C₁-C₄alkyl, C₁-C₄alkylamino-carbonyl-C₁-C₄alkyl, di-C₁-C₄alkylaminocarbonyl-C₁-C₄alkyl, C₁-C₄alkoxycarbonyl or C₁-C₄alkylcarbonyl; or

R₅₆ and R₅₇ together complete a 5-, 6- or 7-membered carbo- or heterocyclic ring;

R₃ is halogen, -X₄-R₅, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₂-C₄-halogenoalkylamino, di-C₂-C₄halogenoalkylamino, C₁-C₄alkoxy-C₂-C₄alkylamino, di-C₁-C₄alkoxy-C₂-C₄alkylamino, C₃- or C₄alkenylamino, diallylamino, -N-pyrrolidino,

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-N-piperidino, -N-morpholino, -N-thiomorpholino, -N-piperazino or -O-N=C(CH₃)-CH₃;
in which

X₄ is oxygen or sulfur; and

R₅ is hydrogen, C₁-C₁₀alkyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₂-C₈halogenoalkyl, C₁-C₈-alkylthio-C₁-C₄alkyl, di-C₁-C₄alkylamino-C₁-C₄alkyl, cyano-C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈halogenoalkenyl, C₃-C₈alkynyl, C₃-C₇cycloalkyl, oxetan-3-yl, C₃-C₇-cycloalkyl-C₁-C₄alkyl, halogeno-C₃-C₇cycloalkyl or benzyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄alkoxy; alkali metal, alkaline earth metal or ammonium ions; or C₁-C₆alkyl-COOR₇, in which

R₇ is hydrogen, C₁-C₆alkyl, C₃-C₈alkenyl, C₃-C₈alkynyl, C₁-C₈alkoxy-C₂-C₈alkyl, C₁-C₈alkylthio-C₁-C₈alkyl or C₃-C₇cycloalkyl;

R₀₄ is hydrogen, C₁-C₆alkyl, C₁-C₆cyanoalkyl, C₂-C₇alkoxycarbonyl or oxetan-3-yl;

X₃ is oxygen or sulfur;

R₈ is hydrogen or C₁-C₄alkyl;

R₁₀₁ is cyano, $\text{--}\overset{\text{O}}{\parallel}\text{CX}_9\text{R}_{61}$, $\text{--}\overset{\text{O}}{\parallel}\text{CNR}_{62}\text{R}_{63}$, $\text{--}\overset{\text{S}}{\parallel}\text{CNR}_{62}\text{R}_{63}$, $\text{--}\overset{\text{O}}{\parallel}\text{CNR}_{62}\text{OR}_{63}$, $\text{--}\overset{\text{O}}{\parallel}\text{C-halogen}$,

$\text{--}\overset{\text{O}}{\parallel}\text{CR}$, $\text{--}\overset{\text{NOR}_{64}}{\parallel}\text{CR}$, $\text{--}\overset{\text{N-C}_1\text{-C}_4\text{alkyl}}{\parallel}\text{C-halogen}$, $\text{--}\overset{\text{O}}{\parallel}\text{C-CN}$, -NH₂, $\text{--}\overset{\text{OR}_9}{\underset{\text{OR}_{10}}{\text{C}}}\text{--R}$, $\text{--}\overset{\text{C}_1\text{-C}_4\text{alkyl}}{\underset{\text{C}_1\text{-C}_4\text{alkyl}}{\text{N}}}$,

-nitro, -NH-CHO or -NC, in which

X₉ is oxygen or sulfur;

R₆₁ is defined as R₅;

R₆₂ and R₆₃ independently of one another are defined as R₅₆;

halogen is fluorine, chlorine or bromine;

R is hydrogen, C₁-C₄alkyl or trifluoromethyl;

R₆₄ is hydrogen, C₁-C₁₀alkyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₁-C₄alkylthio-C₁-C₄alkyl, di-C₁-C₄alkylamino-C₁-C₄alkyl, C₁-C₈halogenoalkyl, C₂-C₈alkenyl, C₂-C₈halogenoalkenyl, C₃-C₈alkynyl, C₃-C₇cycloalkyl, oxetan-3-yl, halogeno-C₃-C₇-cycloalkyl,

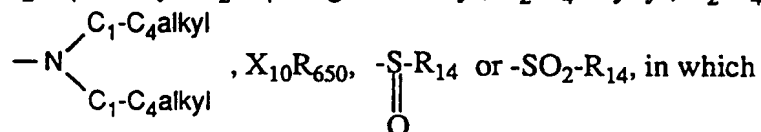
C₁-C₈alkylcarbonyl, allylcarbonyl, C₃-C₇cycloalkylcarbonyl, benzoyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄-alkoxy; C₁-C₄alkyl substituted by cyano, nitro, carboxyl, C₁-C₈alkylthio-C₁-C₈alkoxycarbonyl, phenyl, halogenophenyl, C₁-C₄alkylphenyl, C₁-C₄alkoxyphenyl, C₁-C₄halogenoalkylphenyl, C₁-C₄halogenoalkoxyphenyl, C₁-C₆alkoxycarbonyl,

C₁-C₄alkoxy-C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkylthiocarbonyl, C₃-C₈alkenylthiocarbonyl, C₃-C₈alkynylthiocarbonyl, carbamoyl, C₁-C₄alkylaminocarbonyl, di-C₁-C₄alkylaminocarbonyl; phenylaminocarbonyl, which is unsubstituted or substituted on the phenyl up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄-alkoxy or once by cyano or nitro; dioxolan-2-yl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals; or dioxanyl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals; and

R₉ and R₁₀ independently of one another are each C₁-C₄alkyl, C₂-C₄halogenoalkyl or C₂-C₈alkoxyalkyl; or

R₉ and R₁₀ together are an ethylene-, propylene- or a cyclohexane-1,2-diyl bridge, where these groups can be either unsubstituted or substituted by one or two radicals from the group consisting of C₁-C₄alkyl, C₁-C₄halogenoalkyl or C₁-C₄hydroxyalkyl;

R₁₀₂ is hydrogen, halogen, C₁-C₄alkyl, C₃-C₆cycloalkyl, C₁-C₄halogenoalkyl, cyano, C₂-C₄-alkenyl, C₂-C₄halogenoalkenyl, C₂-C₄alkynyl, C₂-C₄halogenoalkynyl, nitro, amino,



X₁₀ is oxygen or sulfur;

R₆₅₀ is hydrogen, C₁-C₆alkyl or C₁-C₆halogenoalkyl; and

R₁₄ is C₁-C₆alkyl; C₁-C₆halogenoalkyl, C₁-C₆alkylamino or di-C₁-C₄-alkylamino; and

W is an aromatic system, where phenyl and 2,4-dichlorophenyl are excluded, and the pyrazole N-oxides, salts, complexes and stereoisomers of the compounds of the formula I.

In the above definitions, halogen or halogeno - unless stated otherwise - is to be understood as meaning fluorine, chlorine, bromine and iodine, preferably fluorine, chlorine and bromine.

The alkyl, alkenyl and alkynyl groups can be straight-chain or branched, this also applying to the alkyl, alkenyl and alkynyl moiety of the halogenoalkyl, halogenoalkenyl, halogenoalkynyl, halogenoalkylphenyl, halogenoalkoxyphenyl, alkoxyalkyl, alkylsulfonyl, cycloalkyl-alkyl, cycloalkenyl-alkyl, phenyl-alkyl, alkyl-phenyl, phenylalkenyl, phenylalkynyl, alkylamino, dialkylamino, dialkylamino-alkyl, alkylaminocarbonyl-alkyl, halogenoalkylamino, dihalogenoalkylamino, alkoxyalkylamino, cyanoalkyl, hydroxyalkyl, carboxyalkyl, alkylthio-alkyl, alkylthio-alkoxycarbonyl, alkylthiocarbonyl-alkyl, alkenylthiocarbonyl, alkynylthiocarbonyl, halogenoalkoxycarbonyl-alkyl,

alkenyloxy-alkyl, alkylcarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, alkoxycarbonyl-alkyl and heterocyclyl-alkyl groups.

Examples of alkyl groups are methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl and the various isomeric pentyl, hexyl, heptyl, octyl, nonyl and decyl radicals, preferably alkyl groups having 1 to 4 carbon atoms.

Examples of alkenyl are vinyl, allyl, methallyl, 1-methylvinyl, but-2-en-1-yl, pentenyl, 2-hexenyl, 3-heptenyl and 4-octenyl, preferably alkenyl radicals having a chain length of 3 to 5 carbon atoms.

Examples of alkynyls are ethynyl, propargyl, 1-methylpropargyl, 3-butylnyl, but-2-yn-1-yl, 2-methylbutyn-2-yl, but-3-yn-2-yl, 1-pentylnyl, pent-4-yn-1-yl or 2-hexynyl, preferably alkynyl radicals having a chain length of 2 to 4 carbon atoms.

Halogenoalkyl groups are alkyl groups which are mono- or polysubstituted, in particular mono- to trisubstituted, by halogen, halogen being specifically iodine, and in particular fluorine, chlorine and bromine, for example fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl and 2,2,2-trichloroethyl.

Cyanoalkyl is, for example, cyanomethyl, cyanoethyl, cyanoeth-1-yl and cyanopropyl.

Hydroxyalkyl is, for example, hydroxymethyl, 2-hydroxyethyl and 3-hydroxypropyl.

Carboxyalkyl is, for example, carboxymethyl, carboxyethyl, carboxyeth-1-yl and carboxypropyl.

Alkoxyalkyl is, for example, methoxymethyl, ethoxymethyl, propoxymethyl, methoxyethyl, ethoxyethyl, propoxyethyl, butoxyethyl, methoxypropyl, ethoxypropyl or propoxypropyl.

Halogenoalkenyl groups are alkenyl groups which are mono- or polysubstituted by halogen, halogen being bromine, iodine and, in particular, fluorine and chlorine, for example 2,2-difluoro-1-methylvinyl, 3-fluoropropenyl, 3-chloropropenyl, 3-bromopropenyl, 2,3,3-trifluoropropenyl, 2,3,3-trichloropropenyl and 4,4,4-trifluoro-but-2-en-1-yl. Preferred C₂-C₁₀alkenyl radicals which are mono-, di- or

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trisubstituted by halogen are those which have a chain length of 3 to 5 carbon atoms.

Halogenoalkynyl groups are, for example, alkynyl groups which are mono- or polysubstituted by halogen, halogen being bromine, iodine and, in particular, fluorine and chlorine, for example 3-fluoropropynyl, 3-chloropropynyl, 3-bromopropynyl, 3,3,3-trifluoropropynyl and 4,4,4-trifluoro-but-2-yn-1-yl. Preferred alkynyl radicals which are mono- or polysubstituted by halogen are those which have a chain length of 3 and 4 carbon atoms.

Alkoxy is, for example, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, iso-butoxy, sec-butoxy and tert-butoxy.

Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, iso-propoxycarbonyl and n-butoxycarbonyl, preferably methoxycarbonyl and ethoxycarbonyl.

Alkenyloxycarbonyl is, for example, allyloxycarbonyl, methallyloxycarbonyl, but-2-en-1-yl-oxycarbonyl, pentenyloxycarbonyl, 2-hexenyloxycarbonyl and 3-heptenyloxycarbonyl.

Alkynyloxycarbonyl is, for example, propargyloxycarbonyl, 3-butynyloxycarbonyl, but-2-yn-1-yl-oxycarbonyl and 2-methylbutyn-2-yl-oxycarbonyl.

Alkylamino is, for example, methylamino, ethylamino and the isomeric propyl- and butylamino.

Dialkylamino is, for example, dimethylamino, diethylamino and the isomeric dipropyl- and dibutylamino.

Alkenylamino is, for example, allylamino, methallylamino and but-2-en-1-yl-amino.

Cycloalkyl radicals which are substituents are, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Cycloalkenyl is, for example, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl and cyclooctenyl.

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Halogenocycloalkyl radicals which are substituents are, for example, mono-, di- or up to perhalogenated cycloalkyl radicals, for example fluorocyclopropyl, 2,2-dichlorocyclopropyl, pentachlorocyclohexyl or perfluorocyclopentyl.

Alkoxyalkoxyalkyl is, for example, methoxymethoxymethyl, ethoxymethoxyethyl, ethoxyethoxymethyl, propoxymethoxymethyl, propoxyethoxyethyl, propoxypropoxymethyl, butoxyethoxyethyl and butoxybutoxyethyl.

Halogenoalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy and 2,2,2-trichloroethoxy.

Halogenoalkylamino is, for example, chloroethylamino, trifluoroethylamino and 3-chloropropylamino.

Dihalogenoalkylamino is, for example, di(chloroethyl)amino.

Alkylthioalkyl is, for example, methylthioethyl, ethylthioethyl, methylthiopropyl and ethylthiopropyl.

Alkenylthiocarbonyl is, for example, allylthiocarbonyl, methallylthiocarbonyl, but-2-en-1-yl-thiocarbonyl, pentenylthiocarbonyl and 2-hexenylthiocarbonyl.

Alkynylthiocarbonyl is, for example, propargylthiocarbonyl, 1-methylpropargylthiocarbonyl and but-2-yn-1-yl-thiocarbonyl.

Phenyl as part of a substituent such as phenylalkyl, phenylalkenyl or phenylalkynyl is unsubstituted or substituted. The substituents can be in the ortho-, meta- or para-position. Preferred substituent positions are the ortho- and para-position relative to the ring linkage site. Substituents are, for example, C₁-C₄alkyl, halogen, C₁-C₄halogenoalkyl, cyano, nitro, hydroxyl, C₁-C₄alkoxy, C₁-C₄halogenoalkoxy, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, carboxyl, C₁-C₄alkoxycarbonyl, carbamoyl, C₁-C₄alkylaminocarbonyl or di-C₁-C₄alkylaminocarbonyl.

5- or 6-membered heterocyclyl groups are either unsaturated or completely or partly saturated heterocyclic groups, for example pyrrolidino, piperidino, tetrahydrofurano, tetrahydropyrano, morpholino, thiomorpholino and piperazino, and in particular aromatic

heterocyclic rings, for example 2-, 3- or 4-pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrazolyl, imidazolyl, triazolyl, pyrrolyl, thienyl, furyl, oxazolyl, thiazolyl and isoxazolyl. These heterocyclic groups can in turn be substituted; substituents are, for example, C₁-C₄alkyl, halogen, C₁-C₄halogenoalkyl, cyano, nitro, hydroxyl, C₁-C₄alkoxy, C₁-C₄halogenoalkoxy, amino, C₁-C₄alkylamino, di-C₁-C₄-alkylamino, carboxyl, C₁-C₄alkoxycarbonyl, carbamoyl, C₁-C₄alkylaminocarbonyl or di-C₁-C₄alkylaminocarbonyl.

Examples of the 3- to 6-membered carbocyclic and heterocyclic rings are cyclopropano, cyclobutano, cyclopentano, cyclohexano, oxetano, N-methylpyrrolidino, N-methylpiperidino, thietano, tetrahydrofurano and tetrahydropyrano.

Corresponding meanings can also be assigned to the substituents in composite definitions, for example cycloalkyl-alkyl, cycloalkylcarbonyl, cycloalkyl-alkoxycarbonyl-alkyl, cycloalkenyl-alkyl, phenylalkyl, phenylalkenyl, alkoxycarbonyl-alkyl, dialkylamino-alkyl, halogenoalkoxyphenyl, alkylaminocarbonyl-alkyl, dialkylaminocarbonyl-alkyl, alkoxyalkylamino, dialkoxyalkylamino, alkoxyalkoxycarbonyl, alkoxyalkoxycarbonyl-alkyl, alkoxycarbonyl-alkoxycarbonyl-alkyl, alkylaminocarbonyl, alkylaminocarbonyl-alkyl, dialkylaminocarbonyl-alkyl, alkylthio-alkoxycarbonyl, alkylthiocarbonyl, alkylthiocarbonyl-alkyl, halogenoalkoxycarbonyl-alkyl and alkenyloxy-alkyl.

The salts of the compounds of the formula I with an acid hydrogen, in particular of the derivatives with carboxylic acid groups (for example carboxyl-substituted alkyl, phenyl and pyrazolyl groups), are, for example, alkali metal salts, for example sodium and potassium salts; alkaline earth metal salts, for example calcium and magnesium salts; ammonium salts, i.e. unsubstituted ammonium salts and mono- or polysubstituted ammonium salts, for example triethylammonium and methylammonium salts; or salts with other organic bases.

The alkali metal and alkaline earth metal hydroxides as salt-forming agents are preferably, for example, the hydroxides of lithium, sodium, potassium, magnesium or calcium, and in particular those of sodium or potassium.

Examples of amines which are suitable for ammonium salt formation are ammonia and also primary, secondary and tertiary C₁-C₁₈alkylamines, C₁-C₄hydroxyalkylamines and C₂-C₄alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine,

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iso-propylamine, the four isomeric butylamines, n-amylamine, iso-amylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methyl-ethylamine, methyl-iso-propylamine, methyl-hexylamine, methyl-nonylamine, methyl-pentadecylamine, methyl-octadecylamine, ethyl-butylamine, ethyl-heptylamine, ethyl-octylamine, hexyl-heptylamine, hexyl-octylamine, dimethylamine, diethylamine, di-n-propylamine, di-iso-propylamine, di-n-butylamine, di-n-amylamine, di-iso-amylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, iso-propanolamine, N,N-diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, di-butenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, tri-iso-propylamine, tri-n-butylamine, tri-iso-butylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amines, for example pyridine, quinoline, iso-quinoline, morpholine, thiomorpholine, N-methylmorpholine, N-methyl-thiomorpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o-, m- and p-toluidines, phenylenediamines, benzidines, naphthylamines and o-, m- and p-chloroanilines; but in particular triethylamine, iso-propylamine and di-iso-propylamine.

The salts of the compounds of the formula I with basic groups, in particular of the derivatives with amino groups, for example alkylamino, dialkylamino, alkoxyalkylamino or alkenylamino, or of the derivatives with basic heterocyclic rings, for example pyridyl or pyrazolyl rings, are, for example, salts with inorganic and organic acids, for example hydrogen halide acid, such as hydrofluoric acid, hydrochloric acid, hydrobromic acid or hydriodic acid, as well as sulfuric acid, phosphoric acid, nitric acid and organic acids such as acetic acid, trifluoroacetic acid, trichloroacetic acid, propionic acid, glycolic acid, thiocyanic acid, citric acid, benzoic acid, oxalic acid, formic acid, benzenesulfonic acid, p-toluenesulfonic acid, methanesulfonic acid and salicylic acid.

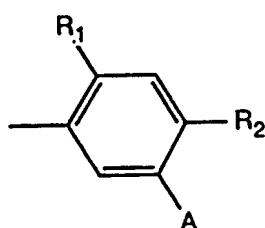
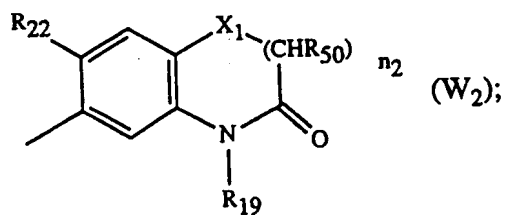
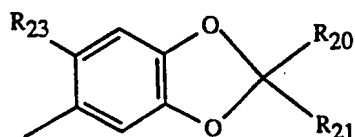
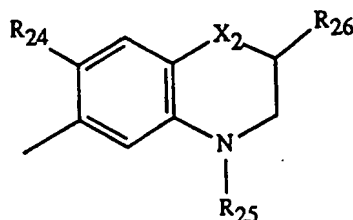
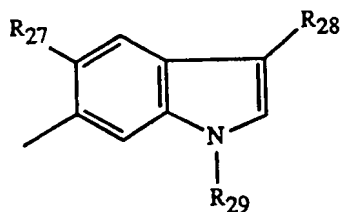
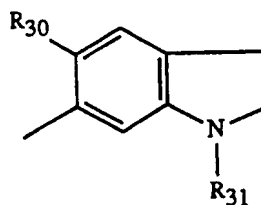
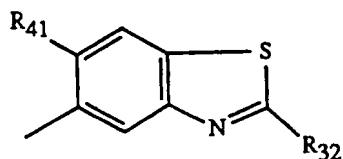
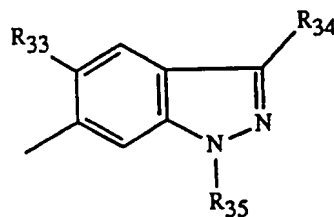
Metal complexes can also be prepared with the compounds of the formula I.

The possible presence of at least one asymmetric carbon atom in the compounds of the formula I, for example in the group W_1 , in which A is $-X_3R_4$, where R_4 is alkyl or alkyl substituted by alkoxy carbonyl, results in the compounds being able to occur both in optically active individual isomers and in the form of racemic mixtures. In the present invention, active ingredients of the formula I are to be understood as meaning both the

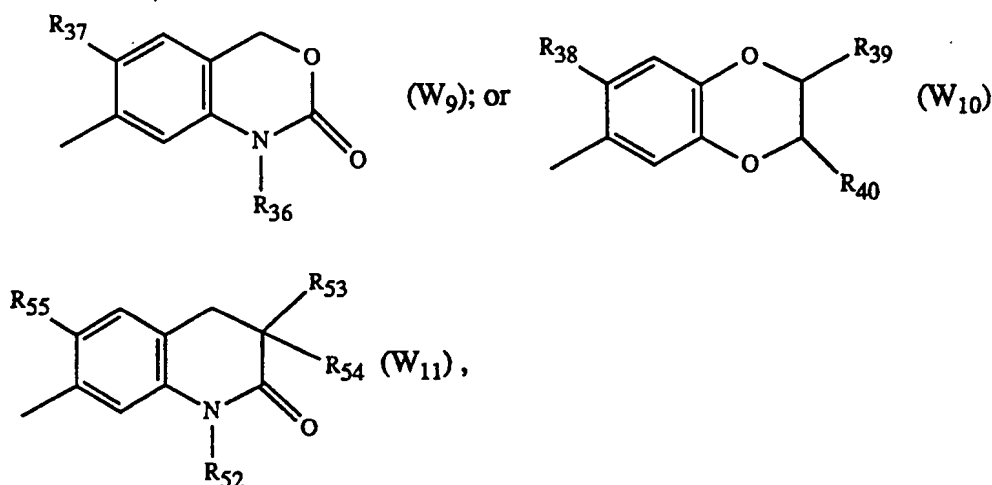
pure optical antipodes and the racemates or diastereomers.

If an aliphatic C=C- or C=N-O- double bond (syn/anti) is present, geometric isomerisms can occur. The present invention also relates to these isomers.

Preferred compounds of the formula I are those in which W is a group W₁ to W₁₁

(W₁);(W₂);(W₃);(W₄);(W₅);(W₆);(W₇);(W₈);

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in which

R₁, R₂₂, R₂₃, R₂₄, R₂₇, R₃₀, R₃₃, R₃₇, R₃₈, R₄₁ and R₅₅ independently of one another are hydrogen or halogen;

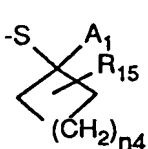
R₂ is cyano, amino, nitro, halogen, hydroxyl, C₁-C₄alkyl, C₁-C₄alkoxy,

C₁-C₄halogenoalkoxy or C₁-C₄halogenoalkyl;

A is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₄-C₈cycloalkenyl, C₃-C₈cycloalkyl-C₁-C₆alkyl, C₄-C₈cycloalkenyl-C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, phenyl, phenyl-C₁-C₆alkyl, phenyl-C₂-C₆alkenyl, phenyl-C₂-C₆alkynyl, 5- or 6-membered heterocyclyl or 5- or 6-membered heterocyclyl-C₁-C₆alkyl, where the radicals listed for A can be substituted by C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, halogen, cyano, nitro, -COR₃, -X₃R₄, $\begin{array}{c} \text{CCN} \\ \parallel \\ \text{NOR}_{42} \end{array}$, -COR₈, $\begin{array}{c} \text{C-R}_{44} \\ \parallel \\ \text{NOR}_{43} \end{array}$, $\begin{array}{c} \text{C-R}_{45} \\ \diagup \quad \diagdown \\ \text{R}_9\text{O} \quad \text{OR}_{10} \end{array}$,

-NR₅₆R₅₇ or NR₅₆OR₅₇, or A is halogen, cyano, nitro, -COR₃, -X₄R₄, $\begin{array}{c} \text{C-CN} \\ \parallel \\ \text{NOR}_{42} \end{array}$, -COR₄₄,

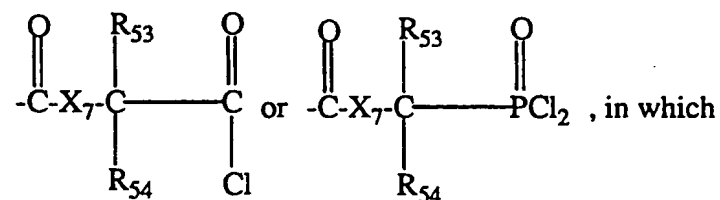
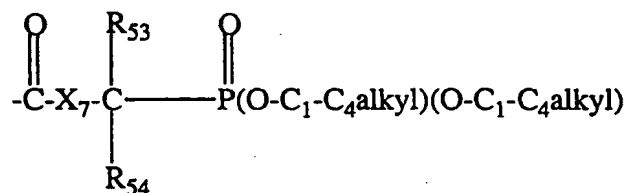
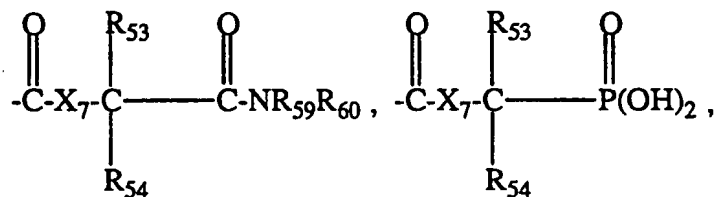
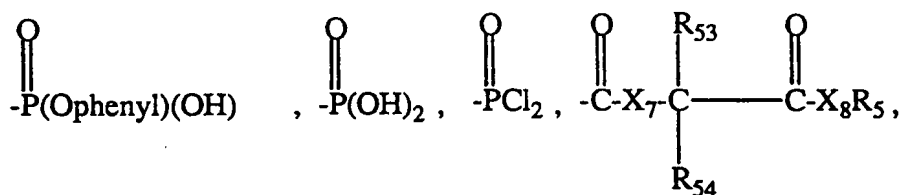
$\begin{array}{c} \text{C-R}_{44} \\ \parallel \\ \text{NOR}_{43} \end{array}$, $\begin{array}{c} \text{C-R}_{44} \\ \diagup \quad \diagdown \\ \text{OR}_9 \quad \text{OR}_{10} \end{array}$, -NR₅₆R₅₇, -NR₅₆OR₅₇, $\begin{array}{c} \text{O} \\ \parallel \\ \text{C-X}_4 \end{array}$ -[CHR₄₄CH₂]_{n3}-Si(R₁₂)₃,

-N(R₁₃)-SO₂-R₁₄, , $\begin{array}{c} \text{O} \\ \parallel \\ \text{P}(\text{O-C}_1\text{-C}_4\text{alkyl})(\text{O-C}_1\text{-C}_4\text{alkyl}) \end{array}$,

$\begin{array}{c} \text{O} \\ \parallel \\ \text{P}(\text{O-phenyl})(\text{O-C}_1\text{-C}_4\text{alkyl}) \end{array}$

$\begin{array}{c} \text{O} \\ \parallel \\ \text{P}(\text{OH})(\text{O-C}_1\text{-C}_4\text{alkyl}) \end{array}$,

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$\text{R}_3, \text{X}_3, \text{R}_8, \text{R}_9, \text{R}_{10}, \text{R}_{56}, \text{R}_{57}$ and R_{14} are as defined in claim 1;

R_4 is hydrogen, C_1 - C_{10} alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_1 - C_4 alkylthio- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, C_1 - C_8 halogenoalkyl, C_2 - C_8 alkenyl, C_2 - C_8 halogenoalkenyl, C_3 - C_8 alkynyl, C_3 - C_7 cycloalkyl, oxetan-3-yl, halogeno- C_3 - C_7 -cycloalkyl, C_1 - C_8 alkylcarbonyl, C_1 - C_8 alkoxycarbonyl, allylcarbonyl, $-\text{SO}_2\text{CF}_3$, $-\text{SO}_2\text{C}_6\text{H}_5$, C_3 - C_7 cycloalkylcarbonyl, benzoyl, which is unsubstituted or substituted on the phenylring up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_1 - C_4 halogenoalkoxy or C_1 - C_4 alkoxy; C_1 - C_8 alkyl substituted by cyano, nitro, carboxyl, C_1 - C_8 alkylthio- C_1 - C_8 alkoxycarbonyl, phenyl, halogenophenyl, C_1 - C_4 alkylphenyl, C_1 - C_4 alkoxyphenyl, C_1 - C_4 halogenoalkylphenyl, C_1 - C_4 halogenoalkoxyphenyl, C_1 - C_6 alkoxycarbonyl, C_1 - C_4 alkoxy- C_1 - C_4 alkoxy, C_1 - C_4 alkoxy- C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenylloxycarbonyl, C_3 - C_8 alkynylloxycarbonyl, C_1 - C_8 alkylthiocarbonyl, C_3 - C_8 alkenylthiocarbonyl, C_3 - C_8 alkynylthiocarbonyl, carbamoyl, C_1 - C_4 alkylaminocarbonyl, di- C_1 - C_4 alkylaminocarbonyl, C_3 - C_8 alkenylaminocarbonyl, di- C_3 - C_8 alkenylaminocarbonyl, C_1 - C_4 alkyl- C_3 - C_8 alkenylaminocarbonyl, phenyloxycarbonyl or phenyl- C_1 - C_8 alkyloxycarbonyl, which is unsubstituted or substituted on the phenyl up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, cyano, nitro or amino; phenylaminocarbonyl, which is unsubstituted or

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substituted on the phenyl up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄alkoxy or once by cyano or nitro; dioxolan-2-yl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals; or dioxanyl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals;

R₄₃ is defined as R₄;

R₄₄ is hydrogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl or C₁-C₄alkoxy-C₁-C₄alkyl;

R₄₅ is hydrogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl or C₁-C₄alkoxy-C₁-C₄alkyl;

R₄₂ is defined as R₄₃;

X₄ is oxygen, $\begin{array}{c} \text{R}_{13} \\ | \\ \text{---N---} \end{array}$ or sulfur;

n₃ is 0, 1, 2, 3 or 4;

R₁₂ is C₁-C₈alkyl;

R₁₃ is hydrogen, C₁-C₅alkyl, benzyl, C₁-C₄halogenoalkyl, C₃-C₈alkenyl, C₃-C₈alkynyl,

-SO₂R₁₄, -SO₂C₆H₅ or $\text{---SO}_2\text{---}\langle\text{C}_6\text{H}_4\rangle\text{---CH}_3$;

A₁ is cyano or -COR₁₆, in which

R₁₆ is chlorine, -X₅-R₁₇, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₂-C₄halogenoalkylamino, di-C₂-C₄halogenoalkylamino, C₁-C₄alkoxyalkylamino, di-C₁-C₄alkoxyalkylamino, C₃-C₄alkenylamino, diallylamino, -N-pyrrolidino, -N-piperidino, -N-morpholino, -N-thiomorpholino, -N-piperazino, or the group -O-N=C(CH₃)-CH₃, or -N(OR₄₆)-R₆, in which

X₅ is oxygen or sulfur;

R₁₇ is hydrogen, C₁-C₁₀alkyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₂-C₈halogenoalkyl, C₁-C₁₀alkylthio-C₁-C₄alkyl, di-C₁-C₄alkylamino-C₁-C₄alkyl, cyano-C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈halogenoalkenyl, C₃-C₈alkynyl, C₃-C₇cycloalkyl, C₃-C₇cycloalkyl-C₁-C₄alkyl, halogeno-C₃-C₇cycloalkyl or benzyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄alkoxy; alkali metal, alkaline earth metal or ammonium ions, or the group -[CHR₄₇-(CH₂)_m]-COOR₄₈ or

-[CHR₄₉-(CH₂)_t-Si(R₁₈)₃];

m is 0, 1, 2, 3 or 4;

t is 0, 1, 2, 3 or 4;

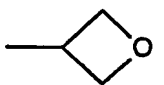
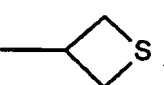
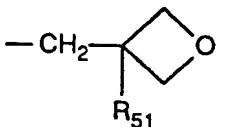
R₁₈ is C₁-C₄alkyl;

R₄₇ and R₄₉ independently of one another are hydrogen or C₁-C₄alkyl; and

R₄₈ is defined as R₇ in claim 1;

R₆ and R₄₆ independently of one another are hydrogen or C₁-C₄alkyl;

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n_4 is 0, 1, 2, 3 or 4;
 R_{15} is hydrogen, fluorine, chlorine, bromine, C_1 - C_4 alkyl or trifluoromethyl;
 X_7 is oxygen, sulfur or $-NR_8-$, in which
 R_8 is as defined above;
 R_{53} and R_{54} independently of one another are hydrogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl, or R_{53} and R_{54} , together with the carbon atom to which they are bonded, form a 3- to 6-membered carbocyclic ring;
 X_8 is oxygen or sulfur;
 R_5 is as defined in claim 1; and
 R_{59} and R_{60} independently of one another are defined as R_{56} in claim 1;
 X_1 is oxygen or sulfur;
 n_2 is 0, 1, 2, 3 or 4;
 R_{19} is hydrogen, C_1 - C_6 alkyl, C_2 - C_4 alkenyl or C_2 - C_6 alkynyl; halogen-substituted C_1 - C_6 alkyl, C_2 - C_4 alkenyl or C_3 - C_6 alkynyl; C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_2 alkoxy- C_1 - C_2 alkyl, 1-phenylpropen-3-yl, cyano or C_3 - C_6 cycloalkyl-substituted C_1 - C_6 alkyl; carboxy- C_1 - C_4 alkyl, C_1 - C_6 alkoxycarbonyl- C_1 - C_4 alkyl, C_2 - C_6 halogenoalkoxycarbonyl- C_1 - C_4 alkyl, C_1 - C_4 alkoxy- C_1 - C_2 alkoxycarbonyl- C_1 - C_4 alkyl, C_1 - C_6 alkoxycarbonyl- C_1 - C_2 alkoxycarbonyl- C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl- C_1 - C_2 alkoxycarbonyl- C_1 - C_4 alkyl, C_1 - C_5 alkylaminocarbonyl- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, di- C_1 - C_5 alkylaminocarbonyl- C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkylthio- C_1 - C_4 alkyl, benzyl or halogen-substituted benzyl, C_1 - C_4 alkylsulfonyl, C_3 - C_6 alkenyloxy- C_1 - C_4 alkyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_8 alkylcarbonyl, C_1 - C_4 alkyl-COO , C_1 - C_4 alkyl-COO ,
, C_1 - C_4 alkylthiocarbonyl- C_1 - C_4 alkyl, or the group

$-[CHR_{47}-(CH_2)_m]COX_6-CHR_{47}-(CH_2)_m-COOR_{48}$; in which

R_{47} , R_{48} and m are as defined above;

X_6 is oxygen or sulfur;

R_{51} , R_{50} , R_{26} , R_{28} , R_{32} , R_{34} , R_{39} and R_{40} independently of one another are hydrogen or C_1 - C_4 alkyl;

R_{20} and R_{21} independently of one another are hydrogen, C_1 - C_4 alkyl or fluorine;

X_2 is oxygen or sulfur;

R_{25} , R_{29} , R_{31} , R_{35} , R_{36} and R_{52} are defined as R_{56} ; and

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R_{53} and R_{54} are as defined above.

Compounds of the formula I which are likewise preferred are those in which R_{100} is hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted by $-\text{COR}_3$, or C_3 - C_8 cycloalkyl, in which

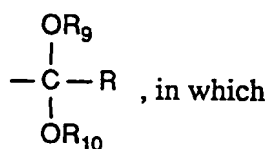
R_3 is $-\text{X}_4\text{-R}_5$, in which

X_4 is oxygen or sulfur, and

R_5 is C_1 - C_{10} alkyl.

Compounds of the formula I which are also preferred are those in which

R_{101} is cyano, $-\text{C}(=\text{O})\text{X}_9\text{R}_{61}$, $-\text{C}(=\text{S})\text{NR}_{62}\text{R}_{63}$, $-\text{C}(=\text{O})\text{-halogen}$, $-\text{C}(=\text{O})\text{R}$, $-\text{C}(=\text{O})\text{NR}_{64}$, $-\text{NH}_2$, -nitro or



X_9 , R_{61} , R_{62} , R_{63} , R , R_{64} , R_9 and R_{10} are as defined in claim 1.

Preferred compounds are also those in which

R_{101} is cyano.

Compounds of the formula I which are furthermore preferred are those in which

R_{102} is hydrogen, halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, cyano or C_2 - C_4 alkynyl.

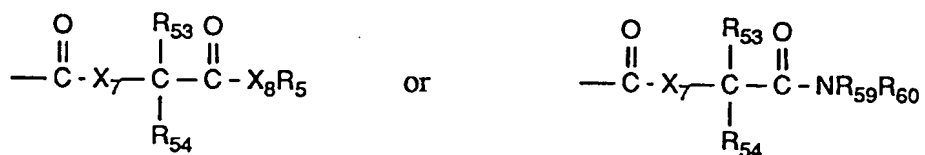
Particularly preferred compounds of the formula I are those in which

W is a group W_1 or W_2 . Of these compounds of the formula I, those which are most especially preferred are those in which, in the group W_1 ,

R_1 is hydrogen or halogen;

R_2 is cyano, nitro or halogen; and

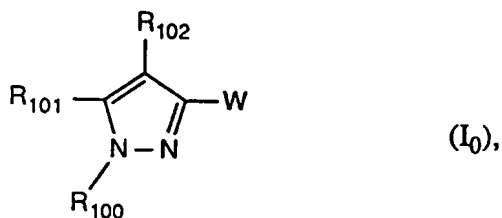
A is C_1 - C_6 alkyl or C_2 - C_6 alkynyl, where these radicals can be substituted by $-\text{COR}_3$, $-\text{X}_3\text{R}_4$,



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in which R_3 is $-X_4-R_5$, in which
 X_4 is oxygen or sulfur; and
 R_5 is C_1-C_{10} alkyl;
 X_3 is oxygen or sulfur;
 R_4 is hydrogen, C_1-C_{10} alkyl, C_1-C_4 alkyl substituted by cyano, carboxyl or C_1-C_6 alkoxycarbonyl;
 X_7 is oxygen or sulfur;
 R_{53} and R_{54} independently of one another are hydrogen or C_1-C_4 alkyl;
 X_8 is oxygen or sulfur;
 R_5 is C_1-C_{10} alkyl; and
 R_{59} and R_{60} independently of one another are hydrogen, C_1-C_8 alkyl or C_1-C_8 halogenoalkyl.

Preferred compounds of the formula I are those of the formula I_0



in which W , R_{100} , R_{101} and R_{102} are as defined under formula I.

A group of compounds of formula I to which very special importance is given, are those in which W is the group W_1 ; and R_1 is fluorine.

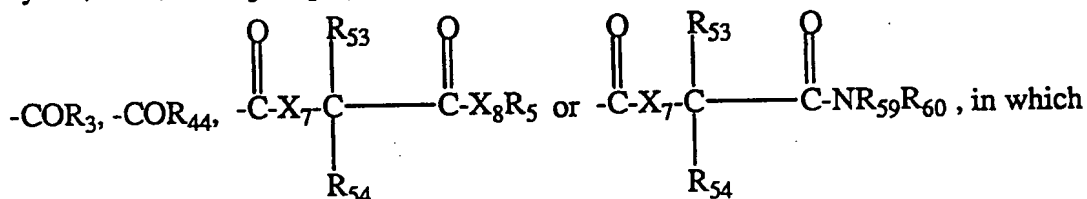
Very special importance is attached to the group of compounds of formula I, wherein W is the group W_1 ; and R_1 is hydrogen.

Another group of compounds of formula I to which very special preference is given, are those wherein W is the group W_1 ; R_1 is chlorine; A is $-X_4R_4$, $-NR_{56}R_{57}$, $-NR_{56}OR_{57}$ or $-N(R_{13})-SO_2-R_{14}$, in which X_4 is oxygen or sulfur, and R_4 , R_{13} , R_{14} , R_{56} and R_{57} are as defined under formula I, with the proviso that R_{57} may not be C_1-C_8 alkoxycarbonyl- C_1-C_4 alkyl if R_{56} is hydrogen.

Another group of very important compounds of formula I are those wherein W is the

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group W_1 ; R_1 is chlorine; A is C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_4 - C_8 cycloalkenyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl, phenyl- C_2 - C_6 alkenyl, phenyl- C_2 - C_6 alkynyl, 5- or 6-membered heterocyclyl or 5- or 6-membered heterocyclyl- C_1 - C_6 alkyl, where the radicals listed for A can be substituted by C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, halogen, cyano, nitro, $-\text{COR}_3$, $-\text{X}_3\text{R}_4$, $-\text{COR}_8$, $-\text{NR}_{56}\text{R}_{57}$ or $\text{NR}_{56}\text{OR}_{57}$, or A is halogen, cyano,



R_3 to R_5 , R_8 , R_{44} , R_{53} , R_{54} , R_{56} , R_{57} , R_{59} , R_{60} , X_3 , X_7 and X_8 are as defined under formula I.

A further group of compounds of formula I to which very special preference is given, are those wherein R_{100} is methyl; R_{101} is cyano; R_{102} is bromine, and W is as defined under formula I.

Another group of compounds of formula I with very special importance are those wherein R_{101} is $-\overset{\text{S}}{\underset{|}{\text{C}}}-\text{NR}_{62}\text{R}_{63}$ wherein R_{62} and R_{63} are as defined under formula I.

Very special importance is accorded to the group of compounds of formula I wherein W is a group selected from W_2 to W_{11} .

A further group with very special importance is encompassing compounds of formula I wherein R_{100} is hydrogen, C_2 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_4 - C_8 cycloalkenyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl or cyano, where the groups listed for R_{100} , with the exception of hydrogen and cyano, can be substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 halogenoalkyl, cyano, nitro, $-\text{COR}_3$, $-\text{X}_3\text{R}_{04}$, $-\text{COR}_8$, $-\text{NR}_{56}\text{R}_{57}$ or $-\text{NR}_{56}\text{OR}_{57}$, in which R_3 , R_{04} , R_8 , R_{56} , R_{57} and X_3 are as defined under formula I.

Furthermore there is a group of those compounds of formula I to which very special preference is given wherein R_{100} is C_2 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_4 - C_8 cycloalkenyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl or cyano, where the groups listed for R_{100} , with the exception of cyano, can be substituted by halogen,

C₁-C₆alkyl, C₁-C₆halogenoalkyl, cyano, nitro, -COR₃, -X₃R₀₄, -COR₈, -NR₅₆R₅₇ or -NR₅₆OR₅₇, in which R₃, R₀₄, R₈, R₅₆, R₅₇ and X₃ are as defined under formula I.

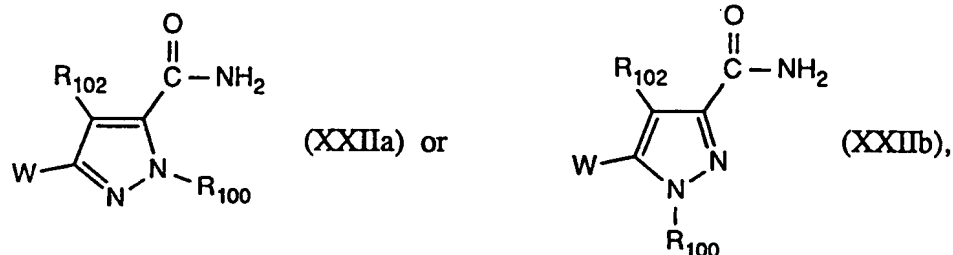
The compounds of the formula I in which

W, R₁₀₀ and R₁₀₂ are as defined under formula I and

R₁₀₁ is the radical -CN,

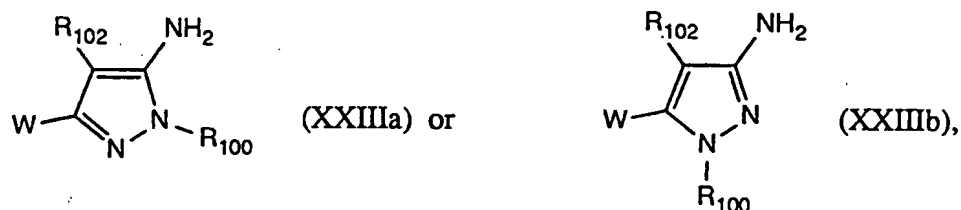
can be prepared by processes which are known per se, for example by

a) dehydrating a compound of the formula XXIIa or XXIIb



in which W, R₁₀₀ and R₁₀₂ are as defined; or

b) first diazotizing a compound of the formula XXIIIa or XXIIIb



in which W, R₁₀₀ and R₁₀₂ are as defined,

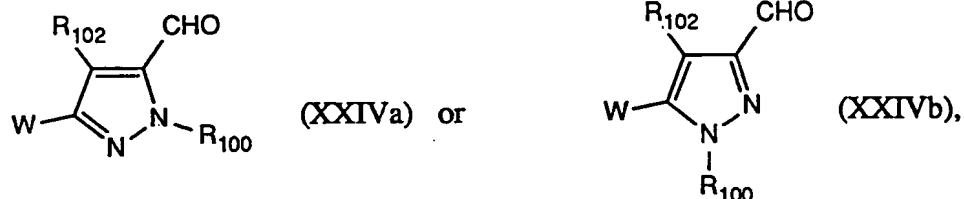
and then reacting the diazonium salt formed with a salt of the formula XXXI



in which M[⊕] is an alkali metal, alkaline earth metal or transition metal ion; or

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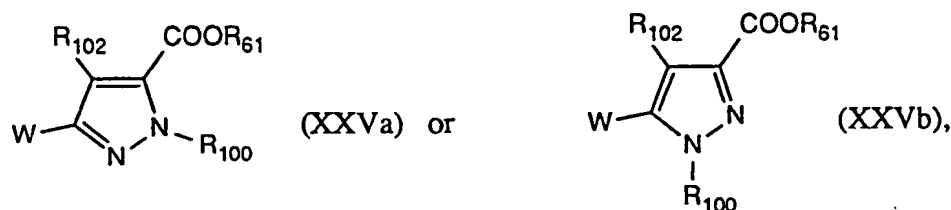
c) reacting a compound of the formula XXIVa or XXIVb



in which W, R₁₀₀ and R₁₀₂ are as defined,

with hydroxylamine and dehydrating the oxime intermediately formed; or

d) reacting a compound of the formula XXVa or XXVb



in which W, R₆₁, R₁₀₀ and R₁₀₂ are as defined under formula I,

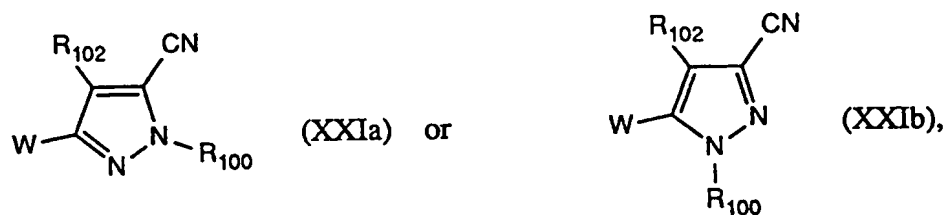
with dimethylaluminium amide in the presence of an inert organic solvent.

The compounds of the formula I in which W, R₁₀₀ and R₁₀₂ are as defined under formula I and

R₁₀₁ is the radical $\text{-}\overset{\text{S}}{\parallel}\text{C-NH}_2$
 can be obtained by

a) reacting a compound of the formula XXIa or XXIb

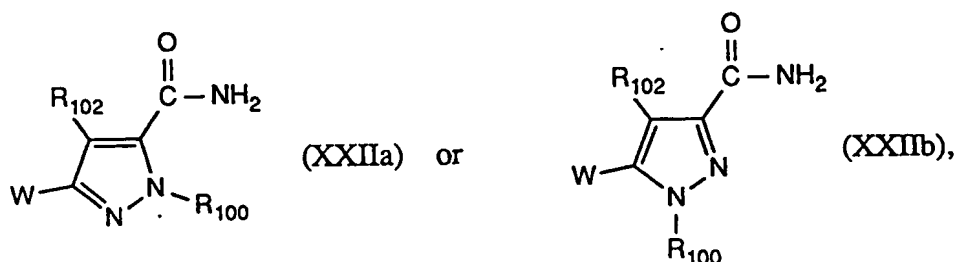
- 19 -



in which W, R₁₀₀ and R₁₀₂ are as defined,

with hydrogen sulfide in an organic solvent under base catalysis or with a source of hydrogen sulfide under acid catalysis; or

b) reacting a compound of the formula XXIIa or XXIIb



in which W, R₁₀₀ and R₁₀₂ are as defined, with a suitable sulfur reagent in a solvent.

The compounds of the formula I in which

W is as defined under formula I;

R₁₀₀ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl;

R₁₀₂ is halogen, in particular chlorine, bromine or iodine; and

R₁₀₁ is the radical -COOR₆₁, where

R₆₁ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl,

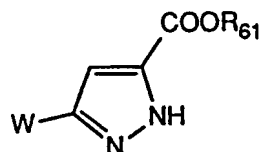
can be obtained starting from a compound of the formula II



in which W and R₆₁ are as defined, either

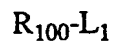
a) by converting the compound into the compound of the formula VIII

- 20 -



(VIII)

with hydrazine and subsequently alkylating this in the presence of a compound containing a corresponding C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl group, of the formula XXIXa



(XXIXa)

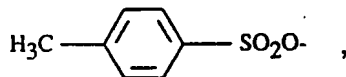
or of the formula XXIXb



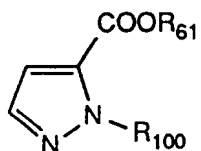
(XXIXb),

in which, in the compounds of the formulae XXIXa and XXIXb, the radical R₁₀₀ is as defined and

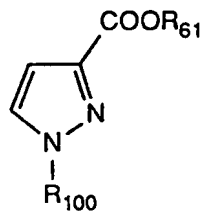
L₁ is a leaving group, preferably chlorine, bromine, iodine, CH₃SO₂O- or



to give the compounds of the formulae IXa and IXb



(IXa) and



(IXb)

and then chlorinating, brominating or iodinating these; or

b) cyclizing this compound with the compound of the formula XXX

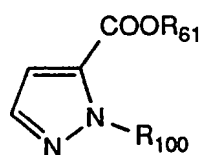


(XXX),

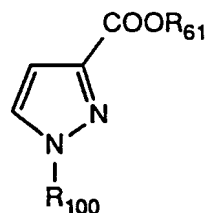
in which R₁₀₀ is as defined,

to give the compounds of the formulae IXa and IXb

- 21 -



(IXa) and



(IXb)

and then chlorinating, brominating or iodinating these.

The compounds of the formula I in which

W is as defined under formula I;

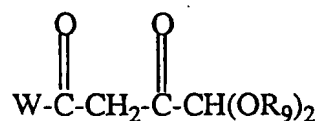
R₁₀₀ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl;

R₁₀₂ is hydrogen; and

R₁₀₁ is the radical -CH(OR₉)₂, where

R₉ is C₁-C₄alkyl, C₂-C₄halogenoalkyl or C₂-C₈alkoxyalkyl,

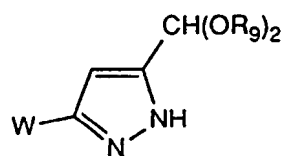
can be obtained by cyclizing a compound of the formula V



(V),

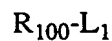
in which W and R₉ are as defined,

a) with hydrazine to give the compound of the formula XII



(XII)

and then alkylating this in the presence of a compound containing a corresponding C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl group, of the formula XXIXa



(XXIXa)

or of the formula XXIXb

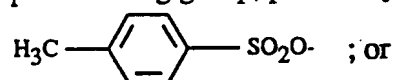


(XXIXb),

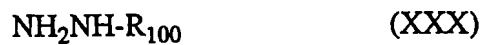
- 22 -

in which, in the compounds of the formulae XXIXa and XXIXb,
the radical R_{100} is as defined and

L_1 is a leaving group, preferably chlorine, bromine, iodine, CH_3SO_2O- or



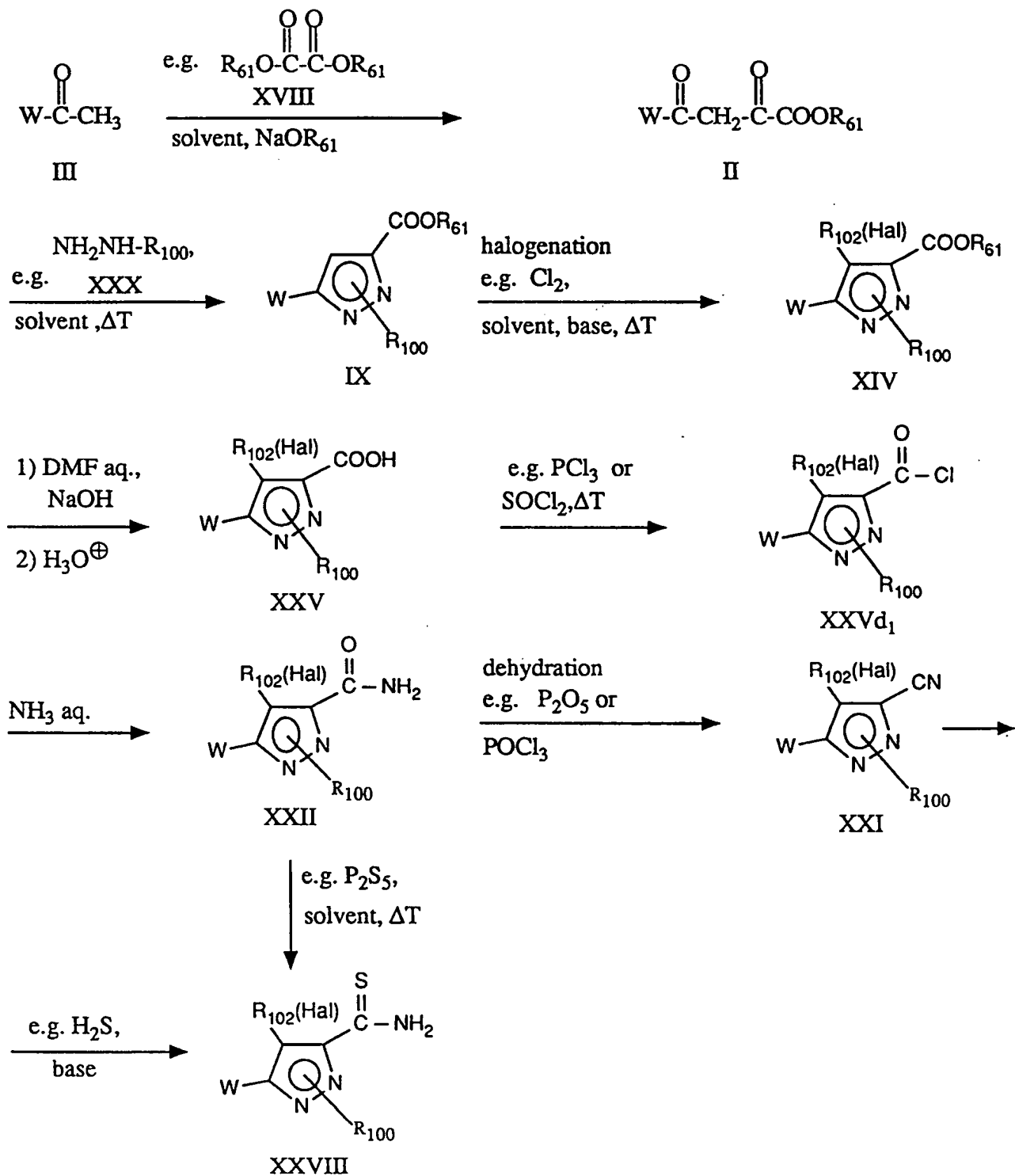
b) with a compound of the formula XXX



in which R_{100} is as defined.

The preparation of the compounds of the formula I is explained in more detail in the following reaction schemes 01, 3, 5 to 7 and 9 to 11.

Reaction scheme 01



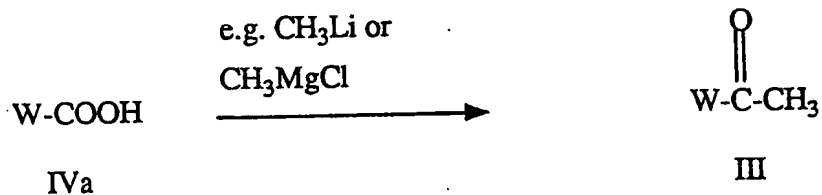
- 24 -

In reaction equation 01,
the radicals W, R₆₁ and R₁₀₀ are as defined under formula I and
R₁₀₂(Hal) is the halogen radical R₁₀₂, in particular chlorine, bromine or iodine.

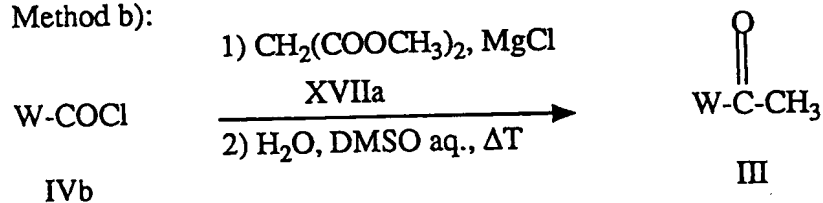
The starting compounds of the formula III in reaction equation 01 can be prepared
analogously to known processes, for example in accordance with methods a), b), c), d) and
e) listed in the following reaction equation 1.

Reaction scheme 1

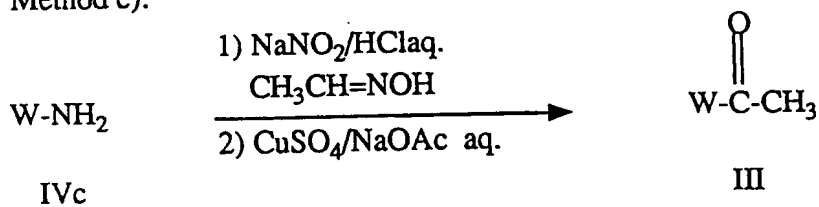
Method a):



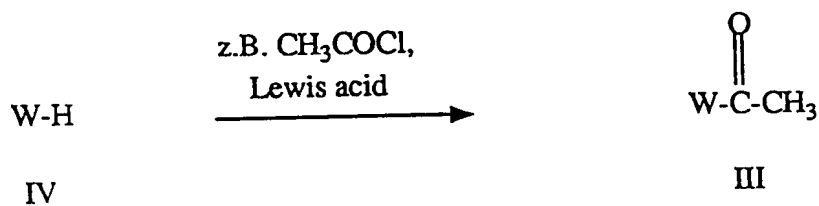
Method b):



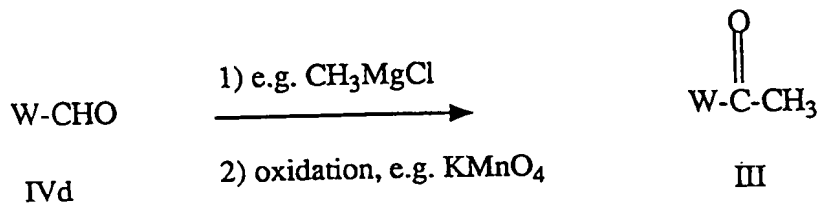
Method c):



Method d):



Method e):



In reaction scheme 1,

the radical W is an aromatic system W₁ to W₁₁, as defined under formula I,

where it should be remembered that not every substituent definition is compatible with all the processes described. The choice of the suitable preparation method depends on the properties (reactivities) of the substituents in the particular intermediates.

The reaction according to method a) in reaction scheme 1 is carried out, for example, starting from the carboxylic acid of the formula IVa with methyllithium or a Grignard compound (methylmagnesium chloride or bromide) in an inert solvent, preferably diethyl ether, at temperatures of -100°C to 50°C, analogously to Organic Reactions 18, 1 (1970), Organic Synthesis 49, 81 (1969) and 'Comprehensive Organic Transformations', Editor R.C. Larock, VCH 1989, page 685.

The reaction according to method b) in reaction scheme 1 is carried out analogously to Tetrahedron 48, 9233 (1992), by reacting the acid chloride of the formula IVb with a malonic acid diester (XVII), preferably dimethyl malonate of the formula XVIIa, in the presence of dry magnesium chloride and a base, for example triethylamine, in an inert solvent, for example toluene or diethyl ether, at temperatures of -20°C to 50°C. The resulting crude product is then introduced into aqueous dimethyl sulfoxide (DMSO) at temperatures of 20°C to 150°C and worked up by customary methods.

The reaction according to method c) in reaction scheme 1 is carried out analogously to J. Chem. Soc. 1954, 1297. The amines of the formula IVc are first diazotized to give the corresponding diazonium salts and these are reacted with acetaldehyde oxime ($\text{CH}_3\text{CH}=\text{NOH}$). Subsequent hydrolysis, for example with aqueous sodium acetate and copper sulfate, gives the corresponding methyl ketone of the formula III.

The reaction according to method d) in reaction scheme 1 is carried out analogously to 'Vogel's Textbook of Practical Organic Chemistry', Longman 1989, pages 1006 et seq. In this reaction, the aromatic compound of the formula IV is reacted in the presence of an acetic acid derivative, for example acetyl chloride, and an acid, for example Lewis acids, such as aluminium chloride, with or without a solvent at temperatures of 0°C to 150°C.

The reaction according to method e) in reaction scheme 1 is carried out analogously to 'Advanced Organic Chemistry', Editor J. March, McGraw-Hill Book Company, New York, 1985, pages 816 et seq. and 1057 et seq., starting from an aldehyde of the formula IVd by means of a Grignard reagent, for example methylmagnesium chloride or bromide, or by means of methyllithium in an inert solvent, preferably diethyl ether, at temperatures of -80°C to 25°C, with subsequent oxidation of the alcohol to the ketone. Examples of

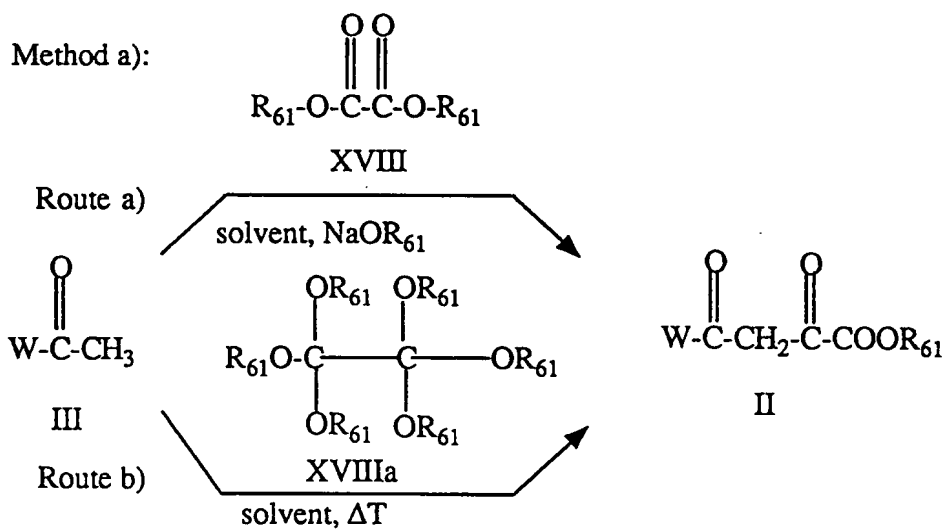
- 27 -

oxidizing agents are potassium permanganate, pyridinium dichromate and sodium dichromate.

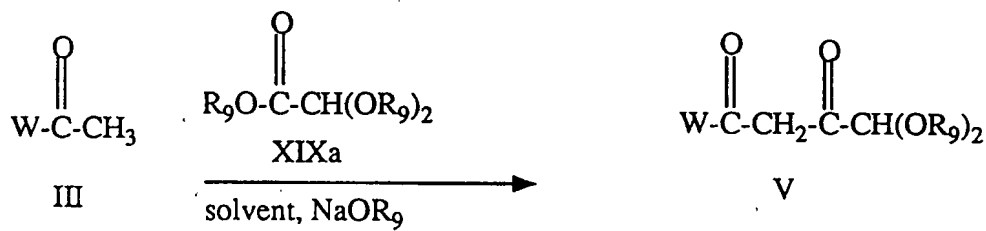
The starting compounds of the formulae IV, IVa, IVb, IVc and IVd are known and can be prepared by disclosed processes.

The intermediates of the formulae II, V, VI and VII can be prepared analogously to known processes from the methyl ketones of the formula III described above, for example in accordance with methods a), b), c) and d) listed in the following reaction scheme 2.

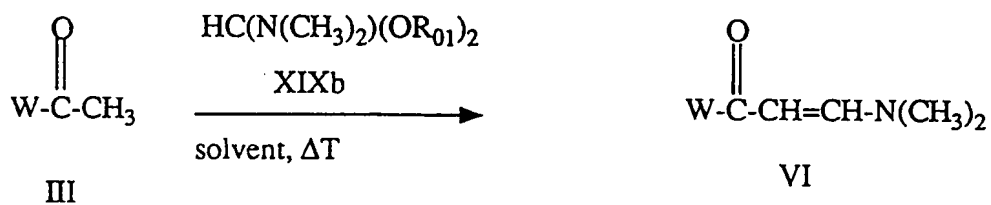
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Reaction scheme 2

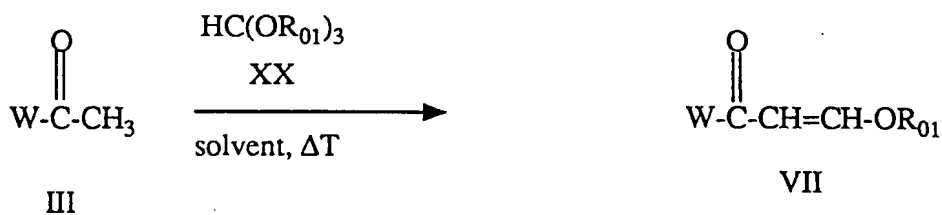
Method b):



Method c):



Method d):



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In reaction scheme 2,
the radicals W, R₉ and R₆₁ are as defined under formula I and
R₀₁ is C₂-C₅alkyl, in particular methyl or ethyl.

The reaction according to method a) in reaction scheme 2 gives the diketo esters of the formula II either according to

route a): the methyl ketone of the formula III is reacted with an oxalic acid dialkyl ester of the formula XVIII, preferably dimethyl malonate, in the presence of a base, in particular the corresponding sodium alcoholate, in a solvent, for example the corresponding alcohol R₆₁OH, together with a second solvent, for example an ether or hydrocarbon, at temperatures of 0°C up to the boiling point of the particular solvent, or according to route b): the methyl ketone of the formula III is reacted with a hexaalkoxyethane of the formula XVIIIa, preferably hexamethoxy- or hexaethoxyethane, with or without a solvent at temperatures from 20°C up to the boiling point of the particular reaction medium. If the reaction is carried out in a solvent, toluene is preferred. The reaction can be catalysed by acids, for example hydrochloric acid, sulfuric acid, methanesulfonic acid, p-toluenesulfonic acid or trifluoroacetic acid.

The reactions according to methods c) and d) in reaction scheme 2 proceed analogously to those described under a) and give the intermediates of the formulae VI and VII. If the methyl ketone of the formula III is reacted on the one hand with acetals of N,N-dimethylformamide of the formula XIXb, preferably N,N-dimethylformamide dimethyl or diethyl acetal, intermediates of the formula VI are formed, or on the other hand with formic acid ortho esters of the formula XX, preferably methyl or ethyl orthoformate, the intermediates of the formula VII are formed.

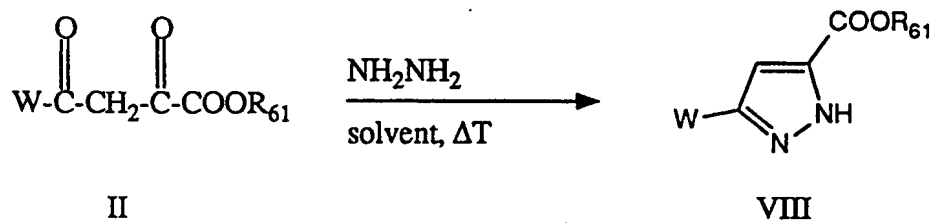
The reaction of the methyl ketones of the formula III according to method b) in reaction scheme 2 with acetal esters of the formula XIXa, preferably methyl dimethoxyacetate or ethyl diethoxyacetate, in the presence of a base, preferably sodium methoxide or sodium ethoxide, and a solvent, in particular methanol or ethanol, at temperatures of 0°C up to the boiling point of the reaction mixture gives the diketo acetals of the formula V. In certain cases, a further solvent, for example ether, can also be added.

The preparation processes for the pyrazole rings are explained in more detail in the following reaction schemes 3, 4 and 5.

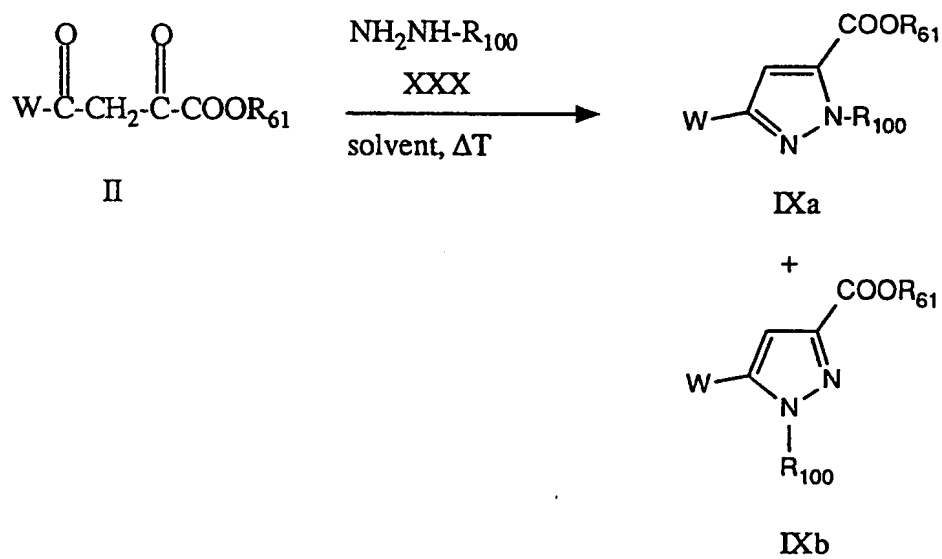
- 30 -

Reaction scheme 3

Method a):

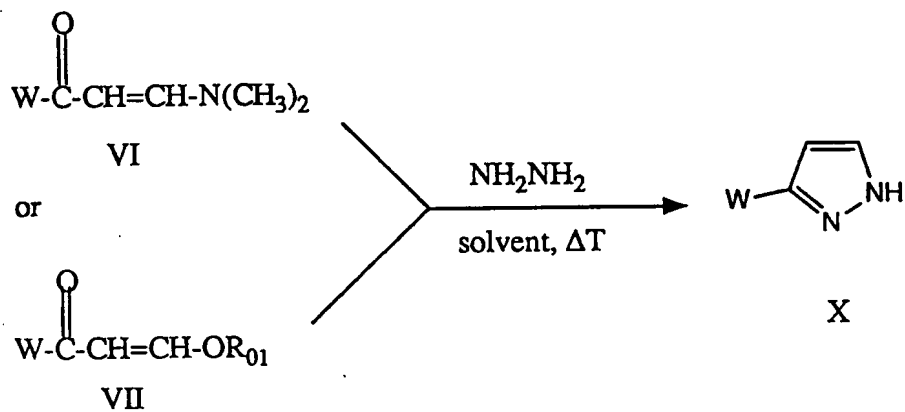


Method b):

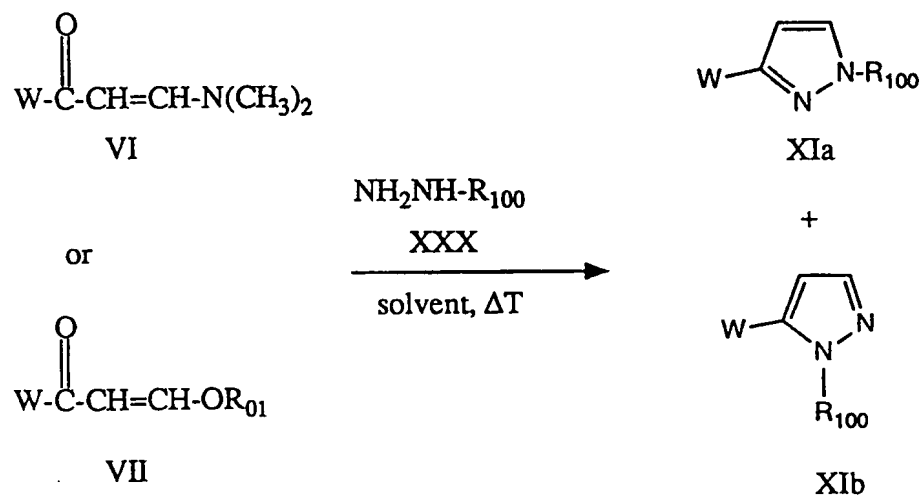


Reaction scheme 4

Method a):

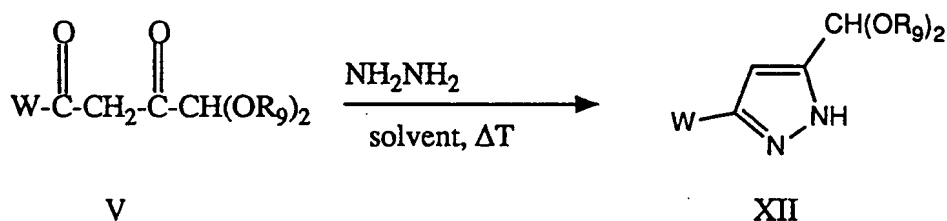


Method b):

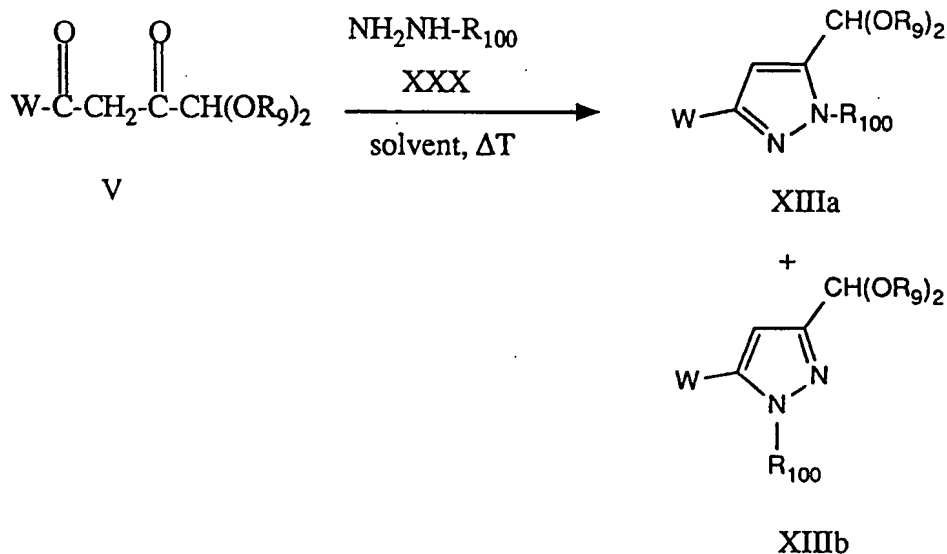


Reaction scheme 5

Method a):



Method b):



The preparation of the pyrazole rings of the formulae VIII (reaction scheme 3, method a)) and X (reaction scheme 4, method a)) which are unsubstituted on the nitrogen atoms is carried out by reaction of the compounds of the formulae II, VI or VII with hydrazine or hydrazine hydrate at elevated temperature.

For preparation of the compound of the formula VIII, glacial acetic acid or an alcohol is preferably used as the solvent under gentle reflux, and for preparation of the compound of the formula X, toluene is preferably used at elevated temperature. If appropriate, an acid, for example sulfuric acid or p-toluenesulfonic acid, can be added as a catalyst.

The preparation of the pyrazole ring of the formula XII (reaction scheme 5, method a)) which is unsubstituted on the nitrogen atom is preferably carried out starting from the compounds of the formula V in alcoholic solution with hydrazine hydrate at elevated

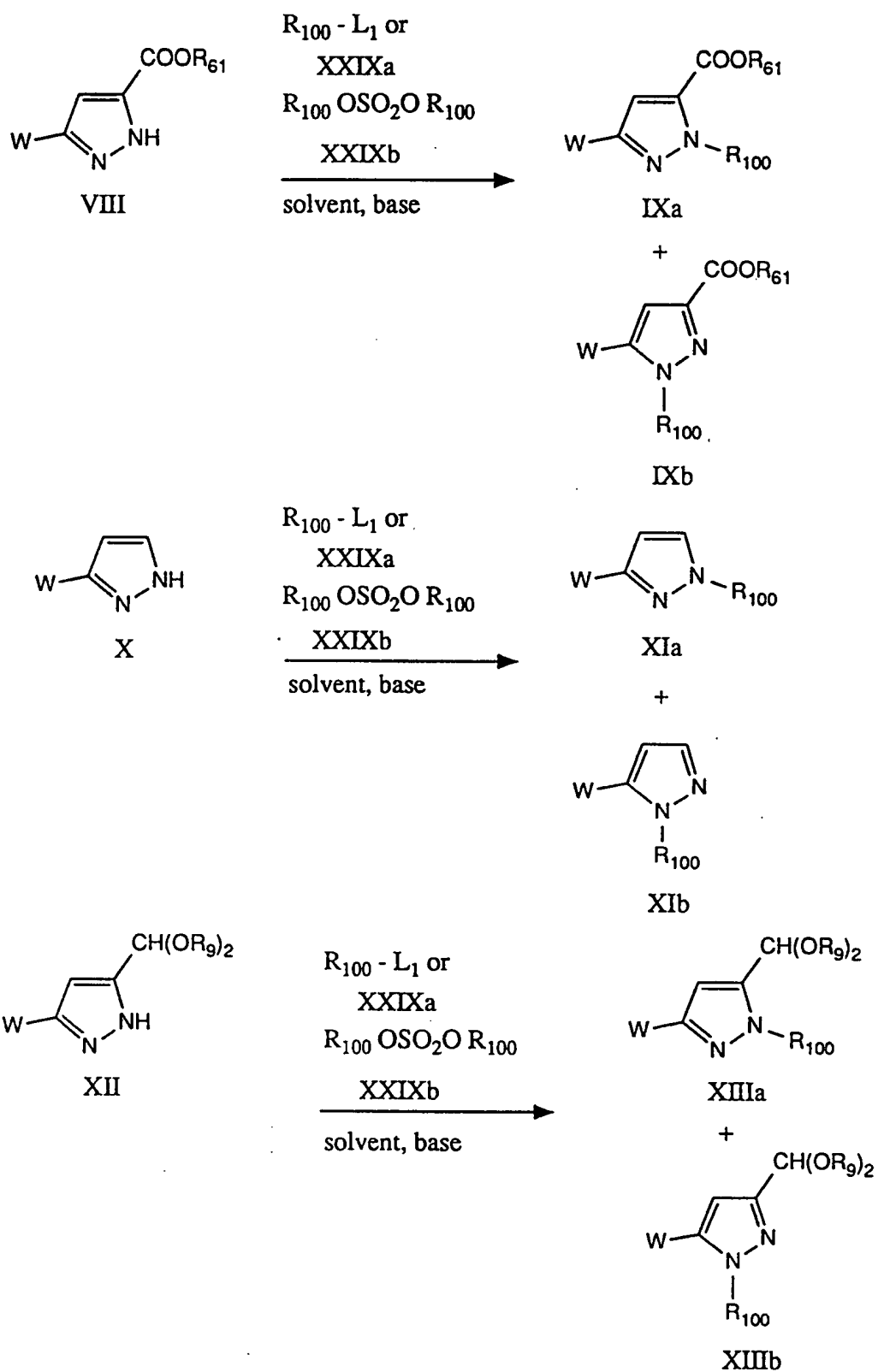
temperature.

For preparation of the pyrazole rings substituted on the nitrogen atom (reaction scheme 3, 4 and 5, method b)), the procedure is analogous to that described under method a), the compound of the formula XXX, for example N-alkylhydrazine, preferably N-methylhydrazine, being employed as a reagent.

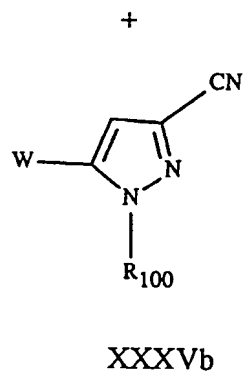
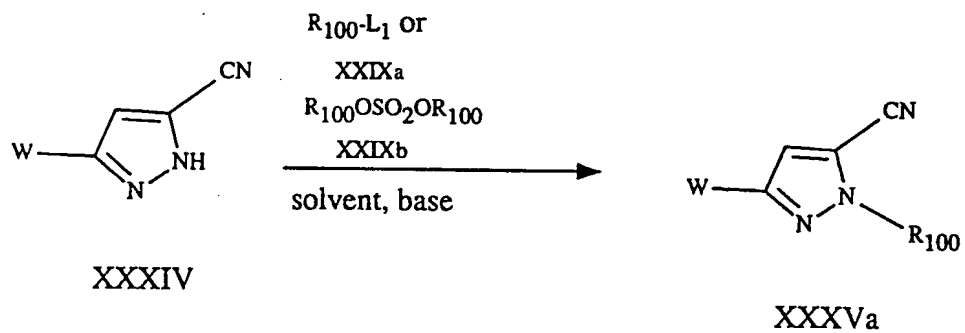
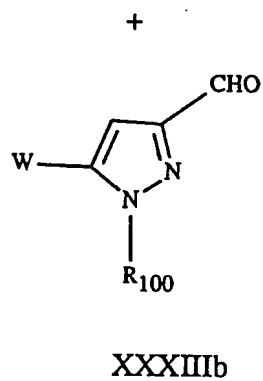
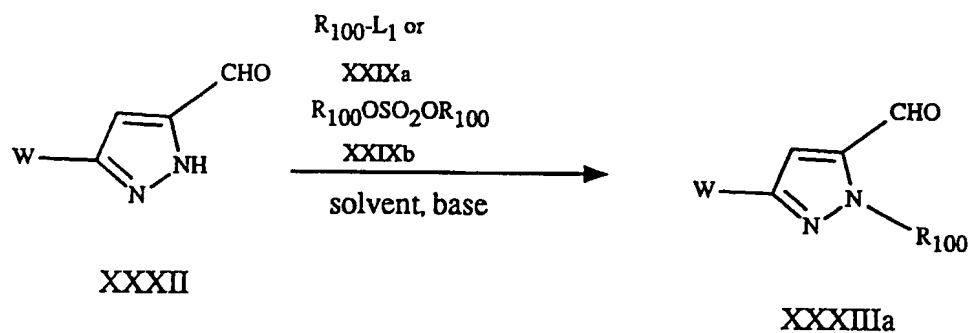
The processes according to method b) lead to isomer mixtures IXa and IXb, XIa and XIb or XIIIa and XIIIb, the ratio of the two isomers depending on the reaction conditions on the one hand and on the corresponding intermediates of the formulae II, VI, VII and V on the other hand.

The mixtures of the isomeric pyrazole esters of the formulae IXa and IXb can easily be separated into the pure isomers by means of silica gel chromatography and/or recrystallization. The same also applies in general to the isomer mixtures of the formulae XIa and XIb, and XIIIa and XIIIb.

In certain cases it is advantageous to prepare the N-alkyl-substituted pyrazole derivatives, in particular the N-methyl-substituted pyrazole derivatives, via N-alkylation of the corresponding unsubstituted pyrazoles of the formulae VIII, X or XII. Reaction scheme 6 explains this.

Reaction scheme 6

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Reaction scheme 6 (continuation)

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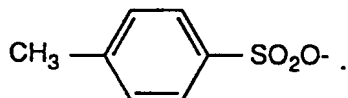
In reaction schemes 3 to 6,

the radical W is an aromatic system W_1 to W_{11} as defined under formula I,

R_9 is as defined under formula I,

R_{61} , R_{100} and R_{01} are C_1 - C_6 alkyl, C_3 - C_6 alkenyl or C_3 - C_6 alkynyl, and

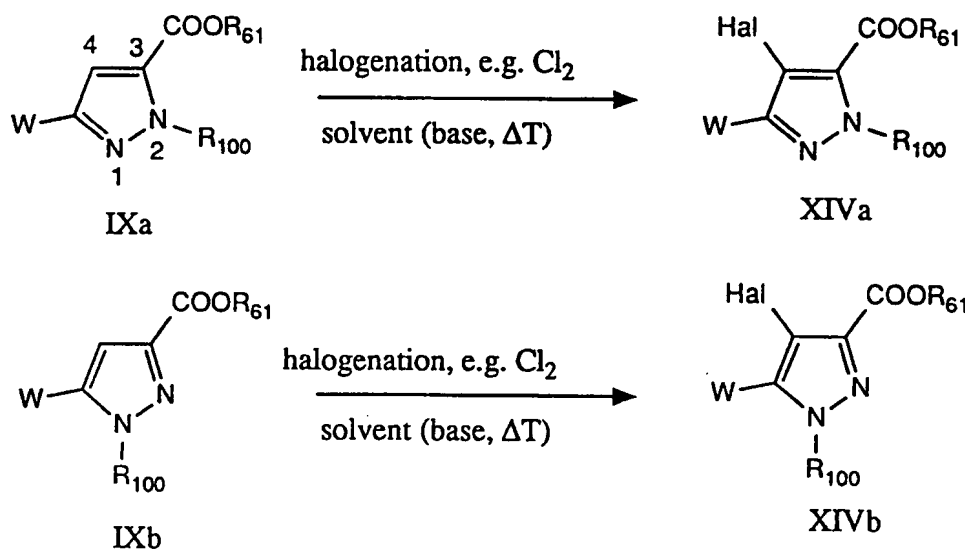
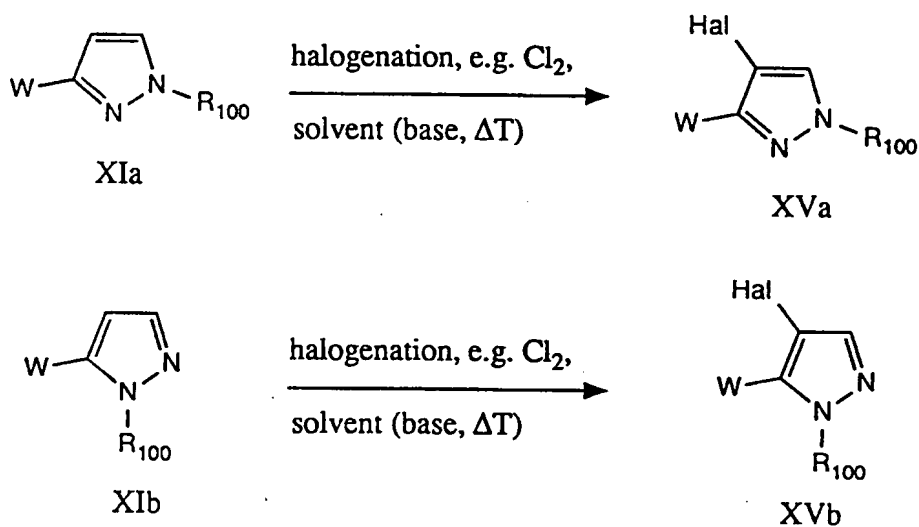
L_1 is a leaving group, for example chlorine, bromine, iodine, $CH_3SO_2O^-$ or

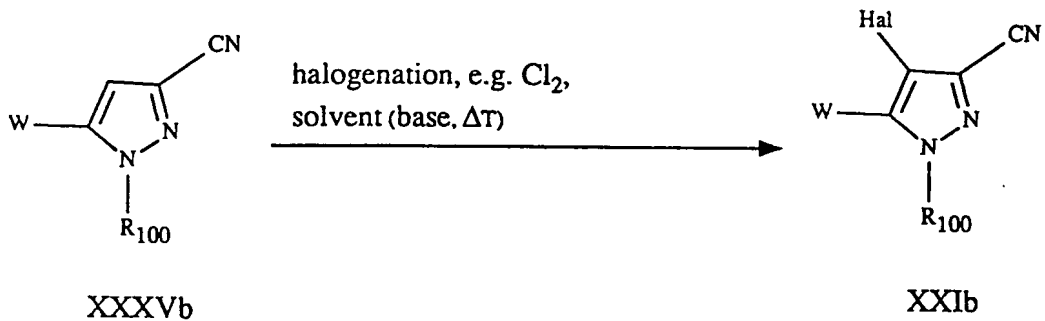
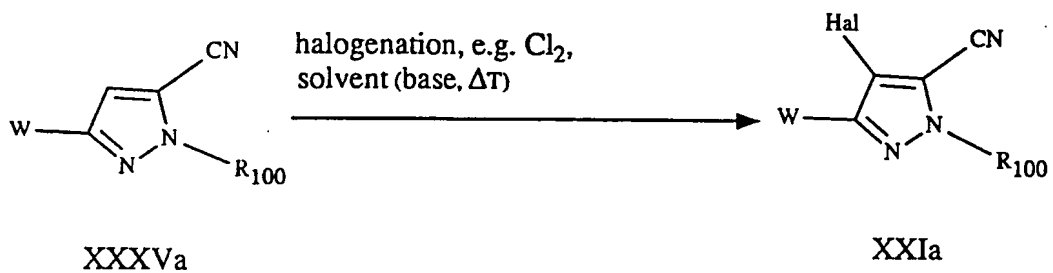
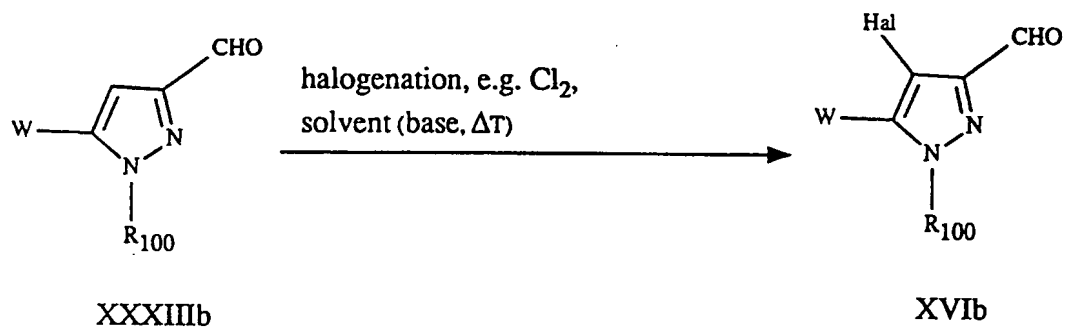
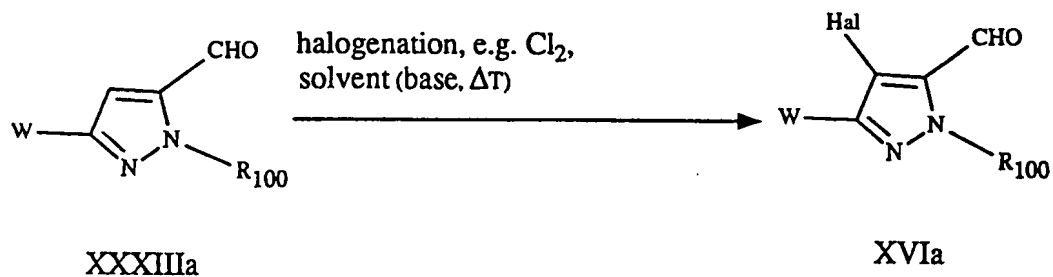


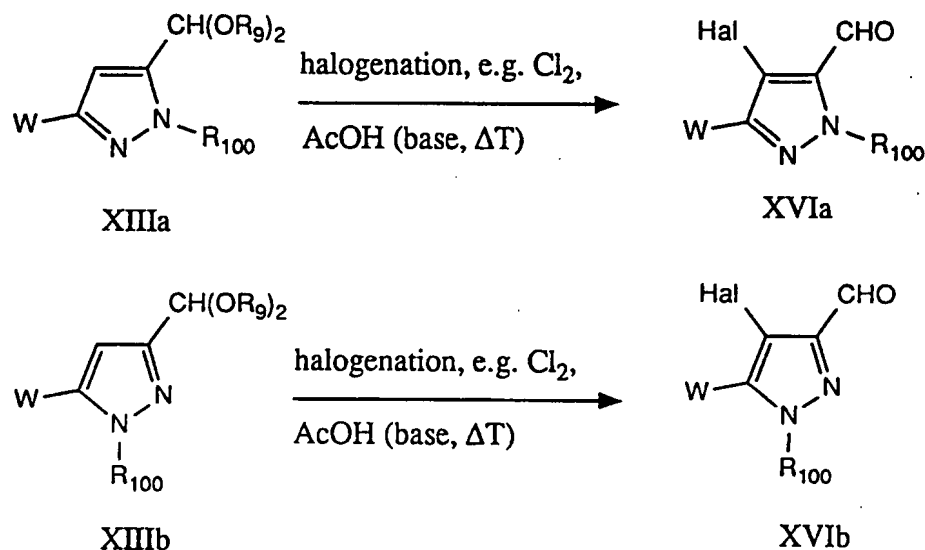
The N-alkylation of the pyrazole rings in the compounds of the formulae VIII, X, XII, XXXII and XXXIV in reaction scheme 6 is carried out at room temperature or slightly elevated temperatures in the presence of a solvent, for example acetone, methyl ethyl ketone, N,N-dimethylformamide, N-methylpyrrolidone or dimethyl sulfoxide, a base, for example potassium carbonate, sodium carbonate, sodium hydroxide or potassium hydroxide, and an alkylating agent of the formula XXIXa or XXIXb, preferably methyl iodide or dimethyl sulfate.

The N-alkylation of the pyrazole rings leads to isomer mixtures of the formulae IXa and IXb, XIa and XIb, XIIIa and XIIIb, XXXIIIa and XXXIIIb, and XXXVa and XXXVb, which can in general be separated into the pure isomers by customary processes.

The halogenation of the 4-position of the pyrazole ring is explained in more detail in reaction schemes 7, 8 and 9.

Reaction scheme 7Reaction scheme 8

Reaction scheme 8 (continuation)

Reaction scheme 9

In reaction schemes 7 to 9,

the radical W is an aromatic system W_1 to W_{11} as defined above,

Hal is halogen, in particular chlorine and bromine,

R_9 is C_1 - C_6 alkyl, C_3 - C_6 alkenyl or C_3 - C_6 alkynyl, and

R_{61} and R_{100} are hydrogen, C_1 - C_6 alkyl, C_3 - C_6 alkenyl or C_3 - C_6 alkynyl.

The halogenation of the isomeric pyrazolecarboxylic acid derivatives of the formulae IXa and IXb in reaction scheme 7 is carried out by means of a dihalogen molecule, preferably Cl_2 , Br_2 , I_2 , F-I or Cl-I, the iodine derivative mainly being formed with the last two reagents, in a suitable solvent, preferably glacial acetic acid or carbon tetrachloride, at temperatures of $10^\circ C$ to the reflux temperature of the particular reaction mixture. In certain cases it is advantageous to carry out the halogenation in the presence of a base, for example sodium acetate, it being possible for the base to be added either before or during the course of the halogenation. If appropriate, a catalyst, for example aluminium chloride, iron(II) chloride or iron powder, can be added to the reaction mixture to accelerate the halogenation.

The halogenation of the isomeric pyrazole derivatives of the formulae XIa and XIb, XXXIIIa and XXXIIIb and XXXVa and XXXVb in reaction scheme 8 is carried out analogously to that described under reaction scheme 7 in the 4-position of the pyrazole ring and gives the isomeric halogenopyrazoles of the formulae XVa and XVb. The end products can be prepared in a pure form by customary methods, for example by means of

- 40 -

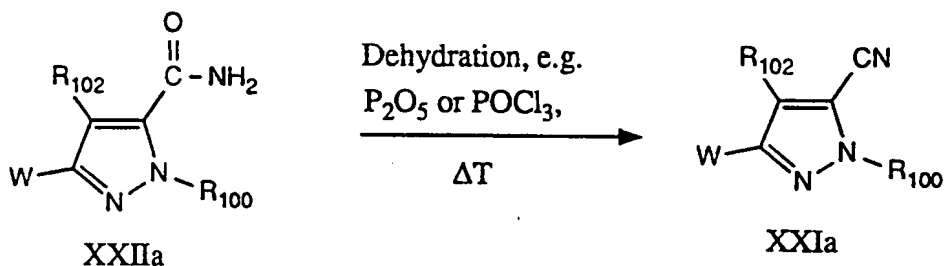
silica gel chromatography and/or recrystallization.

The halogenation of the isomeric acetal-pyrazoles of the formulae XIIIa and XIIIb in reaction scheme 9 is preferably carried out, for example, in glacial acetic acid, if appropriate with the addition of sodium acetate, at temperatures of 15°C to the reflux temperature of the reaction mixture. The isomeric pyrazole-aldehydes halogenated in the 4-position, of the formulae XVIa and XVIb, are obtained as products.

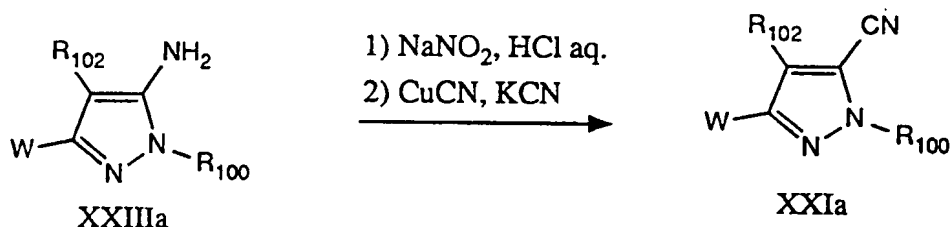
The preparation of the pyrazole derivatives of the formula XXIIa substituted by nitrile in the 3-position starting from the various intermediates of the formulae XXIIa, XXIIIa, XXIVa and XXVa is explained in reaction scheme 10. The choice of the suitable preparation method and the corresponding reaction conditions depends here on the properties (reactivities) of the substituents in the particular intermediates.

Reaction scheme 10

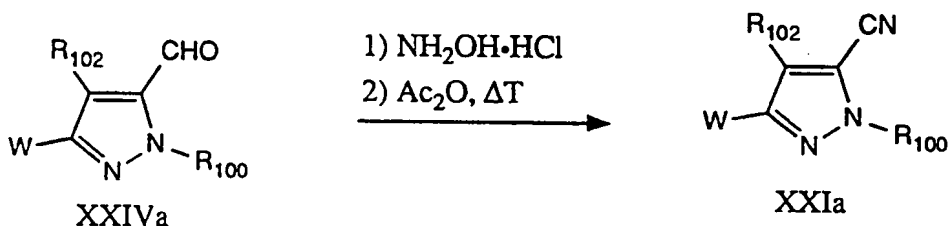
Method a):



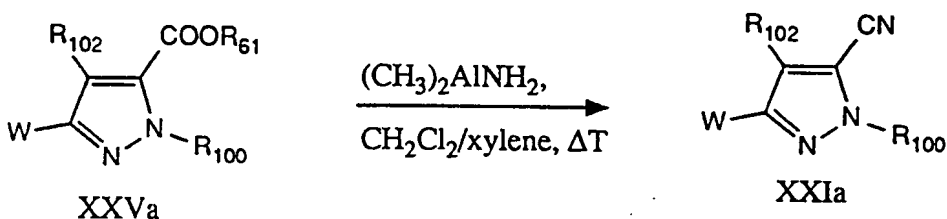
Method b):



Method c):



Method d):



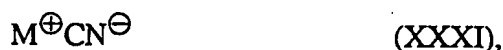
In reaction scheme 10,

the radicals W and R₆₁ are as defined under formula I,R₁₀₀ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl, andR₁₀₂ is fluorine, chlorine, bromine, iodine, CH₃, CF₃, CN or -C≡CH.

The reaction according to method a) in reaction scheme 10 is carried out analogously to 'Advanced Organic Chemistry', Editor J. March, McGraw-Hill Book Company, N.Y., 1985, page 932 et seq. and converts primary amides of the formula XXIIa into the

nitrilopyrazoles of the formula XXIIa by dehydration, for example with phosphorus pentoxide (P_2O_5), phosphorus oxychloride ($POCl_3$) or carbon tetrachloride/triphenylphosphine ($CCl_4/P(C_6H_5)_3$), if appropriate in the presence of an inert solvent, at elevated temperature.

The reaction according to method b) in reaction scheme 10 is carried out analogously to 'Vogel's Textbook of Practical Organic Chemistry', 1989, page 938; aminopyrazoles of the formula XXIIIa are accordingly first diazotized in aqueous hydrochloric acid with sodium nitrite at low temperatures, for example $-10^\circ C$ to $15^\circ C$, and the diazonium salts formed are converted into the nitrile derivatives of the formula XXIIa with an aqueous solution of a salt of the formula XXXI



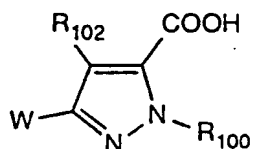
in which M^{\oplus} is an alkali metal, alkaline earth metal or transition metal ion, for example copper(I) cyanide or potassium cyanide (Sandmeyer reaction).

The reaction according to method c) in reaction scheme 10 is carried out analogously to 'Vogel's Textbook of Practical Organic Chemistry', Longman 1989, page 1084, and reacts pyrazole-aldehydes of the formula XXIVa with hydroxylamine hydrochloride in protic solvents to give oximes, which are dehydrated in acetic anhydride at elevated temperature to give the nitrilopyrazoles of the formula XXIIa.

The reaction according to method d) in reaction scheme 10 uses ester-pyrazoles of the formula XXVa, which can be converted directly into the nitriles of the formula XXIIa with the aid of dimethyl-aluminium amide ($(CH_3)_2AlNH_2$), freshly prepared from commercially obtainable trimethylaluminium by known processes, in a mixture of inert solvents, preferably hexane, heptane, methylene chloride or xylene, by heating at the reflux temperature.

The reagents of the formulae XXIXa, XXIXb, XXX and XXXI used are known.

The pyrazolecarboxylic acids of the formula XXVc



(XXVc),

can be obtained analogously to known processes

a) by hydrolysis, preferably with aqueous alcohols, aqueous tetrahydrofuran or aqueous N,N-dimethylformamide, in the presence of sodium hydroxide or potassium hydroxide at moderate temperatures, for example 0°C to the reflux temperature of the reaction mixture, and subsequent acid working up from the corresponding ester derivatives of the formula XXVa



in which, in the compounds of the formulae XXVa and XXVc, the radicals W, R₆₁, R₁₀₀ and R₁₀₂ are as defined under formula I, or
b) by oxidation of an aldehyde of the formula XXIVa



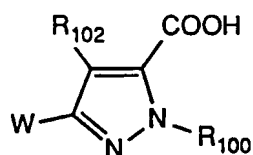
for example with potassium permanganate.

The pyrazolecarboxylic acid chlorides of the formula XXVd



can be prepared analogously to known processes, for example 'Organikum', Ed. J.A. Barth, Leipzig, 1993, page 439 et seq., from the corresponding pyrazolecarboxylic acids of the formula XXVc

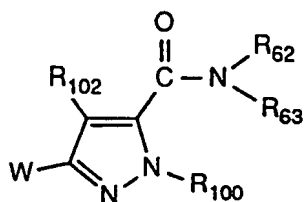
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(XXVc),

in which, in the compounds of the formulae XXVc and XXVd, the radicals W, R₁₀₀ and R₁₀₂ are as defined, with inorganic acid chlorides, for example phosphorus trichloride or thionyl chloride, at elevated temperature, if appropriate in the presence of an inert solvent.

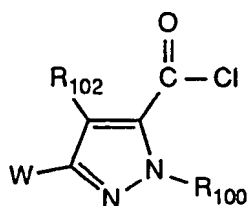
The pyrazolecarboxylic acid amides of the formula XXVIa



(XXVIa)

can be prepared analogously to known processes, for example as described in 'Organikum', Ed. J.A. Barth, Leipzig, 1993, page 425 et seq.,

a) from the corresponding carboxylic acid chlorides of the formula XXVd



(XXVd)

and an amine of the formula XXVII

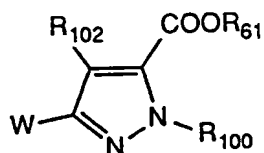


(XXVII)

in the presence of a solvent, if appropriate with the addition of a base, for example triethylamine, alkali metal hydroxides or pyridine, at moderate temperatures, or

b) from certain ester derivatives of the formula XXVa

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(XXVa)

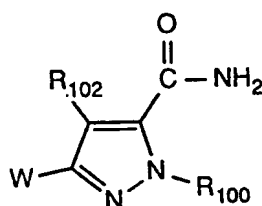
by heating in the presence of an amine of the formula XXVII



(XXVII),

in which, in the formulae XXVIa, XXVd, XXVII and XXVa, the radicals W, R₆₁, R₆₂, R₆₃, R₁₀₀ and R₁₀₂ are as defined under formula I, where R₆₁ is methyl in particular.

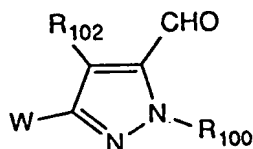
For preparation of primary pyrazole-amides of the formula XXIIa



(XXIIa)

the corresponding acid chloride of the formula XXVd is reacted with aqueous ammonia solution.

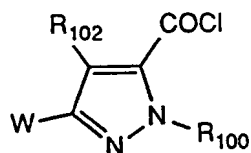
The pyrazole-aldehydes of the formula XXIVa



(XXIVa)

can be prepared by known processes, for example as described in Arch. Pharm. 264, 337 (1926) and Liebigs Annalen 437, 297 (1924),
a) from the corresponding acid chlorides of the formula XXVd

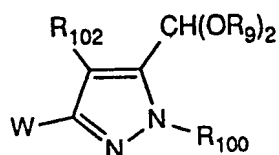
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(XXVd),

or

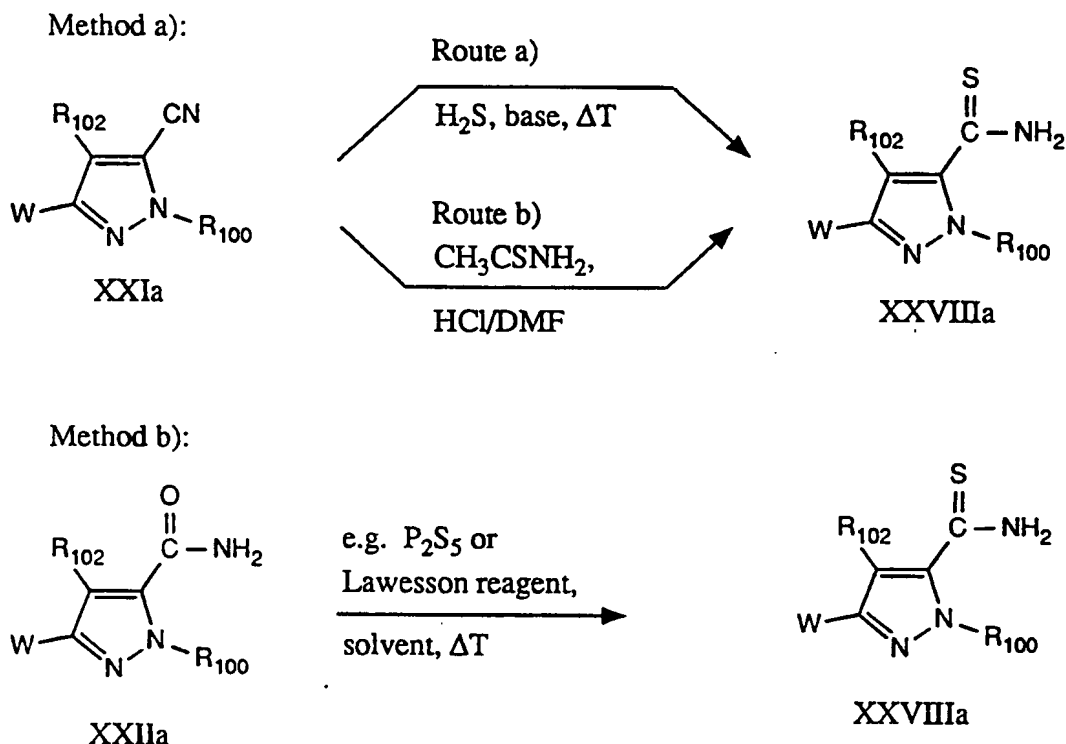
b) from the corresponding acetals of the formula XIIIc



(XIIIc),

in which, in the compounds of the formulae XXIVa, XXVd and XIIIc, the radicals W, R₉, R₁₀₀ and R₁₀₂ are as defined under formula I, by acid hydrolysis, for example with hydrochloric acid, sulfuric acid or p-toluenesulfonic acid.

The preparation of the pyrazolethioamides of the formula XXVIIIa starting from the corresponding pyrazolenitriles of the formula XXIa or pyrazole-amides of the formula XXIIa is carried out analogously to known processes, for example as described in 'Methodicum Chemicum', Volume 6, Georg Thieme Verlag, Stuttgart, 1974, page 768 et seq. and 'Methoden der Organischen Chemie' (Methods of Organic Chemistry) (Houben-Weyl), Volume E5, Georg Thieme Verlag, Stuttgart, 1985, page 1242 et seq., and is explained in reaction scheme 11.

Reaction scheme 11

In reaction scheme 11,
 the radicals W, R_{100} and R_{102} in the compounds of the formula XXIa, XXIIa and XXVIIIa
 are as defined under formula I,
 taking into account the reactivities and stabilities of the substituents under the reaction
 conditions chosen.

The reaction according to method a), route a) in reaction equation 11 uses pyrazolenitriles
 of the formula XXIa, which can be converted into the pyrazolethioamides of the formula
 XXVIIIa with hydrogen sulfide under base catalysis, for example with metal hydroxides,
 basic ion exchangers, alkanolates, ammonia or organic bases, for example pyridine and
 triethylamine, in an organic solvent, for example pyridine or an alcohol. The use of a
 stronger base, for example tetramethylguanidine, as the catalyst in solvents such as
 sulfolane may be indicated. The reaction temperatures may vary greatly according to the
 reactivity of the reactants; if appropriate, the reaction can also be carried out in a pressure
 reactor.

The reaction according to method a), route b), in reaction scheme 11 also uses

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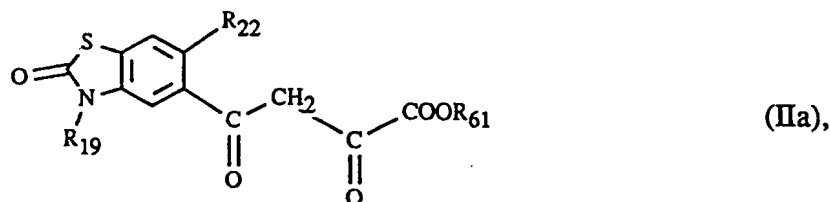
pyrazolenitriles of the formula XXIa, which can be converted into the corresponding pyrazolethioamides of the formula XXVIIIa with a source of hydrogen sulfide, for example thioacetamide, in dry N,N-dimethylformamide under acid catalysis, for example with dry hydrogen chloride, at temperatures of 20°C to 150°C.

The reaction according to method b) in reaction scheme 11 starts from primary amides of the formula XXIIa, which give the pyrazolethioamides of the formula XXVIIIa in the presence of the sulfur reagents mentioned under method a) or other sulfur reagents, for example Lawesson reagent, phosphorus pentasulfide or iron sulfide, in various polar and non-polar solvents, for example toluene, xylenes, tetrahydrofuran, chloroform, dioxane or N,N-dimethylformamide, at temperatures of 20°C to 150°C.

All the other compounds originating from the scope of formula I can easily be prepared in a manner analogous to those described above or by methods such as are described, for example, in "Methoden der Organischen Chemie (Methods of Organic Chemistry)" (Houben-Weyl), Volume E 8b, Georg Thieme Verlag Stuttgart, 1994, page 399 et seq. or in "Pyrazoles, Pyrazolines, Pyrazolidines, Indazoles and Condensed Rings", Editor R. H. Wiley, Interscience Publishers, New York, 1967, page 1 et seq., or from the compounds of the formula I described by derivatization by known standard methods.

The end products of the formula I can be isolated in the customary manner by concentration and/or evaporation of the solvent and can be purified by recrystallization or trituration of the solid residue in solvents in which the end products do not dissolve readily, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons, by distillation or by means of column chromatography or flash column chromatography and a suitable eluent.

The compounds of the formula IIa



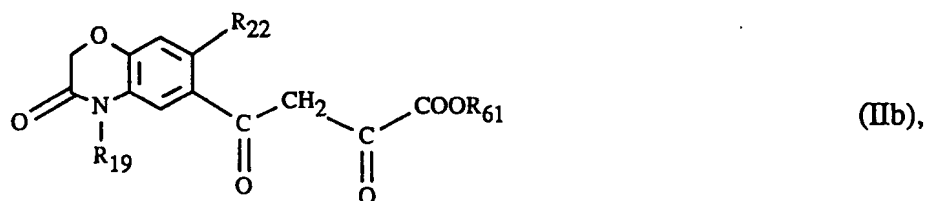
in which R₁₉ is hydrogen, C₁-C₆alkyl or C₂-C₄alkenyl;
R₂₂ is hydrogen or halogen; and

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R_{61} is hydrogen or C_1 - C_{10} alkyl,

are novel and have been developed specifically for synthesis of the compounds of the formula I. The present invention therefore also relates to them.

The compounds of the formula IIb



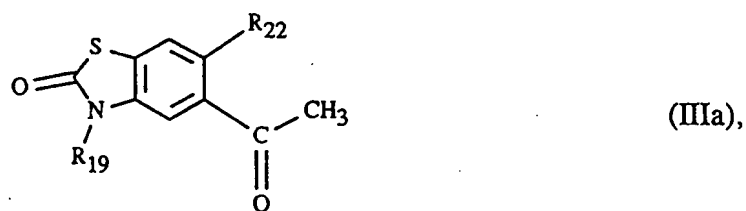
in which R_{19} is hydrogen, carboxy- C_1 - C_4 alkyl, C_2 - C_4 alkenyl or C_2 - C_6 alkynyl;

R_{22} is hydrogen or halogen; and

R_{61} is hydrogen or C_1 - C_{10} alkyl,

are novel and have been developed specifically for synthesis of the compounds of the formula I. The present invention therefore also relates to them.

The compounds of the formula IIIa



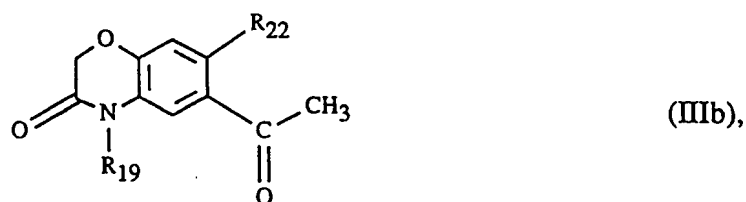
in which R_{19} is hydrogen, C_1 - C_6 alkyl, carboxy- C_1 - C_4 alkyl,

C_1 - C_6 alkoxycarbonyl- C_1 - C_4 alkyl, C_2 - C_4 alkenyl or C_2 - C_6 alkynyl; and

R_{22} is hydrogen or halogen,

are novel and have been developed specifically for synthesis of the compounds of the formula I. The present invention therefore also relates to them.

The compounds of the formula IIIb



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in which R_{19} is hydrogen, carboxy- C_1 - C_4 alkyl, C_1 - C_6 alkoxycarbonyl- C_1 - C_4 alkyl, C_2 - C_4 alkenyl or C_2 - C_6 alkynyl; and R_{22} is hydrogen or halogen, are novel and have been developed specifically for synthesis of the compounds of the formula I. The present invention therefore also relates to them.

All the application methods customary in agriculture, for example preemergence application, postemergence application and seed dressing, as well as various methods and techniques, for example controlled release of the active ingredient, are suitable for the use according to the invention of the compounds of the formula I or compositions containing these. For this use, the active ingredient is absorbed in solution onto mineral carrier granules or polymerized granules (urea/formaldehyde) and dried. If appropriate, a coating which allows the active ingredient to be released in metered form over a certain period of time can additionally be applied (coated granules).

The compounds of the formula I can be employed in unchanged form, i.e. as they are obtained in the synthesis, but they are preferably processed in the customary manner with the auxiliaries conventionally used in the art of formulation, for example to emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. The methods of use, such as spraying, atomizing, dusting, wetting, scattering or pouring are chosen according to the required aims and the given circumstances, as is the nature of the compositions.

The formulations, i.e. the compositions, preparations or mixtures comprising the active ingredient of the formula I or at least one active ingredient of the formula I and as a rule one or more solid or liquid formulation auxiliaries are prepared in a known manner, for example by intimate mixing and/or grinding of the active ingredients with the formulation auxiliaries, for example solvents or solid carriers. Surface-active compounds (surfactants) furthermore can additionally be used during preparation of the formulations.

Solvents can be: aromatic hydrocarbons, in particular the C_8 to C_{12} fractions, such as mixtures of alkylbenzenes, for example xylene mixtures, or alkylated naphthalenes; aliphatic and cycloaliphatic hydrocarbons, such as paraffins, cyclohexane or tetrahydronaphthalene; alcohols, such as ethanol, propanol or butanol; glycols and ethers and esters thereof, such as propylene glycol ethers or dipropylene glycol ethers, ketones, such as cyclohexanone, isophorone or diacetone alcohol, and strongly polar solvents, such

as N-methyl-2-pyrrolidone, dimethyl sulfoxide or water; vegetable oils and esters thereof, such as rapeseed oil, castor oil or soya oil; and if appropriate also silicone oils.

Natural rock powders, such as calcite, talc, kaolin, montmorillonite or attapulgite, are as a rule used as solid carriers, for example for dusts and dispersible powders. Highly disperse silicic acid or highly disperse absorbent polymers can also be added to improve the physical properties. Granular adsorptive carrier granules are porous types, for example pumice, crushed brick, sepiolite or bentonite, and non-absorbent carrier materials are, for example, calcite or sand. A large number of pregranulated materials of inorganic or organic nature, such as, in particular, dolomite or comminuted plant residues, can also be used.

Surface-active compounds are nonionic, cationic and/or anionic surfactants having good emulsifying, dispersing and wetting properties, depending on the nature of the active ingredient of the formula I to be formulated. Surfactants are also to be understood as meaning surfactant mixtures.

Suitable anionic surfactants can be either so-called water-soluble soaps or water-soluble synthetic surface-active compounds.

Soaps are the alkali metal, alkaline earth metal or substituted or unsubstituted ammonium salts of higher fatty acids (C_{10} - C_{22}), for example the Na or K salts of oleic or stearic acid, or of naturally occurring fatty acid mixtures, which can be obtained, for example, from coconut oil or tallow oil. The fatty acid methyl-taurine salts are also suitable.

More frequently, however, so-called synthetic surfactants are used, in particular fatty alcohol sulfonates, fatty alcohol sulfates, sulfonated benzimidazole derivatives or alkylarylsulfonates.

The fatty alcohol sulfonates or sulfates are as a rule in the form of alkali metal, alkaline earth metal or substituted or unsubstituted ammonium salts and have an alkyl radical having 8 to 22 C atoms, alkyl also including the alkyl moiety of acyl radicals, for example the Na or Ca salt of ligninsulfonic acid, of sulfuric acid dodecyl ester or of a fatty alcohol sulfate mixture prepared from naturally occurring fatty acids. These also include the salts of sulfuric acid esters and sulfonic acids of fatty alcohol-ethylene oxide adducts. The sulfonated benzimidazole derivatives preferably contain 2 sulfonic acid groups and a fatty acid radical having 8-22 C atoms. Alkylarylsulfonates are, for example, the Na, Ca or

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triethanolamine salts of dodecylbenzenesulfonic acid, of dibutylnaphthalenesulfonic acid or of a naphthalenesulfonic acid-formaldehyde condensation product.

Corresponding phosphates, for example salts of the phosphoric acid ester of a p-nonylphenol-(4-14)-ethylene oxide adduct, or phospholipids are also suitable.

Nonionic surfactants are in particular polyglycol ether derivatives of aliphatic or cycloaliphatic alcohols, saturated or unsaturated fatty acids and alkylphenols and can contain 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydrocarbon radical and 6 to 18 carbon atoms in the alkyl radical of alkylphenols.

Other suitable nonionic surfactants are the water-soluble adducts, containing 20 to 250 ethylene glycol ether groups and 10 to 100 propylene glycol ether groups, of polyethylene oxide on polypropylene glycol, ethylenediaminopolypropylene glycol and alkylpolypropylene glycol having 1 to 10 carbon atoms in the alkyl chain. The compounds mentioned usually contain 1 to 5 ethylene glycol units per propylene glycol unit.

Examples of nonionic surfactants are nonylphenolpolyethoxyethanols, castor oil polyglycol ethers, polypropylene-polyethylene oxide adducts, tributylphenoxypolyethoxyethanol, polyethylene glycol and octylphenoxypolyethoxyethanol.

Fatty acid esters of polyoxyethylene sorbitan, such as polyoxyethylene sorbitan trioleate, are also suitable.

The cationic surfactants are in particular quaternary ammonium salts which contain at least one alkyl radical having 8 to 22 C atoms as the substituent on N and contain lower, non-halogenated or halogenated alkyl, benzyl or lower hydroxyalkyl radicals as further substituents. The salts are preferably in the form of halides, methyl sulfates or ethyl sulfates, for example stearyltrimethylammonium chloride or benzyldi(2-chloroethyl)ethylammonium bromide.

The surfactants conventionally used in the art of formulation which can also be used in the compositions according to the invention are described, inter alia, in "McCutcheon's Detergents and Emulsifiers Annual" MC Publishing Corp., Ridgewood New Jersey, 1981, Stache, H., "Tensid-Taschenbuch" [Surfactant Handbook], Carl Hanser Verlag, Munich/Vienna, 1981 and M. and J. Ash, "Encyclopedia of Surfactants", Volume I-III, Chemical Publishing Co., New York, 1980-81.

The herbicidal formulations as a rule comprise 0.1 to 99 %, in particular 0.1 to 95 %, of active ingredient of the formula I, 1 to 99.9 % of a solid or liquid formulation auxiliary and 0 to 25 %, in particular 0.1 to 25 %, of a surfactant.

While concentrated compositions tend to be preferred as the commercial product, the end user as a rule uses dilute compositions.

The compositions can also comprise other additives, such as stabilizers, for example non-epoxidized or epoxidized vegetable oils (epoxidized coconut oil, rapeseed oil or soya oil), defoamers, for example silicone oil, preservatives, viscosity regulators, binders, tackifiers and fertilizers or other active ingredients.

In particular, preferred formulations have the following composition: (% = percent by weight)

Emulsifiable concentrates:

Active ingredient:	1 to 90 %, preferably 5 to 50 %
Surface-active agent:	5 to 30 %, preferably 10 to 20 %
Solvent:	15 to 94 %, preferably 70 to 85 %

Dusts:

Active ingredient:	0.1 to 50 %, preferably 0.1 to 1 %
Solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:

Active ingredient:	5 to 75 %, preferably 10 to 50 %
Water:	94 to 24 %, preferably 88 to 30 %
Surface-active agent:	1 to 40 %, preferably 2 to 30 %

Wettable powders:

Active ingredient:	0.5 to 90 %, preferably 1 to 80 %
Surface-active agent:	0.5 to 20 %, preferably 1 to 15 %
Solid carrier:	5 to 95 %, preferably 15 to 90 %

Granules:

Active ingredient:	0.1 to 30 %, preferably 0.1 to 15 %
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Solid carrier: 99.5 to 70 %, preferably 97 to 85 %

The active ingredients of the formula I are as a rule employed successfully on plants or their environment with rates of application of 0.001 to 2 kg/ha, in particular 0.005 to 1 kg/ha. The dosage required for the desired action can be determined by experiments. It depends on the nature of the action, the stage of development of the crop plants and of the weeds and on the application (location, time, method), and can vary within wide limits as a result of these parameters.

The compounds of the formula I have herbicidal and growth-inhibiting properties which enable them to be used in crops of useful plants, in particular cereals, cotton, soya, sugar beet, sugar cane, plantations, oil seed rape, maize and rice.

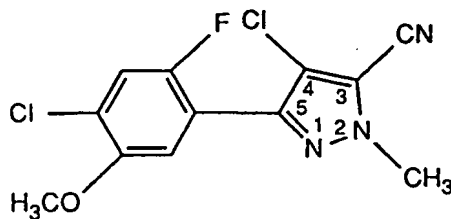
Crops are also to be understood as meaning those which have been rendered tolerant to herbicides or classes of herbicides by conventional breeding or genetic manipulation methods.

The following examples illustrate the invention in more detail without limiting it.

Preparation examples:

Example H1:

4-Chloro-5-(4-chloro-2-fluoro-5-methoxy-phenyl)-2-methyl-2H-pyrazole-3-carbonitrile



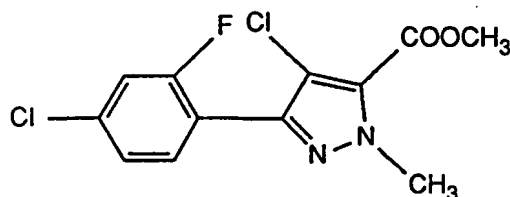
(6.009)

6.1 g of methyl 4-chloro-5-(4-chloro-2-fluoro-5-methoxy-phenyl)-2-methyl-2H-pyrazole-3-carboxylate is dissolved in 40 ml of xylene at room temperature in a dry apparatus under N_2 as an inert gas. 31 ml of dimethylaluminium amide solution ($(CH_3)_2AlNH_2$ solution) in methylene chloride/n-hexane, prepared in accordance with J.L. Wood, N.A. Khatri and S.M. Weinreb, Tetrahedron Lett. 51, 4907 (1979), are added, while stirring (severe evolution of gas). After the reaction mixture has been subsequently stirred, it is slowly heated up to a temperature of 110°C with the aid of an oil bath, and stirring is continued under gentle reflux overnight. The reaction mixture is then poured carefully onto ice-water

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and extracted with ethyl acetate. The organic phase is washed with saturated sodium chloride solution, dried over sodium sulfate and concentrated in vacuo. The crude product is applied from ethyl acetate onto silica gel 60 (Merck) and eluted on a flash chromatography column with toluene/n-hexane 4/1. The desired product is obtained in a yield of 3.3 g as a white solid of melting point 156-158°C.

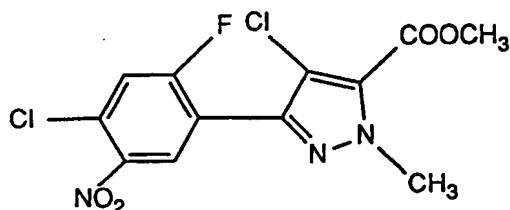
Example H2: Methyl 4-chloro-5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate



17.0 g of crude methyl 5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate are dissolved in 85 ml of glacial acetic acid at room temperature, and chlorine gas is passed slowly over the yellow solution, while stirring (slightly exothermic reaction). A suspension gradually forms. TLC analysis on silica gel 60 F₂₅₄ (Merck) of a worked-up sample with n-hexane/ethyl acetate 4/1 as the eluent shows that starting material is still present after 1 hour. 10.0 g of anhydrous sodium acetate are added all at once and stirring is continued. Chlorine gas is passed over the suspension for a further hour. According to TLC analysis, no further starting material is present. The glacial acetic acid is removed on a rotary evaporator, methylene chloride and 30 g of silica gel are added to the residue and the mixture is concentrated again on a rotary evaporator. The resulting mixture is applied to a flash silica gel column and chromatographed with n-hexane/ethyl acetate 7/1, 5/1 and finally 1/1. After evaporation of the relevant fractions, a solid is obtained and is digested with an n-hexane/ethyl acetate mixture. After the solid has been filtered off with suction and washed, 12.1 g of a white solid are obtained; ¹H-NMR (CDCl₃, 300 MHz): 7.50-7.40 ppm (m, 1H), 7.30-7.15 ppm (m, 2H), 4.22 ppm (s, 3H), 3.98 ppm (s, 3H).

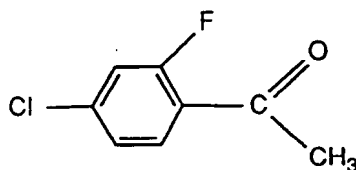
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Example H3: Methyl 4-chloro-5-(4-chloro-2-fluoro-5-nitro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate



4.1 g of methyl 4-chloro-5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate (Example H2) are added to 1.8 ml of concentrated sulfuric acid under N_2 as an inert gas, while cooling in an ice bath. Nitrating acid (prepared from 1.4 ml of 65 % aqueous nitric acid and 1.6 ml of concentrated sulfuric acid) is then added, while cooling in an ice bath. In the course of the addition, the viscous reaction mixture becomes stirrable (magnetic stirrer). It is subsequently stirred at room temperature for 30 minutes. 2.5 times the amount of nitrating acid added above is added in 3 portions in the course of 3 hours. For the addition, the mixture is always precooled in an ice bath and then subsequently stirred while warming to room temperature. TLC analysis on silica gel 60 F_{254} (Merck) of a worked-up sample using n-hexane/ethyl acetate 5/1 as the eluent shows that all the starting material has reacted. Ice-water is carefully added to the viscous orange-coloured reaction mixture, the resulting mixture is stirred and a little diethyl ether is poured over. The solid formed is filtered off with suction and digested several times with n-hexane/diethyl ether 10/1 and sucked dry. The solid thus obtained is dried overnight at 50°C in a vacuum drying cabinet. The desired product is obtained; 1H -NMR (d_6 -DMSO, 300 MHz): 8.33 ppm (d, 1H), 8.07 ppm (d, 1H), 4.17 ppm (s, 3H), 3.91 ppm (s, 3H).

Example H4: 1-(4-Chloro-2-fluoro-phenyl)-ethanone



(43.023)

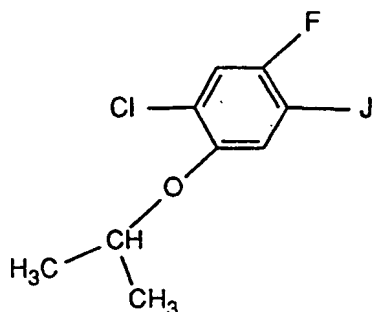
326 g of 4-chloro-2-fluoro-benzoyl chloride are dissolved in 250 ml of dry toluene = solution A.

112.5 g of anhydrous magnesium chloride are suspended in 1.4 l of dry toluene. While

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stirring, first 566 ml of triethylamine, then 233 ml of dimethyl malonate (in portions) are added. After the first addition of dimethyl malonate, after an induction period of several minutes, an exothermic reaction occurs. The temperature is kept at about 25°C by cooling with an ice bath. After the addition, the mixture is subsequently stirred at room temperature for 2 hours. Thereafter, the prepared solution A is added dropwise at room temperature in the course of 90 minutes and the reaction mixture is then subsequently stirred for 1 hour. It is then cooled in an ice bath and 12 mol of hydrochloric acid (300 ml) are added. After dilution with ice-water, the reaction mixture is extracted by shaking and the organic phase is washed with dilute hydrochloric acid and then with brine, dried over sodium sulfate, filtered and evaporated to dryness in vacuo. The resulting yellow oil is diluted with 200 ml of dimethyl sulfoxide and slowly added dropwise to a thoroughly stirred mixture, heated under gentle reflux (oil bath temperature 140°C), of 60 ml of water and 1400 ml of dimethyl sulfoxide (evolution of gas). After the addition, the mixture is subsequently stirred under gentle reflux for 2 hours. After cooling to room temperature, it is poured onto ice-water and extracted with diethyl ether. The ether phase is washed with water, dried over sodium sulfate and concentrated to dryness in vacuo. Distillation under a high vacuum gives 255 g of a colourless liquid of boiling point 52°C/0.15 mbar.

Example H5: 1-Chloro-5-fluoro-4-iodo-2-isopropoxy-benzene

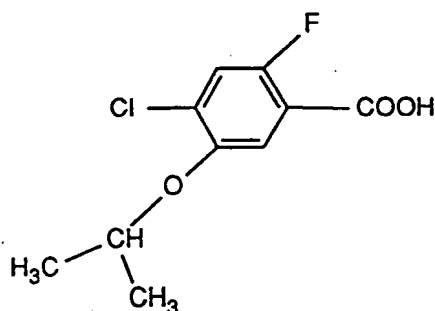


300 g of crude 4-chloro-2-fluoro-5-isopropoxy-phenylamine is initially introduced into the reaction vessel together with 1 l of water. 1 l of an approximately 12 molar aqueous hydrochloric acid solution is added dropwise, while stirring and cooling with a dry ice/ethanol cooling bath. A thick but stirrable slurry is thereby formed. A solution of 109 g of sodium nitrite in 250 ml of water is added dropwise at a temperature of less than 5°C in the course of 40 minutes, while stirring and cooling, and the reaction mixture is subsequently stirred below a temperature of 2°C for 30 minutes. Thereafter, a solution of 259 g of potassium iodide in 350 ml of water is added dropwise in the course of 45 minutes, while stirring, the temperature being kept below 5°C (evolution of gas). The

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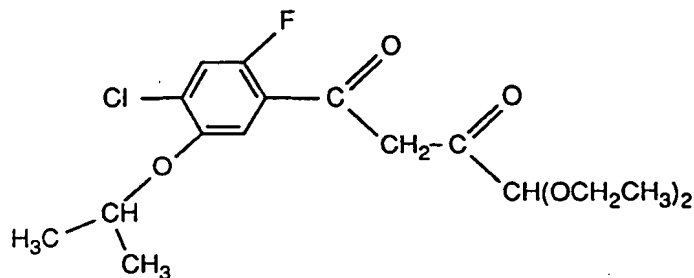
emulsion formed is extracted with diethyl ether and the ether phase is washed with sodium disulfite solution ($\text{Na}_2\text{S}_2\text{O}_5$ solution) and then with brine. After drying over sodium sulfate, the ether phase is concentrated and the resulting oil is distilled under a high vacuum under 0.03 mbar. The fraction with a boiling point of 84°C is collected, 268 g of the desired compound being obtained in the form of a yellow oil.

Example H6: 4-Chloro-2-fluoro-5-isopropoxy-benzoic acid



120 g of 1-chloro-5-fluoro-4-iodo-2-isopropoxybenzene (Example H5) are initially introduced into an autoclave together with 2.68 g of $\text{PdCl}_2(\text{P}(\text{C}_6\text{H}_5)_3)_2$, 35.4 g of calcium hydroxide, 540 ml of methanol and 18.9 ml of water. Carbon monoxide gas is then forced in up to a pressure of 150 bar at 22°C . The reaction mixture is kept at 100°C for 12 hours, while stirring. Thereafter, it is cooled to room temperature and the pressure is released. The mixture is flushed out of the autoclave with methanol and concentrated on a rotary evaporator. The residue obtained is poured in portions into dilute and cooled hydrochloric acid and then extracted with diethyl ether. After the ether phase has been washed with brine, it is dried over sodium sulfate and concentrated to dryness. 67.4 g of a slightly brown solid are obtained; melting point $132\text{--}137^\circ\text{C}$.

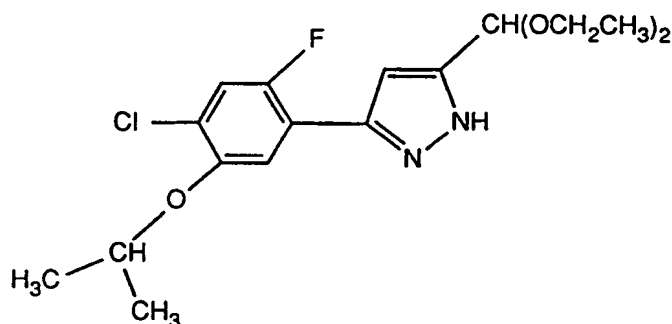
Example H7: 1-(4-Chloro-2-fluoro-5-isopropoxyphenyl)-4,4-diethoxy-butane-1,3-dione



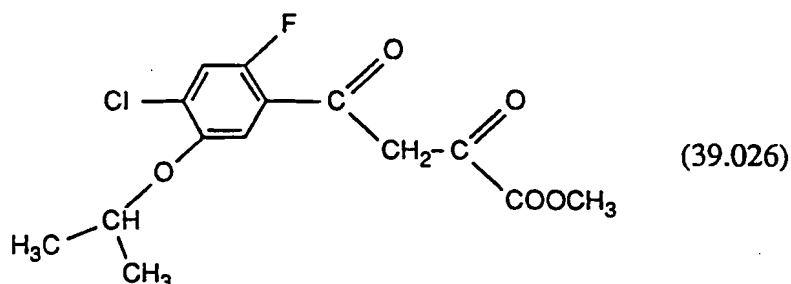
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12.0 g of 4-chloro-2-fluoro-5-isopropoxy-acetophenone are dissolved in dry diethyl ether together with 11.0 g of ethyl diethoxyacetate, while cooling with ice. 29 ml of a 21 % solution of sodium ethanolate in ethanol are added dropwise, while stirring vigorously, the temperature being kept below 5°C. The ice bath is then removed and replaced by an oil bath. The reaction mixture is subsequently stirred overnight while heating under gentle reflux. The mixture is then cooled to room temperature and diluted with ethyl acetate. An excess of 1 molar hydrochloric acid is added, while stirring and cooling in an ice bath. After extraction by shaking and separation of the phases, the organic phase is washed with water and then dried over sodium sulfate, filtered and evaporated. The resulting solid residue can be used directly for the next reaction stage.

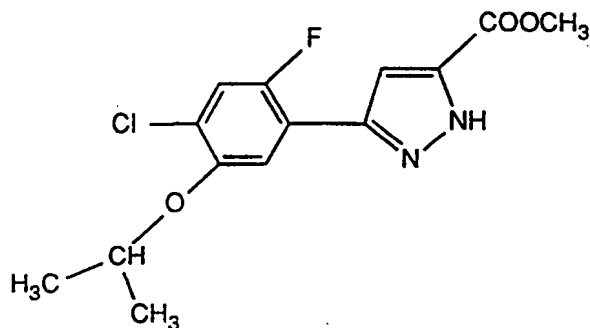
Example H8: 3-(4-Chloro-2-fluoro-5-isopropoxy-phenyl)-5-diethoxymethyl-1H-pyrazole



The crude 1-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-4,4-diethoxybutane-1,3-dione (Example H7) is initially introduced into 150 ml of dry ethanol. 2.90 g of hydrazine monohydrate are added dropwise at room temperature, while stirring. The mixture is then stirred for 4 hours, while heating under gentle reflux, and is subsequently cooled and the alcohol is removed on a rotary evaporator in vacuo. The residue obtained is partitioned between aqueous sodium bicarbonate solution and ethyl acetate. After extraction by shaking and separation of the phases, the organic phase is washed with water and then dried over sodium sulfate and concentrated. 17.5 g of a dark yellow oil are obtained. ¹H-NMR (CDCl₃, 300 MHz): 7.48 ppm (d, 1H), 7.19 ppm (d, 1H), 6.72 ppm (d, 1H), 5.70 ppm (s, 1H), 4.55 ppm (septet, 1H), 3.75-3.55 ppm (m, 4H), 1.36 ppm (d, 6H), 1.29-1.23 ppm (t, 6H).

Example H9: Methyl 4-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2,4-dioxo-butyrate

31.5 g of 1-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-ethanone are dissolved in 300 ml of dry diethyl ether. After cooling in an ice bath, 19.3 g of dimethyl oxalate are added dropwise. 38 ml of a 5.4 molar solution of sodium methylate in methanol are added dropwise at 0-5°C in the course of 40 minutes, while stirring. The mixture is subsequently stirred at the stated temperature for 2 hours. The orange-brown suspension is acidified with dilute hydrochloric acid and diluted with ethyl acetate. After separation of the phases, the organic phase is washed with brine, dried over sodium sulfate, filtered and concentrated. After drying in a vacuum drying cabinet, 42.3 g of an orange to brown solid, which can be employed directly for the next reaction stage, are obtained.

Example H10: Methyl 5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2H-pyrazolecarboxylate

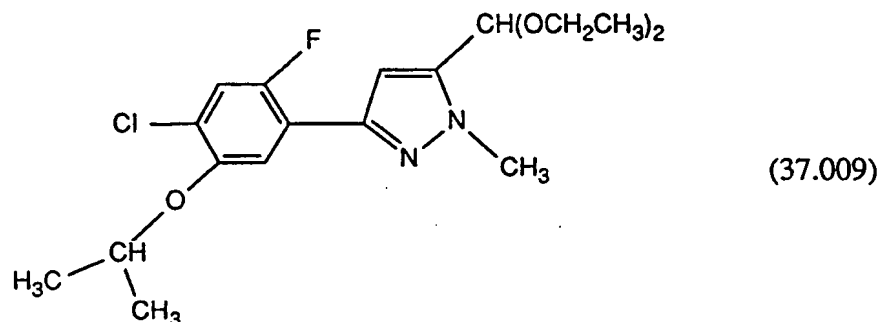
42.3 g of crude methyl 4-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2,4-dioxo-butyrate (Example H9) are added to a solution of 8.5 ml of hydrazine monohydrate in 150 ml of glacial acetic acid at room temperature. The mixture is then stirred at an oil bath temperature of 100°C for 2 hours. TLC analysis (silica gel 60 F₂₅₄; eluent n-hexane/ethyl acetate 1/1) of a worked-up sample shows that all the starting material has reacted. The glacial acetic acid is removed on a rotary evaporator and the residue obtained is partitioned between dilute hydrochloric acid and diethyl ether. After separation of the

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phases, the ether phase is rinsed with dilute hydrochloric acid. A beige solid precipitates out during this operation, and is filtered off and washed with water and diethyl ether. After the aqueous phase has been removed and the ether phase has been rinsed with brine, it is dried over sodium sulfate, filtered and concentrated. The solid obtained is combined with the material on the suction filter and digested with a mixture of n-hexane/ethyl acetate 10/1. The suspension is filtered with suction and the product is washed. After drying in a vacuum drying cabinet at 40°C, 30.3 g of the desired compound are obtained.

¹H-NMR (d₆-DMSO, 300 MHz): 14.45-13.90 ppm (broad signal, 1H), 7.64 ppm (d, 1H), 7.57 ppm (d, 1H), 7.14 ppm (d, 1H), 4.72 ppm (m, 1H), 3.85 ppm (s, 3H), 1.31 ppm (d, 6H).

Example H11: 3-(4-Chloro-2-fluoro-5-isopropoxy-phenyl)-5-diethoxymethyl-1-methyl-1H-pyrazole

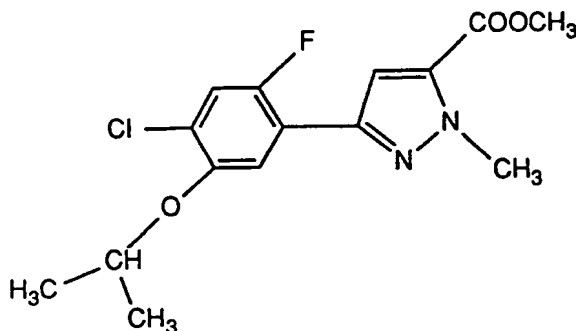


17.5 g of 3-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-5-diethoxymethyl-1H-pyrazole (Example H8) are dissolved in 65 ml of dry N-methylpyrrolidone (NMP), and 20.4 g of potassium carbonate are added. The mixture is heated to 50°C, while stirring, and a solution of 3.70 ml of methyl iodide in 10 ml of dry NMP is slowly added dropwise. The mixture is subsequently stirred overnight at 50°C and cooled to room temperature on the following day. It is partitioned between water and diethyl ether. The ether phase is washed several times with water, dried over sodium sulfate and evaporated. Purification of the resulting crude product by means of flash chromatography and n-hexane/ethyl acetate 5/1 and 4/1 as the eluent gives 12.1 g of a yellow oil, which slowly crystallizes out when left to stand.

¹H-NMR (CDCl₃, 300 MHz): 7.58 ppm (d, 1H), 7.15 ppm (d, 1H), 6.73 ppm (d, 1H), 5.58 ppm (s, 1H), 4.61 ppm (m, 1H), 3.95 ppm (s, 3H), 3.75-3.50 ppm (m, 4H), 1.38 ppm (d, 6H).

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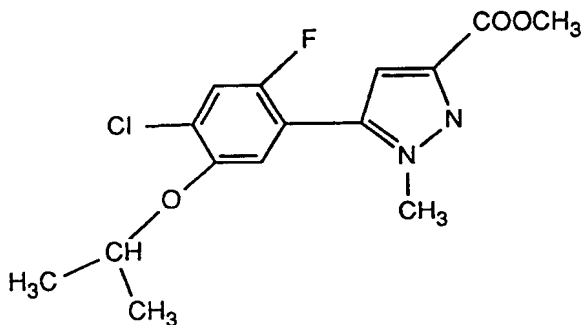
Example H12: Methyl 5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazole-carboxylate



30.3 g of methyl 5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2H-pyrazole-carboxylate (Example 10) and 40.2 g of potassium carbonate are suspended in 100 ml of dry N-methylpyrrolidone (NMP). A solution of 9.1 ml of methyl iodide in 10 ml of NMP is added dropwise at a temperature of 55°C, while stirring (slightly exothermic reaction). The suspension formed is stirred at 55°C for 2.5 hours. TLC analysis (silica gel 60 F₂₅₄, n-hexane/ethyl acetate 1/1) of a sample shows complete conversion of the starting material. The mixture is poured onto ice-water and extracted with diethyl ether. The ether phase is washed with brine, dried over sodium sulfate and filtered. 60 g of silica gel are added to the ether solution and the mixture is evaporated to dryness. After application of the silica gel-product mixture to a flash chromatography column, the column is eluted with n-hexane/ethyl acetate 8/1, 5/1 and 1/1. 9.1 g of the desired compound are obtained as a solid;

¹H-NMR (d₆-DMSO, 300 MHz): 7.60-7.50 ppm (m, 2H), 7.20 ppm (d, 1H), 4.67 ppm (m, 1H), 4.17 ppm (s, 3H), 3.87 ppm (s, 3H), 1.31 ppm (d, 6H).

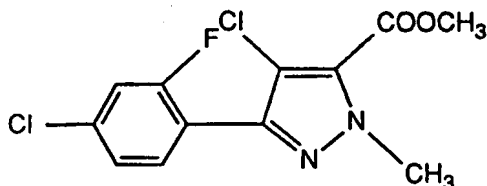
The isomeric methyl 5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-1-methyl-1H-pyrazole-carboxylate of the formula



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can be obtained as a by-product from subsequent fractions of the flash chromatography;
 $^1\text{H-NMR}$ ($\text{d}_6\text{-DMSO}$, 300 MHz): 7.65 ppm (d, 1H), 7.34 ppm (d, 1H), 6.96 ppm (s, 1H), 4.72 ppm (m, 1H), 3.85 ppm (s, 3H), 3.80 ppm (s, 3H), 1.28 ppm (d, 6H).

Example H13: Methyl 4-chloro-5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate

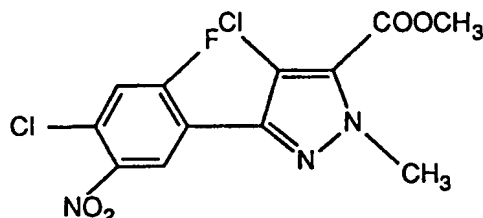


17.0 g of crude methyl 5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate are dissolved in 85 ml of glacial acetic acid at room temperature. Chlorine gas is slowly passed over the yellow solution, while stirring. A slight heat effect is detectable. A suspension gradually forms. TLC analysis (silica gel 60 F₂₅₄ (Merck), n-hexane/ethyl acetate 4/1) of a worked-up sample shows that the starting material is still present after 1 hour. 10.0 g of anhydrous sodium acetate are added all at once and stirring is continued. Chlorine gas is passed over the suspension for a further hour. According to TLC analysis, no further starting material is present. The glacial acetic acid is removed on a rotary evaporator, methylene chloride and 30 g of silica gel are added to the residue obtained and the mixture is evaporated on a rotary evaporator. The resulting mixture is chromatographed on a flash chromatography column with n-hexane/ethyl acetate 7/1, 5/1 and 1/1. A precipitate is obtained, and is digested with an n-hexane/diethyl ether mixture. After the solid component has been filtered off with suction and washed, 12.1 g of a white solid are obtained;

$^1\text{H-NMR}$ (CDCl_3 , 300 MHz): 7.50-7.40 ppm (m, 1H), 7.30-7.15 ppm (m, 2H), 4.22 ppm (s, 3H), 3.98 ppm (s, 3H).

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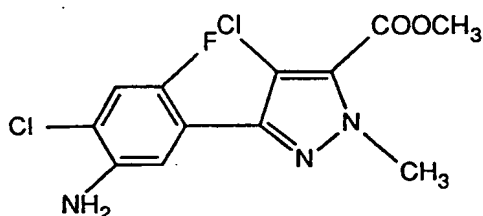
Example H14: Methyl 4-chloro-5-(4-chloro-2-fluoro-5-nitro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate



4.1 g of methyl 4-chloro-5-(4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate (Example H13) are added to 1.8 ml of concentrated sulfuric acid under N₂ as an inert gas, while cooling in an ice bath. Nitrating acid (prepared from 1.4 ml of 65 % aqueous nitric acid and 1.6 ml of concentrated sulfuric acid) is then added, while cooling in an ice bath. In the course of the addition, the reaction mixture becomes stirrable (magnetic stirrer). Stirring is continued at room temperature for 30 minutes. 2.5 times the amount of the nitrating acid added above is added again in 3 portions in the course of 3 hours. For the addition of the nitrating acid, the mixture is always precooled in an ice bath and then subsequently stirred while warming up to room temperature. TLC analysis (silica gel 60 F₂₅₄ (Merck), n-hexane/ethyl acetate 5/1) on a worked-up sample shows that all the starting material has reacted. Ice-water is carefully added to the viscous reaction mixture. The resulting mixture is stirred, and a little diethyl ether is poured over. The solid is filtered off with suction, digested several times with n-hexane/diethyl ether 10/1 on the suction filter and sucked dry. The solid obtained is dried overnight at 50°C in a vacuum drying cabinet. 4.4 g of a solid are obtained;

¹H-NMR (d₆-DMSO, 300 MHz): 8.33 ppm (d, 1H), 8.07 ppm (d, 1H), 4.17 ppm (s, 3H), 3.91 ppm (s, 3H).

Example H15: Methyl 4-chloro-5-(5-amino-4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate

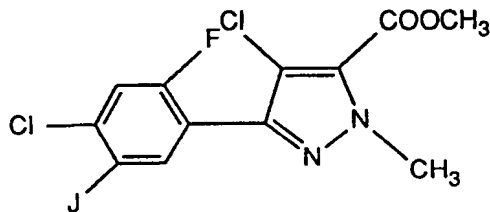


5.88 g of methyl 4-chloro-5-(4-chloro-2-fluoro-5-nitro-phenyl)-2-methyl-2H-pyrazole-3-

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carboxylate (Example H14) are suspended in 100 ml of glacial acetic acid. The mixture is heated up with the aid of an oil bath (oil bath temperature 100°C), while stirring. 3.76 g of iron powder are slowly introduced and the mixture is then subsequently stirred at an oil bath temperature of 100°C for 1 hour. TLC analysis (silica gel 60 F₂₅₄, n-hexane/ethyl acetate 5/2) of a worked-up sample shows that the starting material is no longer present. After cooling to room temperature, the glacial acetic acid is evaporated off on a vacuum rotary evaporator and the resulting residue is partitioned between ethyl acetate and water. After separation of the phases, the organic phase is washed with brine, dried over sodium sulfate, filtered and evaporated to dryness. The brown oil is subjected to addition of carbon tetrachloride and evaporation in vacuo several times. The resulting crude product can be used directly for the next reaction stage (Example H16).

Example H16: Methyl 4-chloro-5-(4-chloro-2-fluoro-5-iodo-phenyl)-2-methyl-2H-pyrazole-3-carboxylate



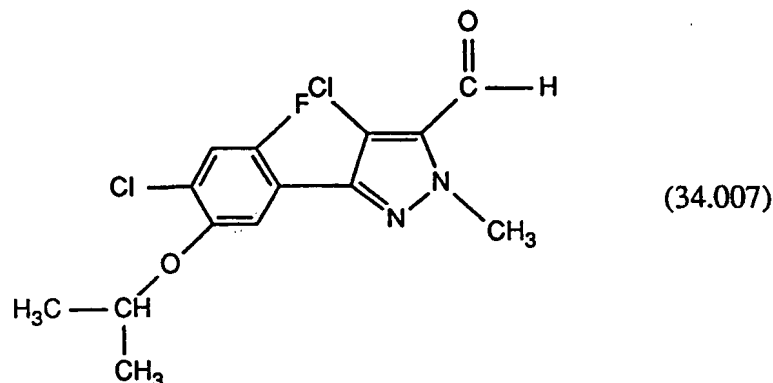
4.3 g of crude methyl

4-chloro-5-(5-amino-4-chloro-2-fluoro-phenyl)-2-methyl-2H-pyrazole-3-carboxylate (Example H15) are introduced into a solution of 25 ml of 12 molar hydrochloric acid in 25 ml of water. The mixture is brought to a temperature of 0-5°C, while stirring, and 1.0 g of sodium nitrite is added at this temperature. The mixture is subsequently stirred for 50 minutes. A solution of 2.37 g of potassium iodide in 2.5 ml of water is then added dropwise in the stated temperature range. After 30 minutes, ice-water is added to the reaction mixture. The aqueous phase is extracted with ethyl acetate and the organic phase is treated with an aqueous sodium disulfite solution (Na₂S₂O₅ solution) and then washed with brine. After drying over sodium sulfate, the organic phase is filtered off, 10 g of silica gel are added and the mixture is evaporated to dryness. After application of this silica gel-product mixture to a flash chromatography column, the column is eluted with n-hexane/ethyl acetate 20/1 and then 10/1. The relevant fractions are combined and evaporated. The residue can be prepared in a pure form by digestion in n-hexane. After filtration with suction and washing with n-hexane, the product is dried in vacuo. Yield of

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3.3 g of a white solid of melting point 160-161.5°C.

Example H17: 4-Chloro-5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazole-3-carbaldehyde



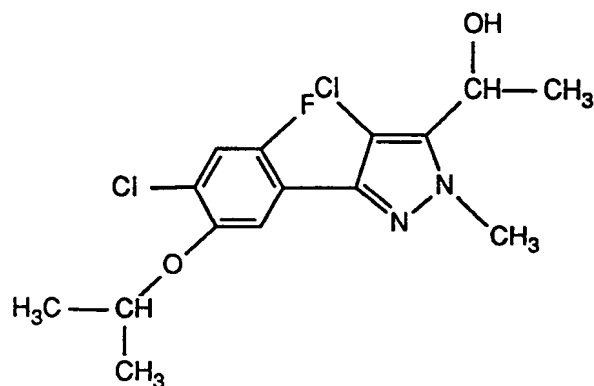
3.00 g of

3-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-5-diethoxymethyl-1-methyl-1H-pyrazole (Example H11) are dissolved in 10 ml of glacial acetic acid at room temperature. Chlorine gas is passed over the solution, while stirring, until TLC analysis (silica gel 60 F₂₅₄, n-hexane/ethyl acetate 4/1) of a worked-up sample shows that the starting material is no longer present. The glacial acetic acid is evaporated off in vacuo and the resulting residue is partitioned between dilute aqueous sodium hydroxide solution and diethyl ether. After the phases have been separated, the organic phase is washed with brine, dried over sodium sulfate, filtered and concentrated. Preparation of the product in a pure form over a flash chromatography column with petroleum ether/ethyl acetate 6/1 gives 1.70 g of a yellow oil;

¹H-NMR (d₆-DMSO, 300 MHz): 9.98 ppm (s, 1H), 7.63 ppm (d, 1H), 7.25 ppm (d, 1H), 4.66 ppm (m, 1H), 4.17 ppm (s, 3H).

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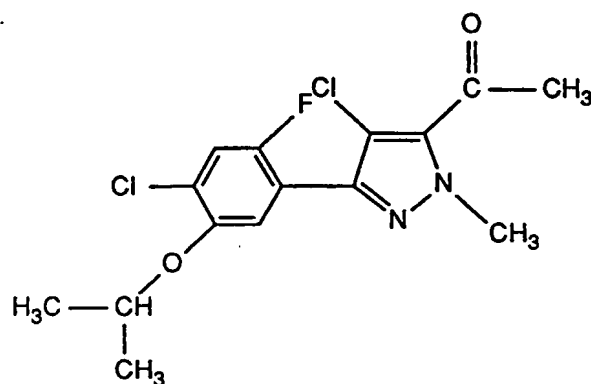
Example H18: 1-[4-Chloro-5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazol-3-yl]-ethanol



32.0 g of 4-chloro-5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazole-3-carbaldehyde (Example H17) are dissolved in 100 ml of dry diethyl ether and the solution is added dropwise in the course of 45 minutes, while stirring, to a 22 % solution of CH_3MgCl in tetrahydrofuran, which has been initially introduced into the reaction vessel. During this operation, the temperature rises to 40°C . The mixture is subsequently stirred at 40°C for 90 minutes. After cooling to room temperature, it is poured onto ice-water and rendered acid with 2 molar hydrochloric acid. After extraction by shaking and separation of the phases, the organic phase is washed first with water, then with dilute aqueous sodium bicarbonate solution and then with brine. After drying over sodium sulfate, the mixture is filtered and the filtrate is concentrated in vacuo. Preparation of the pure product by means of a flash chromatography column and n-hexane/ethyl acetate 2/1 gives 18.4 g of the title compound as a yellow oil;

$^1\text{H-NMR}$ (d_6 -DMSO, 300 MHz): 7.54 ppm (d, 1H), 7.16 ppm (d, 1H), 5.73 ppm (broad signal, 1H), 5.02 ppm (m, 1H), 4.63 ppm (m, 1H), 3.97 ppm (s, 3H), 1.46 ppm (d, 3H), 1.28 ppm (d, 6H).

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Example H19:1-[4-Chloro-5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazol-3-yl]-ethanone

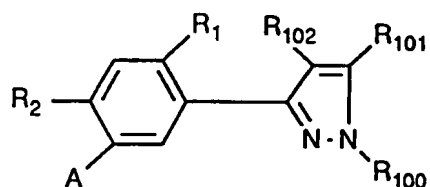
7.0 g of 1-[4-chloro-5-(4-chloro-2-fluoro-5-isopropoxy-phenyl)-2-methyl-2H-pyrazol-3-yl]-ethanol (Example H18) are dissolved in 10 ml of methylene chloride and the solution is added dropwise to 6.5 g of pyridinium chlorochromate in 17 ml of methylene chloride at room temperature, while stirring. The mixture is subsequently stirred at this temperature for 3 hours. The black reaction mixture is then filtered over Hyflo Super Cel. After the material on the suction filter has been washed with methylene chloride, the combined organic phases are washed successively with water, aqueous sodium bicarbonate solution, aqueous ammonium chloride solution and brine. The organic phase is then dried over sodium sulfate, filtered and evaporated to dryness in vacuo. 5.62 g of the title compound is obtained in the form of a dark brown oil.

¹H-NMR (d₆-DMSO, 300 MHz): 7.61 ppm (d, 1H), 7.21 ppm (d, 1H), 4.65 ppm (m, 1H), 4.08 ppm (s, 3H), 2.65 ppm (s, 3H), 1.28 ppm (d, 6H).

The compounds listed in the following tables can also be prepared in an analogous manner or by means of known methods:

Table 1:

Compounds of the formula Ia



(Ia)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	A	Physical data
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1.001	H	Cl	CH ₃	CN	Cl	CH ₃	
1.002	H	Cl	CH ₃	CN	Cl	CH ₂ Br	
1.003	H	Cl	CH ₃	-CSNH ₂	Cl	CH ₃	
1.004	H	Cl	CH ₃	CN	Cl	CHBr ₂	
1.005	F	Cl	CH ₃	CN	Cl	CH ₃	
1.006	F	Cl	CH ₃	CN	Cl	CH ₂ Br	
1.007	F	Cl	CH ₃	-CSNH ₂	Cl	CH ₃	
1.008	F	Cl	CH ₃	CN	Cl	C ₆ H ₅	resin

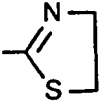
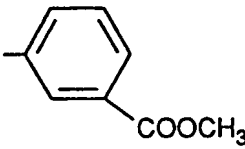
1.009	F	Cl	CH ₃	-CSNH ₂	Cl		
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1.010	F	Cl	CH ₃	CN	Cl		
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1.011	F	Cl	CH ₃	CN	Cl		
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1.012	F	Cl	CH ₃	CN	Cl		
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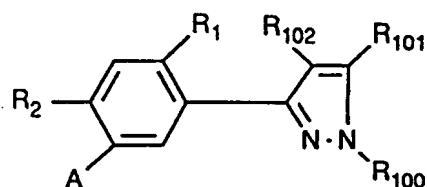
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	A	Physical data
1.013	F	Cl	CH ₃	CN	Cl		
1.014	F	Cl	CH ₃	CN	Cl	-CH ₂ -OH	
1.015	F	Cl	CH ₃	CN	Cl	-CH ₂ -Cl	
1.016	F	Cl	CH ₃	CN	Cl	-CH ₂ -COOH	
1.017	F	Cl	CH ₃	CN	Cl	-CH ₂ -COOCH ₂ CH ₃	
1.018	F	Cl	CH ₃	CN	Cl	-CH ₂ -O-CH ₂ -CH ₃	
1.019	F	Cl	CH ₃	CN	Cl	-CH ₂ -S-CH(CH ₃) ₂	
1.020	F	Cl	CH ₃	CN	Cl	-(CH ₂) ₅ CH ₃	
1.021	F	Cl	CH ₃	CN	Cl	-CH ₂ -CH=CH ₂	m.p. 68-69°C
1.022	F	Cl	CH ₃	CN	Cl	-C≡C-C(CH ₃) ₂ -OH	
1.023	F	Cl	CH ₃	CN	Cl	-C≡CH	
1.024	F	Cl	CH ₃	CN	Cl	-C≡C-CH ₂ -OH	m.p. 138-139°C
1.025	F	Cl	CH ₃	CN	Cl	-CH ₂ -CH ₂ -COOH	
1.026	F	Cl	CH ₃	CN	Cl	-CH ₂ -CH ₂ -COOCH ₂ -CH ₃	
1.027	F	Cl	CH ₃	CN	Cl	-CH ₂ -CH(Cl)-COOCH ₂ CH ₃	resin
1.028	F	Cl	CH ₃	CN	Cl	-CH ₂ -CH(SCH ₃)-COOCH ₂ -CH ₃	resin
1.029	F	Cl	CH ₃	CN	Cl	-CH=CH-COOH	
1.030	F	Cl	CH ₃	CN	Cl	-CH=CH-COOCH ₂ -CH ₃	m.p. 124-125°C
1.031	F	Cl	CH ₃	CN	Cl		
1.032	F	Cl	CH ₃	CN	Cl	H	m.p. 115-116°C
1.033	Cl	Cl	CH ₃	CN	Cl	CH ₃	
1.034	H	Cl	CH ₃	CN	Cl	H	m.p. 146-150°C
1.035	H	F	CH ₃	CN	Cl	H	m.p. 122-123°C
1.036	F	F	CH ₃	CN	Cl	H	m.p. 113-114°C
1.037	F	Cl	CH ₃	CN	F	H	
1.038	F	CN	CH ₃	CN	Cl	H	
1.039	F	NO ₂	CH ₃	CN	Cl	H	

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Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	A	Physical data
1.040	F	NH ₂	CH ₃	CN	Cl	H	
1.041	F	Cl	CH ₃	-CSNH ₂	Cl	H	
1.042	F	OH	CH ₃	CN	Cl	H	
1.043	F	OCH ₃	CH ₃	CN	Cl	H	
1.044	F	OCHF ₂	CH ₃	CN	Cl	H	
1.045	F	Cl	CH ₃	CN	Br	CH ₂ CH(Cl)COOCH ₂ CH ₃	m.p. 72-75°C
1.046	F	Cl	CH ₃	CN	Cl	NHOH	
1.047	F	Cl	CH ₃	CN	Br	NHOH	solid
1.048	F	Cl	CH ₃	CN	Cl	N(COCH ₃)O(COCH ₃)	
1.049	F	Cl	CH ₃	CN	Cl	COOCH ₂ Si(CH ₃) ₃	
1.050	F	Cl	CH ₃	CN	Cl	COOCH(CH ₃)CH ₂ Si(CH ₃) ₃	
1.051	F	Cl	CH ₃	CN	Cl	COOCH ₂ CH ₂ Si(CH ₃) ₃	
1.052	Cl	Cl	CH ₃	CN	Cl	COOCH(CH ₃)CH ₂ Si(CH ₃) ₃	
1.053	F	Cl	CH ₃	CSNH ₂	Cl	COOCH(CH ₃)CH ₂ Si(CH ₃) ₃	
1.054	H	Cl	CH ₃	CN	Br	H	m.p. 136-145°C
1.055	H	Cl	CHF ₂	CN	Cl	H	m.p. 90-91°C
1.056	F	H	CH ₃	CN	Cl	F	
1.057	F	NO ₂	CH ₃	CN	Cl	F	
1.058	F	NO ₂	CH ₃	CN	Cl	OCH ₃	
1.059	F	NO ₂	CH ₃	CN	Cl	OH	
1.060	F	NH ₂	CH ₃	CN	Cl	OCH ₃	
1.061	F	H	CH ₃	CN	Br	F	
1.062	F	NO ₂	CH ₃	CN	Br	F	m.p. 144-146°C
1.063	F	NO ₂	CH ₃	CN	Br	OCH ₃	m.p. 173-174°C
1.064	F	NO ₂	CH ₃	CN	Br	OH	
1.065	F	NH ₂	CH ₃	CN	Br	OCH ₃	m.p. 158-161°C
1.066	F	Cl	CH ₃	CN	F	H	
1.067	Cl	Cl	CH ₃	CN	F	H	m.p. 87-88°C
1.068	F	Cl	CH ₃	CN	Br	H	m.p. 143-144°C

Table 2:

Compounds of the formula Ib



(Ib)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	A	Physical data
2.001	H	Cl	CH ₃	CN	Cl	Cl	
2.002	H	F	CH ₃	CN	Cl	Cl	
2.003	H	F	CH ₃	CN	Cl	NO ₂	m.p. 142-143°C
2.004	H	Cl	CH ₃	CN	Cl	I	m.p. 160-162°C
2.005	F	Cl	CH ₃	CN	Cl	Br	m.p. 113-114°C
2.006	F	Cl	CH ₃	CN	Cl	I	m.p. 125-126°C
2.007	F	Cl	CH ₃	CN	Cl	NO ₂	m.p. 118-120°C
2.008	F	Cl	CH ₃	CN	Cl	CN	
2.009	F	Cl	CH ₃	CN	Br	NO ₂	m.p. 139-140°C
2.010	F	F	CH ₃	CN	Cl	Cl	
2.011	F	F	CH ₃	CN	Cl	NO ₂	
2.012	Cl	Cl	CH ₃	CN	Cl	NO ₂	resin
2.013	Cl	Cl	CH ₃	CN	Cl	Br	
2.014	Cl	Cl	CH ₃	CN	Cl	I	m.p. 120-122°C
2.015	F	OH	CH ₃	CN	Cl	NO ₂	
2.016	F	OCH ₃	CH ₃	CN	Cl	NO ₂	
2.017	F	OCHF ₂	CH ₃	CN	Cl	NO ₂	
2.018	F	OCHF ₂	CH ₃	CN	Cl	NO ₂	
2.019	F	OH	CH ₃	CN	Cl	Br	
2.020	F	NO ₂	CH ₃	CN	Cl	F	
2.021	F	NO ₂	CH ₃	CN	Cl	Cl	

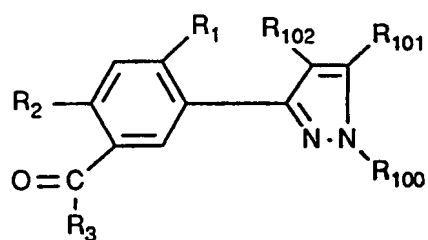
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Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	A	Physical data
2.022	Cl	NO ₂	CH ₃	CN	Cl	F	
2.023	Cl	F	CH ₃	CN	Cl	NO ₂	
2.024	F	Br	CH ₃	CN	Cl	NO ₂	
2.025	F	Br	CH ₃	CN	Cl	I	
2.026	F	Br	CH ₃	CN	Cl	CN	
2.027	F	CN	CH ₃	CN	Cl	CN	
2.028	F	CN	CH ₃	CN	Cl	Br	
2.029	F	NO ₂	CH ₃	CN	Br	F	m.p. 144-145°C
2.030	F	H	CH ₃	CN	Br	F	m.p. 92-93°C
2.031	F	H	CH ₃	CN	Cl	F	
2.032	F	H	CH ₃	CN	Cl	Cl	
2.033	F	Cl	CH ₃	CSNH ₂	Cl	I	
2.034	F	Cl	CH ₃	CSNH ₂	Cl	Br	
2.035	F	F	CH ₃	CSNH ₂	Cl	NO ₂	
2.036	F	NO ₂	CH ₃	CSNH ₂	Cl	F	
2.037	F	NH ₂	CH ₃	CN	Cl	NO ₂	
2.038	Cl	NH ₂	CH ₃	CN	Cl	NO ₂	
2.039	H	Cl	CH ₃	CN	Cl	NO ₂	m.p. 143-151°C
2.040	F	Cl	CH ₃	CSNH ₂	Cl	CN	

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Table 3:

Compounds of the formula Ic

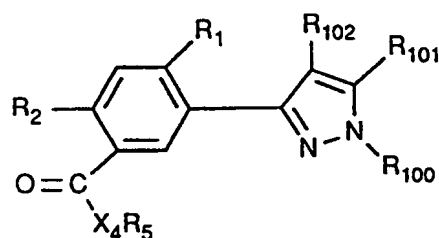


(Ic)

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₃	Physical data
3.001	H	Cl	CH ₃	CN	Cl	Cl	solid
3.002	H	Br	CH ₃	CN	Cl	Cl	
3.003	H	CN	CH ₃	CN	Cl	Cl	
3.004	F	Cl	CH ₃	CN	Cl	Cl	solid
3.005	F	Br	CH ₃	CN	Cl	Cl	
3.006	F	CN	CH ₃	CN	Cl	Cl	
3.007	F	NO ₂	CH ₃	CN	Cl	Cl	solid
3.008	Cl	Cl	CH ₃	CN	Cl	Cl	
3.009	F	Cl	CH ₃	CN	Br	Cl	

Table 4:

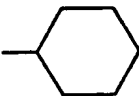
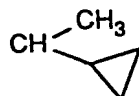
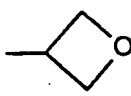
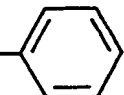
Compounds of the formula Id



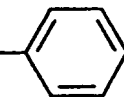
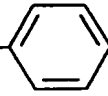
(Id)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₄	R ₅	Physical data
4.001	H	Cl	CH ₃	CN	Cl	O	H	m.p. >210°C (decomp.)
4.002	H	Cl	CH ₃	CN	Cl	O	CH ₃	
4.003	H	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₃	m.p. 160-162°C
4.004	H	Cl	CH ₃	CN	Cl	O	CH(CH ₃) ₂	m.p. 87-94°C
4.005	F	Cl	CH ₃	CN	Cl	O	H	m.p. 224°C (decomp.)
4.006	F	Cl	CH ₃	CN	Cl	O	CH ₃	m.p. 131-132°C
4.007	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₃	m.p. 106-107°C
4.008	F	Cl	CH ₃	CN	Cl	O	CH ₂ -CH ₂ CH ₃	
4.009	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃) ₂	m.p. 61-63°C
4.010	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ CH ₂ CH ₃	
4.011	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ CH ₃	
4.012	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH(CH ₃) ₂	
4.013	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₃	
4.014	F	Cl	CH ₃	CN	Cl	O	(CH ₂) ₄ CH ₃	
4.015	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ OCH ₃	
4.016	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₃	
4.017	F	Cl	CH ₃	CN	Cl	O	CH ₂ OCH ₃	
4.018	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ Cl	

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Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₄	R ₅	Physical data
4.019	F	Cl	CH ₃	CN	Cl	O	CH ₂ SCH ₃	
4.020	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ SCH ₃	
4.021	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ SCH ₃	
4.022	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ S-CH ₂ CH ₃	
4.023	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ S-CH(CH ₃) ₂	
4.024	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ -N(CH ₃) ₂	
4.025	F	Cl	CH ₃	CN	Cl	O	CH ₂ CN	
4.026	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ CN	
4.027	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CN	
4.028	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CH ₂	
4.029	F	Cl	CH ₃	CN	Cl	O	CH ₂ C(Cl)=CH ₂	
4.030	F	Cl	CH ₃	CN	Cl	O	CH ₂ C≡CH	
4.031	F	Cl	CH ₃	CN	Cl	O		
4.032	F	Cl	CH ₃	CN	Cl	O		
4.033	F	Cl	CH ₃	CN	Cl	O		
4.034	F	Cl	CH ₃	CN	Cl	O	CH ₂ - 	
4.035	F	Cl	CH ₃	CN	Cl	O	Na	
4.036	F	Cl	CH ₃	CN	Cl	O	H ₂ N(CH ₂ CH ₃) ₂	
4.037	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ COOCH ₃	
4.038	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ COOCH ₂ CH ₃	resin
4.039	F	Br	CH ₃	CN	Cl	O	H	
4.040	F	Br	CH ₃	CN	Cl	O	CH ₃	
4.041	F	Br	CH ₃	CN	Cl	O	CH ₂ CH ₃	
4.042	F	Br	CH ₃	CN	Cl	O	CH(CH ₃) ₂	
4.043	Cl	Cl	CH ₃	CN	Cl	O	H	
4.044	Cl	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₃	m.p. 88-89°C

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Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₄	R ₅	Physical data
4.045	Cl	Cl	CH ₃	CN	Cl	O	CH(CH ₃) ₂	
4.046	F	Cl	CH ₃	CN	Br	O	CH(CH ₃) ₂	
4.047	F	Cl	CH ₃	CN	Cl	S	CH ₂ CH ₃	
4.048	F	Cl	CH ₃	CN	Cl	S	(CH ₂) ₃ CH ₃	
4.049	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃) ₂	m.p. 108-110°C
4.050	F	Cl	CH ₃	CN	Br	S	CH(CH ₃) ₂	m.p. 125-126°C
4.051	F	Cl	CH ₃	CSNH ₂	Cl	O	H	
4.052	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	
4.053	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₃	
4.054	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₂ CH ₃	
4.055	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃) ₂	
4.056	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₂ CH ₂ CH ₃	
4.057	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CH ₂ CH ₃	
4.058	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH(CH ₃) ₂	
4.059	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₃	
4.060	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CH ₂ SCH ₃	
4.061	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH=CH ₂	
4.062	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ — 	
4.063	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CH ₂ COOCH ₂ CH ₃	
4.064	F	Br	CH ₃	CSNH ₂	Cl	O	CH ₃	
4.065	F	Br	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₃	
4.066	F	Br	CH ₃	CSNH ₂	Cl	O	CH(CH ₃) ₂	
4.067	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃) ₂	
4.068	H	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CH ₂	m.p. 120-124°C
4.069	F	Cl	CH ₃	CN	Br	O	CH(C ₆ H ₅) ₂	resin
4.070	F	Cl	CH ₃	CN	Br	O	CH ₂ CH ₂ CN	m.p. 152-153°C
4.071	F	Cl	CH ₃	CN	Br	O	CH ₂ — 	m.p. 151-152°C
4.072	F	Cl	CH ₃	CN	Br	O	C(CH ₃) ₃	m.p. 85-86°C
4.073	H	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CH ₂	m.p. 109-117°C

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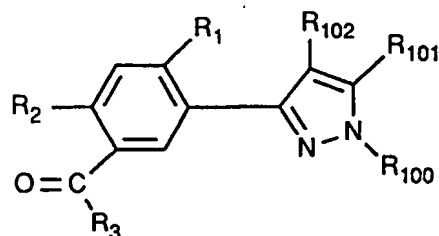
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₄	R ₅	Physical data
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4.074	Cl	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ COOC ₂ H ₅	resin
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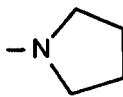
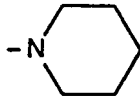
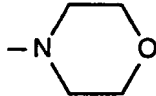
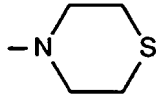
Table 5:

Compounds of the formula Ia



(Ia)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₃	Physical data
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5.001	H	Cl	CH ₃	CN	Cl	NH ₂	
5.002	F	Cl	CH ₃	CN	Cl	NH ₂	
5.003	F	Cl	CH ₃	CN	Cl	NHCH ₃	
5.004	F	Cl	CH ₃	CN	Cl	NHCH ₂ CH ₂ CH ₂ CH ₃	
5.005	F	Cl	CH ₃	CN	Cl	N(CH ₃) ₂	
5.006	F	Cl	CH ₃	CN	Cl	N(CH ₃)CH ₂ CH ₂ CH ₂ CH ₃	
5.007	F	Cl	CH ₃	CN	Cl	NHCH ₂ CH ₂ OCH ₃	
5.008	F	Cl	CH ₃	CN	Cl	NHCH ₂ CH=CH ₂	
5.009	F	Cl	CH ₃	CN	Cl	N(CH ₂ CH=CH ₂) ₂	resin
5.010	F	Cl	CH ₃	CN	Cl		
5.011	F	Cl	CH ₃	CN	Cl		
5.012	F	Cl	CH ₃	CN	Cl		
5.013	F	Cl	CH ₃	CN	Cl		
5.014	F	Cl	CH ₃	CN	Cl	ON=C(CH ₃) ₂	
5.015	F	Br	CH ₃	CN	Cl	NH ₂	

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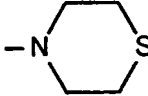

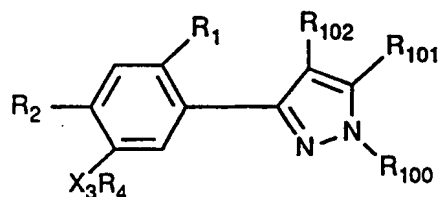
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₃	Physical data
5.016	Cl	Cl	CH ₃	CN	Cl	NH ₂	
5.017	F	Cl	CH ₃	CSNH ₂	Cl	NH ₂	
5.018	F	Cl	CH ₃	CSNH ₂	Cl	NHCH ₂ CH=CH ₂	
5.019	F	Br	CH ₃	CSNH ₂	Cl		
5.020	Cl	Cl	CH ₃	CSNH ₂	Cl	ON=C(CH ₃) ₂	
5.021	H	Cl	CH ₃	CN	Cl	NHCH ₃	m.p. 217-221°C
5.022	Cl	Cl	CH ₃	CN	Cl	-NH-CH ₂ - 	m.p. 64-67°C

Table 6:

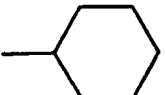
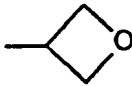
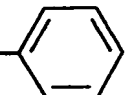
Compounds of the formula If



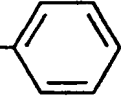
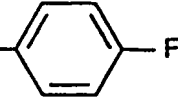
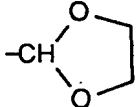
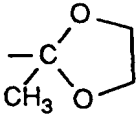
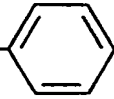
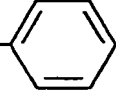
(If)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.001	H	Cl	CH ₃	CN	Cl	O	H	m.p. 146-148°C
6.002	H	Cl	CH ₃	CN	Cl	O	CH ₃	
6.003	H	Cl	CH ₃	CN	Cl	O	CH ₂ C≡CH	
6.004	H	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₃	
6.005	H	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOH	
6.006	H	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH ₃	
6.007	H	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CN	
6.008	F	Cl	CH ₃	CN	Cl	O	H	solid
6.009	F	Cl	CH ₃	CN	Cl	O	CH ₃	m.p. 156-158°C
6.010	F	Cl	CH ₃	CN	Br	O	CH ₂ CH ₃	m.p. 141-142°C
6.011	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃) ₂	m.p. 86-87°C
6.012	F	Cl	CH ₃	CN	Br	O	(CH ₂) ₅ CH ₃	m.p. 42-43°C
6.013	F	Cl	CH ₃	CN	Cl	O	CH ₂ OCH ₃	m.p. 115-117°C
6.014	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₃	
6.015	F	Cl	CH ₃	CN	Cl	O	CH ₂ SCH ₃	m.p. 97-98°C
6.016	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ SCH ₃	
6.017	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CH ₂ SCH(CH ₃) ₂	
6.018	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ N(CH ₃) ₂	
6.019	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ Cl	
6.020	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CH ₂	

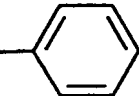
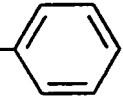
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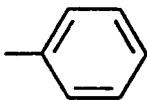
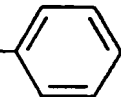
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.021	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CHCH ₃	m.p. 87-88°C
6.022	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CHCl	(E)
6.023	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH=CHCl	(Z)
6.024	F	Cl	CH ₃	CN	Cl	O	CH ₂ C≡CH	m.p. 138-139°C
6.025	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)C≡CH	m.p. 122-124°C
6.026	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ C≡CH	
6.027	F	Cl	CH ₃	CN	Cl	O		
6.028	F	Cl	CH ₃	CN	Cl	O		
6.029	F	Cl	CH ₃	CN	Cl	O	COCH ₃	m.p. 137-138°C
6.030	F	Cl	CH ₃	CN	Cl	O	COCH ₂ CH ₂ CH ₂ CH ₂ CH ₃	resin
6.031	F	Cl	CH ₃	CN	Cl	O	COOCH ₃	m.p. 131-132°C
6.032	F	Cl	CH ₃	CN	Cl	O	CO- 	m.p. 136°C
6.033	F	Cl	CH ₃	CN	Cl	O	CH ₂ CN	
6.034	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CN	m.p. 134-135°C
6.035	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOH	
6.036	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₃	
6.037	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ CH ₃	m.p. 99-100°C
6.038	F	Cl	CH ₃	CN	Cl	O	CH ₂ COO(CH ₂) ₄ CH ₃	m.p. 115-116°C
6.039	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOH	
6.040	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₃	
6.041	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH ₃	m.p. 71-73°C
6.042	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH(CH ₃) ₂	
6.043	F	Cl	CH ₃	CN	Cl	O	CH(CH ₂ CH ₃)COOCH ₃	
6.044	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ CH ₂ SCH ₂ CH ₃	
6.045	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH(CH ₃)CH ₂ SCH(CH ₃) ₂	

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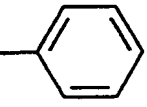
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.046	F	Cl	CH ₃	CN	Cl	O	CH ₂ - 	
6.047	F	Cl	CH ₃	CN	Cl	O	CH ₂ - 	solid
6.048	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ CH ₂ OCH ₃	
6.049	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH ₂ OCH ₂ CH ₃	
6.050	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ CH=CH ₂	
6.051	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH=CH ₂	m.p. 82-83°C
6.052	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ C≡CH	
6.053	F	Cl	CH ₃	CN	Cl	O	CH ₂ COS-CH(CH ₃) ₂	
6.054	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COSCH ₂ CH ₂ CH ₂ CH ₃	
6.055	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COSCH ₂ CH=CH ₂	
6.056	F	Cl	CH ₃	CN	Cl	O	CH ₂ CONH ₂	
6.057	F	Cl	CH ₃	CN	Cl	O	CH ₂ CONHCH ₃	
6.058	F	Cl	CH ₃	CN	Cl	O	CH ₂ CONHCH(CH ₃) ₂	
6.059	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CONH ₂	
6.060	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CONHCH ₂ CH ₃	
6.061	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CON(CH ₃) ₂	
6.062	F	Cl	CH ₃	CN	Cl	O		
6.063	F	Cl	CH ₃	CN	Cl	O		
6.064	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ - 	m.p. 83-84°C
6.065	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)COO-CH ₂ - 	
6.066	F	Cl	CH ₃	CN	Cl	O	CH ₂ CONHCH ₂ CH=CH ₂	

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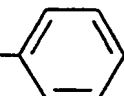
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.067	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ COOH	
6.068	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ COOCH ₃	
6.069	F	Cl	CH ₃	CN	Br	O	C(CH ₃) ₂ COOCH ₂ CH ₃	resin
6.070	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ COOCH ₂ CH=CH ₂	
6.071	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ CONHCH ₂ CH=CH ₂	resin
6.072	F	Br	CH ₃	CN	Cl	O	H	
6.073	F	Br	CH ₃	CN	Cl	O	CH ₃	
6.074	F	Br	CH ₃	CN	Cl	O	CH(CH ₃) ₂	
6.075	F	Br	CH ₃	CN	Cl	O	CH ₂ C≡CH	
6.076	F	Br	CH ₃	CN	Cl	O	CH ₂ COOH	
6.077	F	Br	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ - 	
6.078	F	Br	CH ₃	CN	Cl	O	CH ₂ CONH ₂	
6.079	F	Br	CH ₃	CN	Cl	O	CH(CH ₃)COOH	
6.080	F	Br	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH ₃	
6.081	F	Br	CH ₃	CN	Cl	O	CH(CH ₃)CONHCH ₂ CH=CH ₂	
6.082	F	Br	CH ₃	CN	Cl	O	CH(CH ₃)CN	
6.083	F	Br	CH ₃	CN	Cl	O	CH(CH ₃)COSCH(CH ₃) ₂	
6.084	Cl	Cl	CH ₃	CN	Cl	O	H	
6.085	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	
6.086	Cl	Cl	CH ₃	CN	Cl	O	CH(CH ₃) ₂	
6.087	Cl	Cl	CH ₃	CN	Cl	O	CH ₂ C≡CH	
6.088	Cl	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ - 	
6.089	Cl	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ COOCH ₂ CH ₃	
6.090	F	CN	CH ₃	CN	Cl	O	H	
6.091	F	CN	CH ₃	CN	Cl	O	CH ₃	
6.092	F	CN	CH ₃	CN	Cl	O	CH(CH ₃) ₂	
6.093	F	CN	CH ₃	CN	Cl	O	CH ₂ C≡CH	
6.094	F	CN	CH ₃	CN	Cl	O	CH(CH ₃)COOCH ₂ CH ₃	

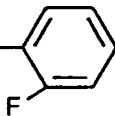
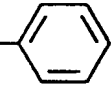
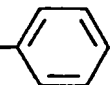
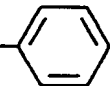
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.095	F	Cl	CH ₃	CSNH ₂	Cl	O	H	
6.096	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	m.p. 175-177°C
6.097	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃) ₂	
6.098	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ C≡CH	m.p. 153-156°C
6.099	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)C≡CH	solid
6.100	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ COOH	
6.101	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ COOCH ₃	
6.102	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ COOCH(CH ₃) ₂	
6.103	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ COOCH ₂ - 	
6.104	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOH	
6.105	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH ₃	
6.106	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH ₂ CH ₃	resin
6.107	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH(CH ₃) ₂	
6.108	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH ₂ CH=CH ₂	
6.109	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH ₂ - 	
6.110	F	Cl	CH ₃	CSNH ₂	Cl	O	C(CH ₃) ₂ COOH	
6.111	F	Cl	CH ₃	CSNH ₂	Cl	O	C(CH ₃) ₂ COOCH ₂ CH ₃	
6.112	F	Cl	CH ₃	CSNH ₂	Cl	O	C(CH ₃) ₂ COOCH ₂ CH=CH ₂	
6.113	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CONH ₂	
6.114	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CONHCH ₂ CH=CH ₂	
6.115	F	Cl	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)CN	
6.116	F	Br	CH ₃	CSNH ₂	Cl	O	H	
6.117	F	Br	CH ₃	CSNH ₂	Cl	O	CH ₃	
6.118	F	Br	CH ₃	CSNH ₂	Cl	O	CH(CH ₃) ₂	
6.119	F	Br	CH ₃	CSNH ₂	Cl	O	CH ₂ C≡CH	
6.120	F	Br	CH ₃	CSNH ₂	Cl	O	CH(CH ₃)COOCH(CH ₃) ₂	
6.121	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	
6.122	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ C≡CH	

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Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.123	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ COOH	
6.124	H	Cl	CH ₃	CN	Cl	S	H	m.p. 146-148°C
6.125	H	Cl	CH ₃	CN	Cl	S	CH(CH ₃) ₂	m.p. 119-122°C
6.126	H	Cl	CH ₃	CN	Cl	S	CH ₂ COOCH ₃	m.p. 121-125°C
6.127	F	Cl	CH ₃	CN	Cl	S	H	m.p. 127-129°C
6.128	F	Cl	CH ₃	CN	Cl	S	CH ₃	
6.129	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃) ₂	m.p. 67-70°C
6.130	F	Cl	CH ₃	CN	Cl	S	CH ₂ COOH	
6.131	F	Cl	CH ₃	CN	Cl	S	CH ₂ COOCH ₃	m.p. 98-100°C
6.132	F	Cl	CH ₃	CN	Cl	S	CH ₂ COOCH(CH ₃) ₂	m.p. 55-57°C
6.133	F	Cl	CH ₃	CN	Cl	S	CH ₂ COOCH ₂ - 	m.p. 99-103°C
6.134	F	Cl	CH ₃	CN	Cl	S	CH ₂ CONHCH ₂ CH=CH ₂	m.p. 140-142°C
6.135	F	Cl	CH ₃	CN	Cl	S	CH ₂ CONHCH ₂ CH ₂ CH ₃	m.p. 137-138°C
6.136	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃)COOH	
6.137	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃)COOCH ₃	
6.138	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃)COOCH ₂ CH ₃	
6.139	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃)COOCH(CH ₃) ₂	oil
6.140	F	Cl	CH ₃	CN	Cl	S	CH(CH ₂ CH ₃)COOH	
6.141	F	Cl	CH ₃	CN	Cl	S	CH ₂ C≡CH	m.p. 126-127°C
6.142	F	Cl	CH ₃	CN	Cl	S	CH ₂ OCH ₃	m.p. 92-96°C
6.143	F	Cl	CH ₃	CN	Cl	S	CH ₂ CH ₂ OCH ₂ CH ₃	m.p. 62-66°C
6.144	F	Cl	CH ₃	CN	Cl	S	CH(CH ₃)CN	
6.145	F	Br	CH ₃	CN	Cl	S	H	
6.146	F	Br	CH ₃	CN	Cl	S	CH ₂ COOH	
6.147	F	Br	CH ₃	CN	Cl	S	CH ₂ COOCH ₃	
6.148	Cl	Cl	CH ₃	CN	Cl	S	H	m.p. 96-99°C
6.149	Cl	Cl	CH ₃	CN	Cl	S	CH ₃	
6.150	F	Cl	CH ₃	CSNH ₂	Cl	S	H	
6.151	F	Cl	CH ₃	CSNH ₂	Cl	S	CH ₂ COOCH ₃	

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Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.152	F	Cl	CH ₃	CN	Cl		NH -COCH ₃	
6.153	F	Cl	CH ₃	CN	Cl	NCH ₃	-COCH ₃	
6.154	F	Cl	CH ₃	CN	Cl		NH -COOCH ₂ CH ₃	
6.155	F	Cl	CH ₃	CN	Cl		NH -CO- 	
6.156	F	Cl	CH ₃	CN	Cl		NH -COSCH ₃	
6.157	F	Cl	CH ₃	CN	Cl		NH CONH ₂	
6.158	F	Cl	CH ₃	CN	Cl		NH CONHCH ₃	
6.159	F	Cl	CH ₃	CN	Cl	NCH ₃	CONHCH ₃	
6.160	F	Cl	CH ₃	CN	Cl		NH CONH(CH ₂) ₃ CH ₃	
6.161	F	Cl	CH ₃	CSNH ₂	Cl		NH COCH ₃	
6.162	F	Cl	CH ₂ CH ₃	CN	Cl	O	H	
6.163	F	Cl	CH ₂ CH ₃	CN	Cl	O	CH ₃	m.p. 101-103°C
6.164	F	Cl	CH(CH ₃) ₂	CN	Cl	O	H	
6.165	F	Cl	CH(CH ₃) ₂	CN	Cl	O	CH ₃	m.p. 63-65°C
6.166	F	Cl	CH ₂ C≡CH	CN	Cl	O	CH ₃	m.p. 94-96°C
6.167	F	Cl	CH ₂ CN	CN	Cl	O	H	
6.168	F	Cl	CH ₂ CN	CN	Cl	O	CH ₃	
6.169	F	Cl	CH ₂ CN	CN	Cl	O	CH ₂ C≡CH	
6.170	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ C≡CH	m.p. 145-147°C
6.171	F	Cl	CH ₃	CN	Cl	O	SO ₂ CF ₃	m.p. 78-80°C
6.172	F	Cl	CH ₃	CN	Cl	O	COOCH=CH ₂	m.p. 89-91°C
6.173	F	Cl	CH ₃	CN	Cl	O	COC(CH ₃) ₃	resin
6.174	F	Cl	CH ₃	CN	Br	O	H	solid
6.175	F	Cl	CH ₃	CSNH ₂	Br	O	H	
6.176	F	Cl	CH ₃	CN	Br	O	CH ₃	m.p. 160-162°C
6.177	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₃	
6.178	F	Cl	CH ₃	CN	Br	O	CH ₂ C≡CH	
6.179	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₂ C≡CH	

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.180	F	Cl	CH ₃	CONH(C ₆ H ₅) Cl	O	CH ₃		m.p. 165-166°C
6.181	F	Cl	CH ₃	CONH(CH ₃) Cl	O	CH ₃		m.p. 158-160°C
6.182	F	Cl	CH ₃	NH ₂	Cl	O	H	
6.183	F	Cl	CH ₃	NH ₂	Cl	O	CH ₃	m.p. 114-118°C
6.184	F	Cl	CH ₃	NH-CHO	Cl	O	CH ₃	m.p. 199-201°C
6.185	F	Cl	CH ₃	NC	Cl	O	H	
6.186	F	Cl	CH ₃	NC	Cl	O	CH ₃	m.p. 121-123°C
6.187	F	Cl	CH ₃	CN	Br	O	CH ₂ COOH	solid
6.188	F	Cl	CH ₃	CN	Br	O	CH ₂ COOC(CH ₃) ₃	solid
6.189	F	Cl	CH ₃	CN	Br	O	CH ₂ CH=CHCl (E)	solid
6.190	F	Cl	CH ₃	CN	Br	O	CH ₂ CH=CHCl (Z)	solid
6.191	F	Cl	CH ₃	CN	Br	O	CH(CH ₃)C≡CH	solid
6.192	F	Cl	CH ₃	CSNH ₂	Br	O	CH(CH ₃)C≡CH	m.p. 130-132°C
6.193	F	Cl	CH ₃	CN	Br	O	CH(CH ₃)COOCH ₂ - 	m.p. 72-74°C
6.194	F	Cl	CH ₃	CN	Br	O	SO ₂ - 	m.p. 91-93°C
6.195	F	Cl	CH ₃	CN	Br	O	CH ₂ COOCH ₂ - 	m.p. 103-104°C
6.196	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₂ COOCH ₂ - 	m.p. 150-151°C
6.197	F	Cl	CH ₃	CN	Br	O	CH ₂ CONH-CH ₂ CH=CH ₂	m.p. 133-136°C
6.198	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₂ CONHCH ₂ CH=CH ₂	m.p. 172-173°C
6.199	F	Cl	CH ₃	CN	Br	O	CH ₂ COOCH ₂ CH ₃	
6.200	F	Cl	CH ₃	CN	Br	O	CH(CH ₃)COOH	

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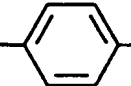


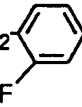
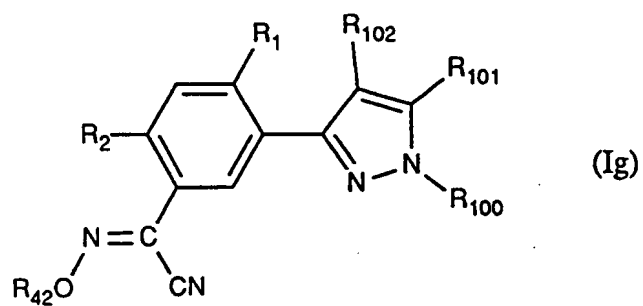
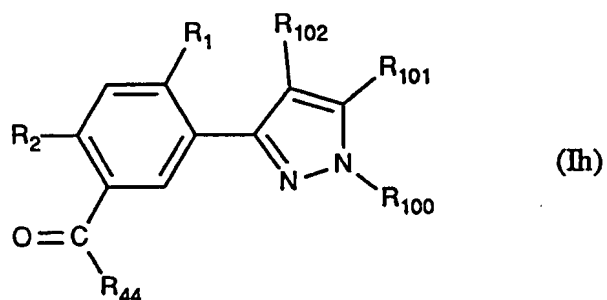
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₃	R ₄	Physical data
6.201	F	Cl	CH ₃	CN	Br	O	CH(CH ₃)COOCH ₂ CH ₃	
6.202	F	Cl	CH ₃	CSNH ₂	Br	O	CH(CH ₃)COOCH ₂ CH ₃	
6.203	F	Cl	CH ₃	CN	Br	O	CH ₂ COSCH(CH ₃) ₂	m.p. 99-100°C
6.204	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₃	solid
6.205	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃	m.p. 53-54°C
6.206	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃	m.p. 114-116°C
6.207	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₂ CH ₂ SCH ₂ CH ₃	m.p. 137-139°C
6.208	F	Cl	CH ₃	CN	Cl	O	CH ₂ COSCH ₂ COOCH ₂ CH ₃	m.p. 86-88°C
6.209	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ CH ₂ CH ₂ - 	m.p. 45-48°C
6.210	F	Cl	CH ₃	CSNH ₂	Cl	S	CH ₂ COOCH ₂ - 	m.p. 105-110°C
6.211	F	Cl	CH ₃	CSNH ₂	Cl	S	CH ₂ COOCH(CH ₃) ₂	m.p. >85°C
6.212	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ C≡CH	m.p. 145-147°C
6.213	F	Cl	CH ₃	CN	Cl	O	CH ₂ COOCH ₂ - 	m.p. 83-84°C
6.214	F	Cl	CH ₃	CN	Cl	O	CH ₂ CH ₂ SCH ₂ CH ₃	m.p. 92-93°C
6.215	F	Cl	CH ₃	CN	Cl	O	C(CH ₃) ₂ COOCH ₂ CH ₃	resin
6.216	F	Cl	CH ₃	CN	Cl	O	CH(CH ₃)CF ₃	m.p. 82-85°C
6.217	F	Cl	CH ₃	CN	Cl	O	-CH(CH ₃)COOCH ₂ - 	m.p. 53-55°C
6.218	F	Br	CH ₃	CN	Br	O	-CH ₂ C≡CH	m.p. 153-156°C
6.219	F	CN	CH ₃	CN	Br	O	CH ₃	m.p. 220-221°C
6.220	F	Br	CH ₃	CN	Br	O	CH ₃	m.p. 173-175°C
6.221	Cl	Cl	CH ₃	CN	Cl	S	CH ₂ COOCH ₃	m.p. 108-111°C
6.222	Cl	Cl	CH ₃	CN	Cl	S	-CH(CH ₃)COOCH ₃	m.p. 108-110°C

Table 7: Compounds of the formula Ig



Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₄₂	Physical data
7.01	F	Cl	CH ₃	CN	Cl	H	
7.02	F	Cl	CH ₃	CN	Cl	CH ₃	
7.03	F	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	
7.04	F	Cl	CH ₃	CN	Cl	CH ₂ C≡CH	
7.05	F	Cl	CH ₃	CN	Cl	CH ₂ COOCH ₃	
7.06	F	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₂ CH ₃	
7.07	Cl	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₂ CH ₃	

Table 8: Compounds of the formula Ih




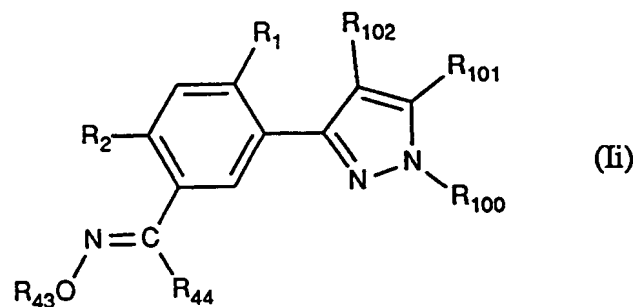
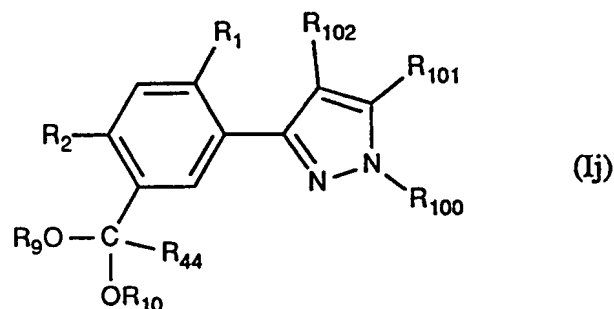
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₄₄	Physical data
8.01	F	Cl	CH ₃	CN	Cl	H	
8.02	F	Cl	CH ₃	CN	Cl	CH ₃	
8.03	F	Cl	CH ₃	CN	Cl	CF ₃	
8.04	F	Cl	CH ₃	CN	Cl		
8.05	F	Cl	CH ₃	CN	Cl	CH ₂ CH ₃	
8.06	F	Cl	CH ₃	CN	Cl	CH ₂ OCH ₃	
8.07	F	Cl	CH ₃	CN	Cl	CH ₂ Br	

Table 9: Compounds of the formula Ii



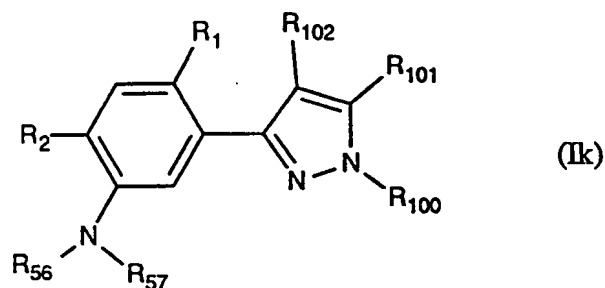
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₄₃	R ₄₄	Physical data
9.01	F	Cl	CH ₃	CN	Cl	H	H	
9.02	F	Cl	CH ₃	CN	Cl	CH ₃	H	
9.03	F	Cl	CH ₃	CN	Cl	H	CH ₃	
9.04	F	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₃	CH ₃	
9.05	F	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	CH ₃	
9.06	F	Cl	CH ₃	CN	Cl	CH ₃	CH ₃	
9.07	F	Cl	CH ₃	CSNH ₂	Cl	CH ₃	CH ₃	

Table 10: Compounds of the formula Ij



Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₉	R ₁₀	R ₄₄	Physical data
10.01	F	Cl	CH ₃	CN	Cl	CH ₃	CH ₃	CH ₃	
10.02	F	Cl	CH ₃	CN	Cl	-CH ₂ CH ₂ -		CH ₃	
10.03	F	Cl	CH ₃	CN	Cl	-CH(CH ₃)CH ₂ -		CH ₃	
10.04	F	Cl	CH ₃	CN	Cl	-CH(CH ₃)CH(CH ₃)-		CH ₃	
10.05	F	Cl	CH ₃	CSNH ₂	Cl	-CH(CH ₃)CH ₂ -		CH ₃	
10.06	F	Cl	CH ₃	CSNH ₂	Cl	-CH(CH ₃)CH(CH ₃)-		CH ₃	
10.07	Cl	Cl	CH ₃	CN	Cl	-CH(CH ₃)CH(CH ₃)-		CH ₃	

Table 11: Compounds of the formula Ik



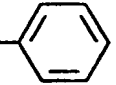
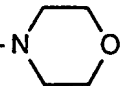
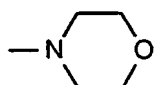
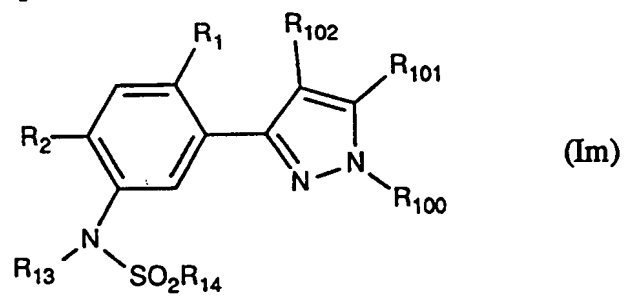
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₅₆	R ₅₇	Physical data
11.01	H	Cl	CH ₃	CN	Cl	H	H	m.p. 144-147°C
11.02	H	Cl	CH ₃	CN	Cl	CH ₃	H	
11.03	H	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	H	
11.04	F	Cl	CH ₃	CN	Cl	H	H	m.p. 163-165°C
11.05	F	Cl	CH ₃	CN	Cl	CH ₃	H	
11.06	F	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	H	
11.07	F	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	resin
11.08	F	Cl	CH ₃	CN	Cl	CH ₂ — 	H	
11.09	F	Cl	CH ₃	CN	Cl	CH ₂ CN	H	
11.10	F	Cl	CH ₃	CN	Cl	CH ₂ COOCH ₃	H	
11.11	F	Cl	CH ₃	CN	Cl	CH ₂ COOCH ₃	CH ₃	
11.12	F	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₃	H	
11.13	F	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₂ CH ₃	H	
11.14	F	Cl	CH ₃	CN	Cl	CH(CH ₃)COOCH ₂ CH ₃	CH ₃	
11.15	F	Cl	CH ₃	CN	Cl	CH ₂ CH ₂ — 	H	
11.16	F	Cl	CH ₃	CN	Cl	CH ₂ CONH ₂	H	
11.17	F	Cl	CH ₃	CN	Cl	CH ₂ CONH ₂	CH ₃	
11.18	F	Cl	CH ₃	CSNH ₂	Cl	CH ₂ COOCH ₃	CH ₃	
11.19	Cl	Cl	CH ₃	CN	Cl	CH ₂ COOCH ₃	CH ₃	
11.20	F	Cl	CH ₃	CN	Cl			
11.21	Cl	Cl	CH ₃	CN	Cl	H	H	solid

Table 12: Compounds of the formula Im








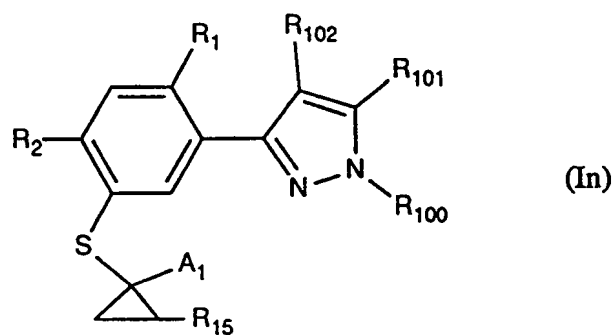
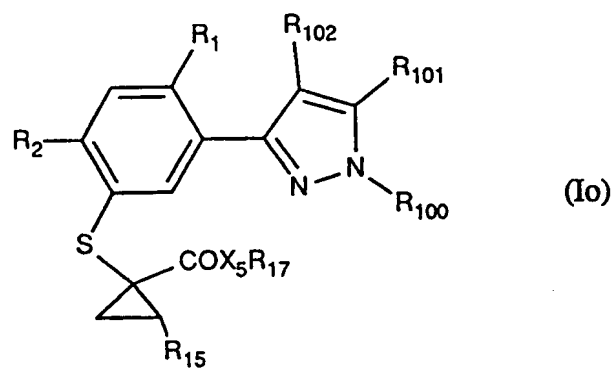
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₁₃	R ₁₄	Physical data
12.01	H	Cl	CH ₃	CN	Cl	H	SO ₂ CH ₃	m.p. 87-94°C
12.02	H	Cl	CH ₃	CN	Cl	SO ₂ CH ₃	SO ₂ CH ₃	m.p. >205°C
12.03	F	Cl	CH ₃	CN	Cl	H	SO ₂ CH ₃	
12.04	F	Cl	CH ₃	CN	Cl	SO ₂ CH ₃	SO ₂ CH ₃	
12.05	F	Cl	CH ₃	CN	Cl	H	SO ₂ CH ₂ CH ₃	
12.06	F	Cl	CH ₃	CN	Cl	SO ₂ CH ₂ CH ₃	SO ₂ CH ₂ CH ₃	m.p. 189-191°C
12.07	F	Cl	CH ₃	CN	Cl	H	SO ₂ CH(CH ₃) ₂	
12.08	F	Cl	CH ₃	CN	Cl	SO ₂ CH(CH ₃) ₂	SO ₂ CH(CH ₃) ₂	
12.09	F	Cl	CH ₃	CN	Cl	H	SO ₂ — 	
12.10	F	Cl	CH ₃	CN	Cl	SO ₂ — 	SO ₂ — 	
12.11	F	Cl	CH ₃	CN	Cl	CH ₃	SO ₂ CH ₃	
12.12	F	Cl	CH ₃	CN	Cl	CH ₂ CH=CH ₂	SO ₂ CH ₂ CH ₃	
12.13	F	Cl	CH ₃	CN	Cl	CH ₂ — 	SO ₂ CH(CH ₃) ₂	
12.14	F	Cl	CH ₃	CSNH ₂	Cl	CH ₂ CH ₃	SO ₂ CH ₂ CH ₃	
12.15	Cl	Cl	CH ₃	CN	Cl	H	SO ₂ CH ₃	resin
12.16	Cl	Cl	CH ₃	CN	Cl	SO ₂ CH ₃	SO ₂ CH ₃	m.p. 189-191°C
12.17	Cl	Cl	CH ₃	CN	Cl	H	SO ₂ CH(CH ₃) ₂	
12.18	Cl	Cl	CH ₃	CN	Cl	SO ₂ CH(CH ₃) ₂	SO ₂ CH(CH ₃) ₂	
12.19	Cl	Cl	CH ₃	CSNH ₂	Cl	CH ₂ CH=CH ₂	SO ₂ CH ₃	
12.20	F	Br	CH ₃	CN	Cl	H	SO ₂ CH ₂ CH ₃	
12.21	F	Br	CH ₃	CN	Cl	SO ₂ CH ₂ CH ₃	SO ₂ CH ₂ CH ₃	
12.22	F	Cl	CH ₃	CN	Cl	H	SO ₂ — 	
12.23	F	Cl	CH ₃	CN	Cl	SO ₂ — 	SO ₂ — 	

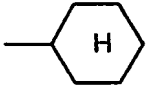
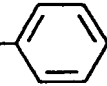
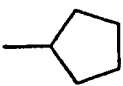
Table 13: Compounds of the formula In



Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	R ₁₅	A ₁	Physical data
13.01	H	Cl	CH ₃	CN	Cl	H	CN	
13.02	H	Cl	CH ₃	CN	Cl	H	COCl	
13.03	H	Cl	CH ₃	CN	Cl	CH ₃	COCl	
13.04	H	Cl	CH ₃	CN	Cl	H	CONH ₂	
13.05	F	Cl	CH ₃	CN	Cl	H	CN	
13.06	F	Cl	CH ₃	CN	Cl	H	COCl	
13.07	F	Cl	CH ₃	CN	Cl	H	CONH ₂	
13.08	F	Cl	CH ₃	CN	Cl	H	CONH(CH ₃)	
13.09	F	Cl	CH ₃	CN	Cl	CH ₃	COCl	
13.10	F	Cl	CH ₃	CN	Cl	F	COCl	
13.11	F	Cl	CH ₃	CN	Br	H	COCl	
13.12	F	Cl	CH ₃	CN	Cl	CH ₃	CN	
13.13	Cl	Cl	CH ₃	CN	Cl	H	CN	
13.14	Cl	Cl	CH ₃	CN	Cl	H	COCl	
13.15	Cl	Cl	CH ₃	CN	Cl	H	CONH ₂	
13.16	Cl	Cl	CH ₃	CN	Cl	H	CONHCH ₂ CH=CH ₂	
13.17	Cl	Cl	CH ₃	CN	Cl	CH ₃	CN	
13.18	Cl	Cl	CH ₃	CN	Cl	CH ₃	COCl	
13.19	Cl	Cl	CH ₃	CN	Cl	CH ₃	CONH ₂	

Table 14: Compounds of the formula Io

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Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₅	R ₁₅	R ₁₇	Physical data
14.01	H	Cl	CH ₃	CN	Cl	O	H	H	
14.02	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	
14.03	H	Cl	CH ₃	CN	Cl	O	H	CH(CH ₃) ₂	
14.04	H	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH=CH ₂	
14.05	F	Cl	CH ₃	CN	Cl	O	H	H	
14.06	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	
14.07	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₃	
14.08	F	Cl	CH ₃	CN	Cl	O	H	CH(CH ₃) ₂	
14.09	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₂ CH ₂ CH ₃	
14.10	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₂ Cl	
14.11	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₂ OCH ₃	
14.12	F	Cl	CH ₃	CN	Cl	O	H		
14.13	F	Cl	CH ₃	CN	Cl	O	H	CH(CH ₃)N(CH ₃) ₂	
14.14	F	Cl	CH ₃	CN	Cl	O	H		
14.15	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ C≡CH	
14.16	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ - 	
14.17	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₂ CH ₃	
14.18	F	Cl	CH ₃	CN	Cl	O	F	CH ₃	
14.19	F	Cl	CH ₃	CN	Cl	O	F	CH(CH ₃) ₂	
14.20	F	Cl	CH ₃	CSNH ₂	Cl	O	H	H	
14.21	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	
14.22	F	Cl	CH ₃	CSNH ₂	Cl	O	H		
14.23	F	Br	CH ₃	CN	Cl	O	H	CH ₂ C≡CH	
14.24	F	Br	CH ₃	CN	Cl	O	H	H	
14.25	F	CN	CH ₃	CN	Cl	O	H	H	

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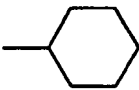
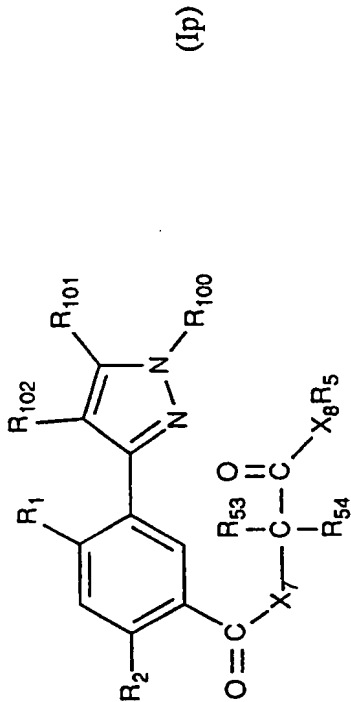
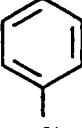



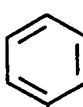
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₅	R ₁₅	R ₁₇	Physical data
14.26	F	CN	CH ₃	CN	Cl	O	H	CH ₂ CH ₃	
14.27	F	Cl	CH ₃	CN	Br	O	H	H	
14.28	F	Cl	CH ₃	CN	Br	O	H	CH ₃	
14.29	Cl	Cl	CH ₃	CN	Cl	O	H	H	
14.30	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	
14.31	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₃	
14.32	Cl	Cl	CH ₃	CN	Cl	O	H		
14.33	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH=CH ₂	
14.34	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	H	
14.35	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₂ CH ₃	
14.36	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH(CH ₃) ₂	



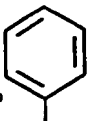
Table 15: Compounds of the formula Ip

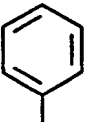



Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.001	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	H	solid 116-125°C
15.002	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₃	
15.003	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.004	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃) ₂	
15.005	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.006	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O		
15.007	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	H	resin
15.008	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₃	
15.009	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.010	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ CH ₂ CH ₂ CH ₃	
15.011	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃) ₂	



Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.012	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₂ CH ₃)(CH ₃)	
15.013	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.014	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (rac.)	
15.015	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (S)	
15.016	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ C≡CH	
15.017	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O		
15.018	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ OCH ₃	
15.019	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH ₂ SCH ₂ CH ₃	
15.020	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O		
15.021	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₂ CH ₃)CH=CH ₂	
15.022	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ N(CH ₃) ₂	
15.023	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ CN	
15.024	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₃	
15.025	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ CH ₃	
15.026	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃) ₂	
15.027	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	H	
15.028	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₃	
15.029	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.030	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH(CH ₃) ₂	

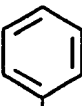
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.031	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	resin
15.032	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.033	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₂ - 	resin
15.034	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	H	
15.035	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₃	
15.036	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.037	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.038	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (rac.)	
15.039	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (S)	
15.040	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ C≡CH	
15.041	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ - 	
15.042	F	CN	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	H	
15.043	F	CN	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.044	F	CN	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.045	F	CN	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.046	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	H	
15.047	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.048	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.049	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (rac.)	



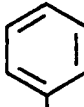
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.050	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (S)	
15.051	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ - 	
15.052	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ N(CH ₃) ₂	
15.053	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	S	CH ₂ CH ₃	
15.054	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	resin
15.055	F	Cl	CH ₃	CSNH ₂	Br	O	CH ₃	CH ₃	O	CH ₂ - 	
15.056	F	Br	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.057	F	Br	CH ₃	CSNH ₂	Br	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.058	F	CN	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.059	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	H	
15.060	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₃	
15.061	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	resin
15.062	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ CH ₂ CH ₂ CH ₃	
15.063	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃) ₂	
15.064	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.065	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (rac.)	
15.066	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂ (S)	
15.067	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ - 	
15.068	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ OCH ₃	

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.069	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH ₂ SCH ₂ CH ₃	
15.070	Cl	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.071	Cl	CN	CH ₃	CN	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.072	Cl	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	O	CH ₃	
15.073	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	H	
15.074	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.075	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.076	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.077	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O		
15.078	Cl	Br	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	O	CH ₂ CH ₂ OCH ₂	
15.079	H	Cl	CH ₃	CN	Cl	O	H	H	O	CH ₂ CH ₃	
15.080	F	Cl	CH ₃	CN	Cl	O	H	H	O	H	
15.081	F	Cl	CH ₃	CN	Cl	O	H	H	O	CH ₂ CH ₃	
15.082	F	Cl	CH ₃	CN	Cl	O	H	H	O	CH ₂ CH=CH ₂	
15.083	F	Cl	CH ₃	CN	Cl	O	H	H	O		
15.084	F	Cl	CH ₃	CSNH ₂	Cl	O	H	H	O	CH ₂ CH ₃	
15.085	Cl	Cl	CH ₃	CN	Cl	O	H	H	O	CH ₃	
15.086	Cl	Cl	CH ₃	CN	Cl	O	H	H	O	CH(CH ₃) ₂	
15.087	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	H	O	CH ₂ CH=CH ₂	

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Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.088	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	H	
15.089	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.090	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ - 	
15.091	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	H	
15.092	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₃	
15.093	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.094	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₂ CH ₂ CH ₃	
15.095	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH=CH ₂ (rac.)	
15.096	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH=CH ₂ (S)	
15.097	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.098	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃) ₂	
15.099	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ C≡CH	
15.100	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₂ N(CH ₂ CH ₃) ₂	
15.101	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ - 	
15.102	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃)CH ₂ SCH ₃	
15.103	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	S	CH ₂ CH ₃	
15.104	F	Br	CH ₃	CN	Cl	O	H	CH ₃	O	H (rac.)	
15.105	F	Br	CH ₃	CN	Cl	O	H	CH ₃	O	H (S)	
15.106	F	Br	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₃	

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.107	F	Br	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH=CH ₂	
15.108	F	CN	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃) ₂	
15.109	F	CN	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.110	F	Cl	CH ₃	CN	Br	O	H	CH ₃	O	CH ₃	
15.111	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	H (rac.)	
15.112	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	H (S)	
15.113	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₃	
15.114	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.115	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ CH=CH ₂	
15.116	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ - 	
15.117	F	Cl	CH ₃	CSNH ₂	Br	O	H	CH ₃	O	CH(CH ₃) ₂	
15.118	F	Br	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.119	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	H	
15.120	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH ₃	resin
15.121	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH ₂ CH=CH ₂	
15.122	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.123	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	O	CH(CH ₃) ₂	
15.124	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	S	CH ₂ CH ₃	
15.125	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	H	

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.126	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ CH ₃	
15.127	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	O	CH ₂ - 	
15.128	Cl	Cl	CH ₃	CSNH ₂	Br	O	H	CH ₃	O	CH ₂ CH=CH ₂	
15.129	F	Cl	CH ₃	CN	Cl	S	CH ₃	CH ₃	O	CH ₂ CH=CH ₂	
15.130	F	Cl	CH ₃	CN	Cl	S	CH ₃	CH ₃	O	CH(CH ₃)CH ₂ CH ₃	
15.131	F	Cl	CH ₃	CN	Br	S	CH ₃	CH ₃	O	CH ₃	
15.132	F	Cl	CH ₃	CN	Cl	S	H	CH ₃	O	CH ₂ CH ₃	
15.133	F	Cl	CH ₃	CN	Br	S	H	CH ₃	O	CH ₂ - 	
15.134	F	Cl	CH ₃	CN	Br	S	H	CH ₃	O	H	
15.135	F	Cl	CH ₃	CSNH ₂	Cl	S	H	H	O	CH ₂ CH ₂ CH ₂ CH ₃	
15.136	F	Cl	CH ₃	CSNH ₂	Br	S	H	H	O	CH ₂ CH=CH ₂	
15.137	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	O	H	
15.138	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	O	CH ₂ CH ₃	
15.139	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	O	CH(CH ₃)CH=CH ₂	
15.140	F	Cl	CH ₃	CSNH ₂	Cl	NH	CH ₃	CH ₃	O	CH ₃	
15.141	F	Cl	CH ₃	CN	Br	NH	CH ₃	CH ₃	O	CH ₂ - 	
15.142	F	Cl	CH ₃	CN	Cl	NH	H	CH ₃	O	H	
15.143	F	Cl	CH ₃	CN	Cl	NH	H	CH ₃	O	CH(CH ₃) ₂	
15.144	F	Cl	CH ₃	CN	Br	NH	H	CH ₃	O	CH ₂ CH ₃	











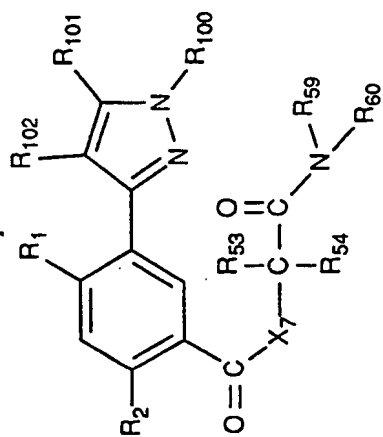
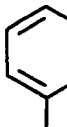
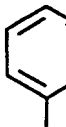
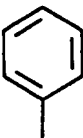
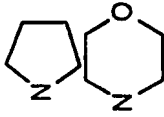
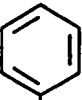
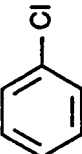
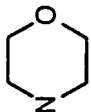

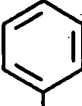
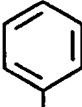

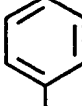
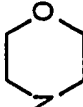
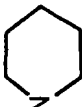
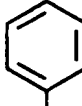
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	X ₈	R ₅	Physical data
15.145	Cl	Cl	CH ₃	CN	Cl	NH	H	CH ₃	O	CH ₂ CH=CH ₂	
15.146	Cl	Cl	CH ₃	CSNH ₂	Cl	NH	H	CH ₃	O	CH ₃	
15.147	F	Cl	CH ₃	CN	Cl	NH	H	H	O	H	
15.148	F	Cl	CH ₃	CN	Cl	NH	H	H	O	CH ₂ CH ₃	
15.149	F	Cl	CH ₃	CN	Cl	NH	H	H	O	CH(CH ₃) ₂	
15.150	F	Cl	CH ₃	CSNH ₂	Cl	NH	H	H	O	CH ₂ CH=CH ₂	
15.151	F	Cl	CH ₃	CSNH ₂	Cl	NH	H	H	O	CH ₃	
15.152	Cl	Cl	CH ₃	CN	Cl	NH	H	H	O	CH ₂ CH ₂ CH ₃	
15.153	Cl	Cl	CH ₃	CN	Cl	NH	H	H	O	 CH ₂	
15.154	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	H	O	H	
15.155	F	Cl	CH ₃	CN	Cl	N(CH ₂ CH=CH ₂)	H	CH ₃	O	CH ₂ CH ₃	
15.156	F	Cl	CH ₃	CN	Cl	NH	H	CH(CH ₃) ₂	O	CH ₃	
15.157	F	Cl	CH ₃	CN	Cl	O			O	CH ₂ CH=CH ₂	
15.158	F	Cl	CH ₃	CN	Cl	O			O	CH ₂ CH ₃	
15.159	F	Cl	CH ₃	CN	Br	O			O	 CH ₂	
15.160	F	Cl	CH ₃	CSNH ₂	Cl	O			O	CH ₃	
15.161	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₂ CH ₃	O	CH ₂ CH=CH ₂	
15.162	F	Br	CH ₃	CN	Cl	O	H	CH ₂ CH=CH ₂	O	CH ₃	
15.163	F	Cl	CH ₃	CN	Cl	S	H	H	O	CH ₂ CH ₃	75-77°C


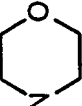

Table 16: Compounds of the formula Iq






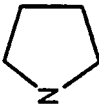


Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.001	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	H	
16.002	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	CH ₂ CH=CH ₂	
16.003	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.004	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
16.005	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	CH ₃	CH ₃	
16.006	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₂ - 	
16.007	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	CH ₂ CH=CH ₂	CH ₂ - 	
16.008	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H		
16.009	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃			
16.010	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃			

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.011	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	H	
16.012	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₂ CH ₃	
16.013	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.014	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	H	CH ₂ - 	
16.015	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	H	
16.016	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₃	
16.017	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
16.018	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.019	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₂ - 	
16.020	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃			
16.021	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	H	
16.022	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₃	
16.023	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃			
16.024	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.025	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₂ CH ₃	
16.026	Cl	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	CH ₂ - 	

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.027	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₃ C≡CH	
16.028	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	CH ₃	CH ₂ - 	
16.029	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	CH ₃	
16.030	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	CH ₃	CH ₃	
16.031	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	CH ₂ CH=CH ₂	
16.032	F	Cl	CH ₃	CN	Cl	O	H	CH ₃			
16.033	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	H	CH ₂ CH=CH ₂	
16.034	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	H	H	
16.035	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	CH ₂ - 	
16.036	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃			
16.037	F	Cl	CH ₃	CN	Cl	O	H	H	H	CH ₂ CH ₃	
16.038	F	Cl	CH ₃	CN	Cl	O	H	H			
16.039	F	Cl	CH ₃	CSNH ₂	Cl	O	H	H	H	CH ₂ CH ₂ CH ₂ CH ₃	
16.040	F	Cl	CH ₃	CSNH ₂	Br	O	H	H	H	CH ₂ - 	
16.041	Cl	Cl	CH ₃	CN	Cl	O	H	H	H	CH ₂ CH ₃	

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.042	Cl	Cl	CH ₃	CN	Cl	O	H	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
16.043	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	H	H	CH ₂ - 	
16.044	F	Cl	CH ₃	CN	Cl	S	H	CH ₃	H	CH ₃	
16.045	F	Cl	CH ₃	CN	Cl	S	H	H	H	CH ₂ CH=CH ₂	
16.046	F	Cl	CH ₃	CN	Br	S	H	CH ₃			
16.047	Cl	Cl	CH ₃	CN	Cl	S	H	H	H	CH(CH ₃) ₂	
16.048	Cl	Cl	CH ₃	CN	Cl	S	H	H	CH ₃	CH ₃	
16.049	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	H	H	
16.050	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃			
16.051	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	H	CH ₂ CH ₃	
16.052	F	Cl	CH ₃	CN	Cl	N(CH ₃)	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.053	F	Cl	CH ₃	CN	Cl	NH	H	CH ₃	H	CH ₃	
16.054	F	Cl	CH ₃	CSNH ₂	Cl	NH	H	CH ₃	CH ₃	CH ₂ CH=CH ₂	
16.055	F	Br	CH ₃	CN	Cl	NH	H	CH ₃	H	CH ₂ CH=CH ₂	
16.056	Cl	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
16.057	Cl	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
16.058	Cl	Cl	CH ₃	CN	Cl	N(CH ₂ CH=CH ₂)	CH ₃	CH ₃	H	CH ₃	

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.059	Cl	Cl	CH ₃	CN	Cl	NH	H	CH ₃	H	CH(CH ₃) ₂	
16.060	Cl	Cl	CH ₃	CN	Cl	NH	H	CH ₃	H	H	
16.061	Cl	Cl	CH ₃	CN	Cl	NH	H	H	H	CH ₂ - 	
16.062	Cl	Cl	CH ₃	CN	Cl	N(CH ₂)	H	H			
16.063	Cl	Cl	CH ₃	CN	Cl	NH	H	H	H	CH ₂ CH ₂ CH ₃	
16.064	Cl	Cl	CH ₃	CSNH ₂	Cl	NH	H	H	CH ₃	CH ₃	
16.065	F	Cl	CH ₃	CN	Cl	NH	H	H	H	H	
16.066	F	Br	CH ₃	CN	Cl	NH	H	H			
16.067	F	Cl	CH ₃	CSNH ₂	Cl	N(CH ₃)	H	H	H	CH ₂ CH=CH ₂	
16.068	F	Cl	CH ₃	CSNH ₂	Cl	NH	H	H	H	CH ₃	
16.069	F	Cl	CH ₃	CN	Cl	NH	H	CH(CH ₃) ₂	H	CH ₃	
16.070	F	Cl	CH ₃	CSNH ₂	Cl	N(CH ₃)	H	CH(CH ₃) ₂	CH ₂ CH ₃	CH ₂ CH ₃	
16.071	F	Cl	CH ₃	CN	Cl	O			H	CH ₂ CH=CH ₂	
16.072	F	Cl	CH ₃	CN	Br	O			CH ₃	CH ₃	
16.073	F	Br	CH ₃	CSNH ₂	Cl	O	H	CH ₂ CH=CH ₂			

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
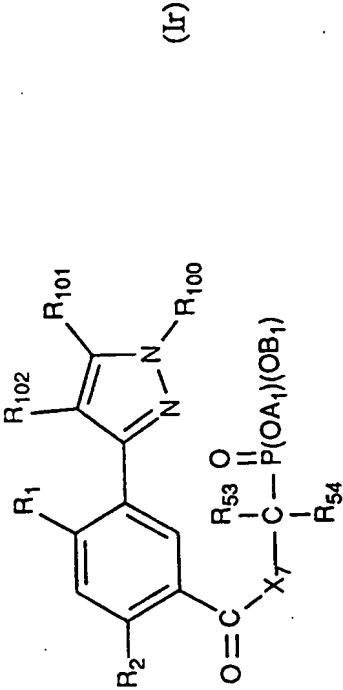
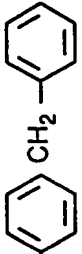
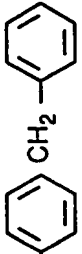
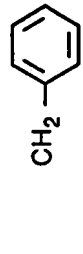
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	R ₅₉	R ₆₀	Physical data
16.074	F	Cl	CH ₃	CN	Cl	S			H	CH ₂ CH ₃	
16.075	F	Cl	CH ₃	CN	Cl	O			CH ₃	CH ₃	
16.076	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₃	H	CH ₂ - 	
16.077	F	Cl	CH ₃	CN	Cl	O	H	CCl ₃	H	CH ₃	
16.078	F	Cl	CH ₃	CN	Cl	O	H	CH ₂ Cl	CH ₃	CH ₃	
16.079	F	Cl	CH ₃	CN	Cl	O	H	CF ₃	H	CH ₂ CH=CH ₂	




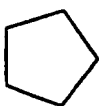

Table 17: Compounds of the formula Ir



Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	A ₁	B ₁	Physical data
17.001	F	Cl	CH ₃	CN	Cl	O	H	H	H	H	
17.002	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	H	
17.003	F	Cl	CH ₃	CN	Cl	O	H	CCl ₃	H	H	
17.004	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₂ CH ₃	H	H	
17.005	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	H	H	
17.006	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₂ CH ₃	H	H	
17.007	F	Cl	CH ₃	CN	Cl	NH	H	H	H	H	
17.008	F	Cl	CH ₃	CSNH ₂	Cl	N(CH ₃)	H	H	H	H	
17.009	F	Br	CH ₃	CN	Cl	NH	H	CH ₃	H	H	
17.010	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	H	H	
17.011	F	Cl	CH ₃	CSNH ₂	Cl	N(CH ₃)	H	CH ₂ CH ₃	H	H	
17.012	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	H	

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Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	A ₁	B ₁	Physical data
17.013	Cl	Cl	CH ₃	CN	Cl	O	H	H	H	H	
17.014	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	H	
17.015	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	H	
17.016	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	H	H	H	
17.017	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	H	H	
17.018	Cl	Cl	CH ₃	CN	Cl	NH	H	H	H	H	
17.019	Cl	Cl	CH ₃	CN	Cl	N(CH ₃)	H	CH ₃	H	H	
17.020	Cl	Cl	CH ₃	CSNH ₂	Cl	NH	CH ₃	CH ₃	H	H	
17.021	Cl	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	H	H	
17.022	H	Cl	CH ₃	CN	Cl	O	H	H	H	H	
17.023	F	Cl	CH ₃	CSNH ₂	Cl	O	H	H	CH ₃	CH ₃	
17.024	F	Cl	CH ₃	CN	Cl	O	H	H	CH ₂ CH ₃	CH ₂ CH ₃	
17.025	F	Cl	CH ₃	CN	Cl	O	H	H	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
17.026	F	Cl	CH ₃	CN	Cl	O	H	H	CH ₂ - 	CH ₂ - 	
17.027	F	Cl	CH ₃	CN	Cl	O	H	H	H	CH ₃	
17.028	F	Cl	CH ₃	CN	Cl	O	H	H	H	CH ₂ CH=CH ₂	
17.029	F	Cl	CH ₃	CSNH ₂	Cl	O	H	H	H	CH ₂ - 	
17.030	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	

Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	A ₁	B ₁	Physical data
17.031	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	H	CH ₂ CH=CH ₂	
17.032	F	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₃	CH ₃	CH ₃	
17.033	F	Br	CH ₃	CN	Cl	O	H	CH ₂ CH ₂ CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
17.034	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	CH ₃	CH ₃	
17.035	F	Cl	CH ₃	CSNH ₂	Cl	O	CH ₃	CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
17.036	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	H	CH ₂ CH=CH ₂	
17.037	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	H	CH ₂ - 	
17.038	Cl	Cl	CH ₃	CN	Cl	O	H	H	CH ₂ CH ₃	CH ₂ CH ₃	
17.039	Cl	Cl	CH ₃	CN	Cl	O	H	H	H	CH ₂ - 	
17.040	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	H	CH ₃	CH ₃	
17.041	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₂ CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
17.042	Cl	Cl	CH ₃	CSNH ₂	Cl	O	H	CH ₂ CH ₂ CH ₃	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
17.043	F	Cl	CH ₃	CN	Cl	O		CH ₃	CH ₃	CH ₃	
17.044	F	Br	CH ₃	CN	Cl	O		CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
17.045	F	Cl	CH ₃	CN	Br	NH	H	H	CH ₂ CH ₃	CH ₂ CH ₃	
17.046	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	H	H	CH ₂ - 	

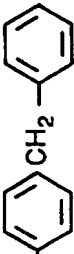
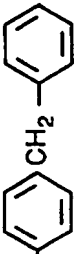
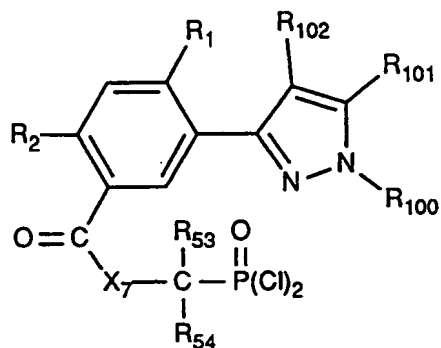
Com- pound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	A ₁	B ₁	Physical data
17.047	F	Cl	CH ₃	CSNH ₂	Cl	NH	H	CH ₃	CH ₃	CH ₃	
17.048	F	Cl	CH ₃	CN	Br	N(CH ₂ CH ₃)	H	CH ₃	CH ₂ CH ₃	CH ₂ CH ₃	
17.049	F	Cl	CH ₃	CSNH ₂	Br	N(CH ₃)	H	CH ₃	CH ₂ - 	CH ₂ - 	
17.050	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	CH ₂ CH=CH ₂	CH ₂ CH=CH ₂	
17.051	Cl	Cl	CH ₃	CN	Cl	NH	H	H	CH ₂ CH ₃	CH ₂ CH ₃	
17.052	Cl	Cl	CH ₃	CSNH ₂	Cl	NH	H	H	H	CH ₂ CH=CH ₂	
17.053	Cl	Cl	CH ₃	CSNH ₂	Cl	N(CH ₃)	H	H	CH ₃	CH ₃	
17.054	F	Cl	CH ₃	CN	Cl	O	H	CCl ₃	CH ₂ CH ₃	CH ₂ CH ₃	

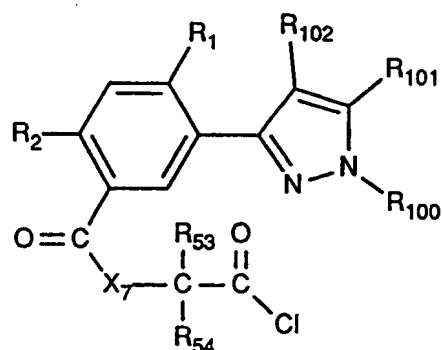
Table 18: Compounds of the formula Is



(Is)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	Physical data
18.01	H	Cl	CH ₃	CN	Cl	O	H	H	
18.02	F	Cl	CH ₃	CN	Cl	O	H	H	
18.03	F	Cl	CH ₃	CN	Br	O	H	H	
18.04	F	Br	CH ₃	CN	Cl	O	H	H	
18.05	Cl	Cl	CH ₃	CN	Cl	O	H	H	
18.06	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	
18.07	F	Cl	CH ₃	CN	Br	O	H	CH ₃	
18.08	F	Br	CH ₃	CN	Cl	O	H	CH ₃	
18.09	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	
18.10	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	
18.11	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	
18.12	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	
18.13	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	
18.14	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	H	
18.15	Cl	Cl	CH ₃	CN	Cl	N(CH ₃)	H	H	
18.16	F	Cl	CH ₃	CN	Br	N(CH ₃)	H	H	
18.17	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	CH ₃	
18.18	Cl	Cl	CH ₃	CN	Cl	N(CH ₃)	H	CH ₃	
18.19	F	Cl	CH ₃	CN	Br	N(CH ₃)	H	CH ₃	
18.20	F	Cl	CH ₃	CN	Cl	N(CH ₃)	CH ₃	CH ₃	

Table 19: Compounds of the formula It



(It)

Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	Physical data
19.01	H	Cl	CH ₃	CN	Cl	O	H	H	
19.02	H	Cl	CH ₃	CN	Cl	O	H	CH ₃	
19.03	H	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	
19.04	H	Cl	CH ₃	CN	Cl	NH	H	H	
19.05	H	Cl	CH ₃	CN	Cl	NH	H	CH ₃	
19.06	F	Cl	CH ₃	CN	Cl	O	H	H	
19.07	F	Cl	CH ₃	CN	Br	O	H	H	
19.08	F	Cl	CH ₃	CN	Cl	O	H	CH ₃	
19.09	F	Cl	CH ₃	CN	Br	O	H	CH ₃	
19.10	F	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	
19.11	F	Cl	CH ₃	CN	Br	O	CH ₃	CH ₃	
19.12	F	Br	CH ₃	CN	Cl	O	H	H	
19.13	F	Br	CH ₃	CN	Cl	O	H	CH ₃	
19.14	F	Br	CH ₃	CN	Cl	O	CH ₃	CH ₃	
19.15	Cl	Cl	CH ₃	CN	Cl	O	H	H	
19.16	Cl	Cl	CH ₃	CN	Cl	O	H	CH ₃	
19.17	Cl	Cl	CH ₃	CN	Cl	O	CH ₃	CH ₃	
19.18	F	Cl	CH ₃	CN	Cl	NH	H	H	
19.19	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	H	
19.20	F	Cl	CH ₃	CN	Br	NH	H	H	

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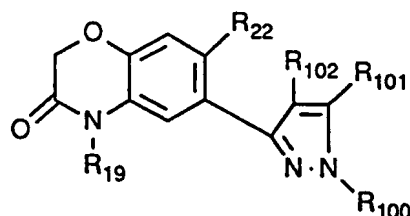
Compound No.	R ₁	R ₂	R ₁₀₀	R ₁₀₁	R ₁₀₂	X ₇	R ₅₃	R ₅₄	Physical data
19.21	F	Br	CH ₃	CN	Cl	NH	H	H	
19.22	F	Cl	CH ₃	CN	Cl	NH	H	CH ₃	
19.23	F	Cl	CH ₃	CN	Cl	N(CH ₃)	H	CH ₃	
19.24	F	Cl	CH ₃	CN	Br	NH	H	CH ₃	
19.25	F	Br	CH ₃	CN	Cl	NH	H	CH ₃	
19.26	F	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	
19.27	F	Cl	CH ₃	CN	Cl	N(CH ₃)	CH ₃	CH ₃	
19.28	F	Cl	CH ₃	CN	Br	NH	CH ₃	CH ₃	
19.29	F	Br	CH ₃	CN	Cl	NH	CH ₃	CH ₃	
19.30	Cl	Cl	CH ₃	CN	Cl	NH	CH ₃	CH ₃	
19.31	Cl	Cl	CH ₃	CN	Cl	N(CH ₃)	CH ₃	CH ₃	
19.32	F	Cl	CH ₃	CN	Cl	S	H	H	
19.33	F	Cl	CH ₃	CN	Br	S	H	H	
19.34	F	Br	CH ₃	CN	Cl	S	H	H	
19.35	F	Cl	CH ₃	CN	Cl	O			
19.36	F	Br	CH ₃	CN	Cl	O			
19.37	F	Cl	CH ₃	CN	Br	O			

Table 20:

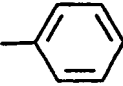
Compounds of the formula Iu



(Iu)

Compound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
20.001	H	H	H	CN	Cl	m.p. >280°C
20.002	H	CH ₃	CH ₃	CN	Cl	
20.003	H	CH ₂ -CH ₃	CH ₃	CN	Cl	
20.004	H	-CH(CH ₃) ₂	CH ₃	CN	Cl	
20.005	H	CH ₂ -C≡CH	CH ₃	CN	Cl	
20.006	H	-CH(CH ₃)C≡CH	CH ₃	CN	Cl	
20.007	H	CH ₂ -CH=CH ₂	CH ₃	CN	Cl	
20.008	H	CH ₂ COOCH ₃	CH ₃	CN	Cl	
20.009	H	CH(CH ₃)COOCH ₃	CH ₃	CN	Cl	
20.010	H	CH ₂ -COOC ₂ H ₅	CH ₃	CN	Cl	
20.011	H	CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Cl	
20.012	H	-CH ₂ -CH=CH-Cl	CH ₃	CN	Cl	
20.013	H	-CH(CH ₃)-CH ₂ -CH ₃	CH ₃	CN	Cl	
20.014	H	-CH ₂ -CN	CH ₃	CN	Cl	
20.015	H	-CH(CH ₃)CN	CH ₃	CN	Cl	
20.016	Cl	-CH ₃	CH ₃	CN	Cl	
20.017	Cl	-CH(CH ₃) ₂	CH ₃	CN	Cl	
20.018	Cl	-CH ₂ -COOCH ₃	CH ₃	CN	Cl	
20.019	Cl	-CH ₂ -COOC ₂ H ₅	CH ₃	CN	Cl	
20.020	Cl	-CH(CH ₃)COOCH ₃	CH ₃	CN	Cl	
20.021	Cl	-CH ₂ -C≡CH	CH ₃	CN	Cl	
20.022	Cl	-CH(CH ₃)-C≡CH	CH ₃	CN	Cl	
20.023	H	-CH ₂ -C≡CH	CH ₃	CN	Br	

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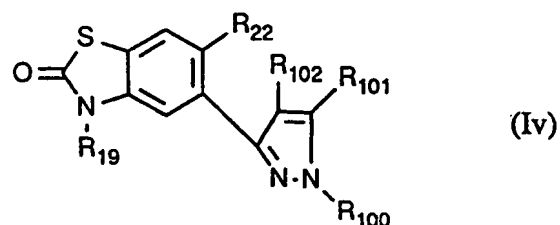
Compound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
20.024	H	-CH(CH ₃)C≡CH	CH ₃	CN	Br	
20.025	F	CH ₃	CH ₃	CN	Cl	
20.026	F	C ₂ H ₅	CH ₃	CN	Cl	
20.027	F	CH ₂ -CH ₂ -CH ₃	CH ₃	CN	Cl	
20.028	F	CH(CH ₃) ₂	CH ₃	CN	Cl	
20.029	F	-CH(CH ₃)C ₂ H ₅	CH ₃	CN	Cl	
20.030	F	-CH ₂ -COOCH ₃	CH ₃	CN	Cl	
20.031	F	-CH ₂ -COOC ₂ H ₅	CH ₃	CN	Cl	
20.032	F	-CH(CH ₃)COOCH ₃	CH ₃	CN	Cl	
20.033	F	-CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Cl	
20.034	F	-CH ₂ -CH=CH ₂	CH ₃	CN	Cl	
20.035	F	-CH ₂ -C≡CH	CH ₃	CN	Cl	
20.036	F	-CH(CH ₃)C≡CH	CH ₃	CN	Cl	
20.037	F	-CH ₂ CN	CH ₃	CN	Cl	
20.038	F	-CH(CH ₃)CN	CH ₃	CN	Cl	
20.039	F	-CH ₂ -CH=CHCl	CH ₃	CN	Cl	
20.040	F	-CH ₂ -O-CH ₃	CH ₃	CN	Cl	
20.041	F	-CH ₂ -O-C ₂ H ₅	CH ₃	CN	Cl	
20.042	F	-CH ₂ - 	CH ₃	CN	Cl	
20.043	F	-CH ₂ -CH=CH-CH ₃	CH ₃	CN	Cl	
20.044	F	-H	CH ₃	CN	Br	
20.045	F	-CH(CH ₃) ₂	CH ₃	CN	Br	
20.046	F	-CH ₂ -C≡CH	CH ₃	CN	Br	
20.047	F	-CH(CH ₃)C≡CH	CH ₃	CN	Br	
20.048	F	-H	CH ₃	CSNH ₂	Cl	
20.049	F	-CH ₃	CH ₃	CSNH ₂	Cl	
20.050	F	-C ₂ H ₅	CH ₃	CSNH ₂	Cl	
20.051	F	-CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
20.052	F	-CH ₂ -COOCH ₃	CH ₃	CSNH ₂	Cl	
20.053	F	-CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Cl	
20.054	F	-CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Cl	

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Compound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
20.055	F	-CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
20.056	F	-CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Cl	
20.057	Cl	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
20.058	F	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
20.059	F	-CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Br	
20.060	F	-CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
20.061	H	H	CH ₃	CSNH ₂	Cl	
20.062	H	H	CH ₃	CSNH ₂	Br	
20.063	F	H	CH ₃	CSNH ₂	Br	
20.064	H	CH ₃	CH ₃	CSNH ₂	Cl	
20.065	H	CH ₃	CH ₃	CSNH ₂	Cl	
20.066	H	CH ₃	CH ₃	CSNH ₂	Br	
20.067	F	CH ₃	CH ₃	CSNH ₂	Br	
20.068	H	CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
20.069	H	CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
20.070	F	CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
20.071	H	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Cl	
20.072	F	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Cl	
20.073	H	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Br	
20.074	F	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Br	
20.075	H	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
20.076	F	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
20.077	H	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
20.078	F	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
20.079	H	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
20.080	F	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
20.081	H	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
20.082	F	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
20.083	H	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Cl	
20.084	F	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Cl	
20.085	H	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Br	
20.086	F	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Br	

Table 21:

Compounds of the formula Iv



Compound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
21.001	H	H	H	CN	Cl	m.p. >280°C
21.002	H	CH ₃	CH ₃	CN	Cl	
21.003	H	C ₂ H ₅	CH ₃	CN	Cl	
21.004	H	CH(CH ₃) ₂	CH ₃	CN	Cl	m.p. 183°C
21.005	H	-CH(CH ₃)C ₂ H ₅	CH ₃	CN	Cl	
21.006	H	-CH ₂ -COOCH ₃	CH ₃	CN	Cl	
21.007	H	-CH ₂ -COOC ₂ H ₅	CH ₃	CN	Cl	
21.008	H	-CH(CH ₃)COOCH ₃	CH ₃	CN	Cl	
21.009	H	-CH(CH ₃)-COOC ₂ H ₅	CH ₃	CN	Cl	
21.010	H	-CH ₂ -C≡CH	CH ₃	CN	Cl	
21.011	H	-CH(CH ₃)C≡CH	CH ₃	CN	Cl	
21.012	H	-CH(CH ₃)CN	CH ₃	CN	Cl	
21.013	Cl	-CH ₃	CH ₃	CN	Cl	
21.014	Cl	-CH(CH ₃) ₂	CH ₃	CN	Cl	
21.015	Cl	-CH ₂ -C≡CH	CH ₃	CN	Cl	
21.016	Cl	-CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Cl	
21.017	F	H	CH ₃	CN	Cl	
21.018	F	CH ₃	CH ₃	CN	Cl	
21.019	F	C ₂ H ₅	CH ₃	CN	Cl	
21.020	F	CH ₂ -CH ₂ -CH ₃	CH ₃	CN	Cl	

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Com- pound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
21.021	F	CH(CH ₃) ₂	CH ₃	CN	Cl	m.p. 167-169°C
21.022	F	CH(CH ₃)C ₂ H ₅	CH ₃	CN	Cl	
21.023	F	-CH ₂ -CH(CH ₃) ₂	CH ₃	CN	Cl	
21.024	F	-CH ₂ -CH=CH ₂	CH ₃	CN	Cl	
21.025	F	-CH ₂ -C≡CH	CH ₃	CN	Cl	
21.026	F	-CH(CH ₃)C≡CH	CH ₃	CN	Cl	
21.027	F	-CH ₂ -COOCH ₃	CH ₃	CN	Cl	
21.028	F	-CH(CH ₃)COOCH ₃	CH ₃	CN	Cl	
21.029	F	-CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Cl	
21.030	F	-CH ₂ -CN	CH ₃	CN	Cl	
21.031	F	-CH(CH ₃)CN	CH ₃	CN	Cl	
21.032	F	-CH ₂ -O-CH ₃	CH ₃	CN	Cl	
21.033	F	-CH ₂ -O-C ₂ H ₅	CH ₃	CN	Cl	
21.034	H	CH ₃	CH ₃	CN	Br	
21.035	H	CH(CH ₃) ₂	CH ₃	CN	Br	
21.036	H	CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Br	
21.037	H	CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.038	H	-CH(CH ₃)COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.039	Cl	-CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.040	F	CH ₃	CH ₃	CSNH ₂	Cl	
21.041	F	CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.042	F	CH ₂ COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.043	F	CH(CH ₃)COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.044	F	CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
21.045	F	CH(CH ₃)COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
21.046	H	H	CH ₃	CSNH ₂	Cl	
21.047	F	H	CH ₃	CSNH ₂	Cl	
21.048	Cl	H	CH ₃	CSNH ₂	Cl	
21.049	H	H	CH ₃	CSNH ₂	Br	
21.050	F	H	CH ₃	CSNH ₂	Br	
21.051	Cl	H	CH ₃	CSNH ₂	Br	

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Com- pound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
<hr/>						
21.052	H	-CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.053	F	-CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.054	Cl	CH(CH ₃) ₂	CH ₃	CSNH ₂	Cl	
21.055	H	CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
21.056	Cl	CH(CH ₃) ₂	CH ₃	CSNH ₂	Br	
21.057	H	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
21.058	F	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
21.059	Cl	CH ₂ -C≡CH	CH ₃	CSNH ₂	Cl	
21.060	H	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
21.061	F	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
21.062	Cl	CH ₂ -C≡CH	CH ₃	CSNH ₂	Br	
21.063	H	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.064	F	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.065	Cl	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Cl	
21.066	H	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
21.067	F	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
21.068	Cl	CH ₂ -COOC ₂ H ₅	CH ₃	CSNH ₂	Br	
21.069	F	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Cl	
21.070	F	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Br	
21.071	F	CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Cl	
21.072	F	CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Br	
21.073	F	-CH ₂ COOCH ₃	CH ₃	CSNH ₂	Cl	
21.074	F	CH ₂ -COOCH ₃	CH ₃	CSNH ₂	Br	
21.075	F	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Cl	
21.076	F	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Br	
21.077	F	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Cl	
21.078	H	CH ₂ -CH=CH ₂	CH ₃	CSNH ₂	Br	
21.079	H	CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Cl	
21.080	H	CH(CH ₃)C≡CH	CH ₃	CSNH ₂	Br	
21.081	H	CH ₂ -COOCH ₃	CH ₃	CSNH ₂	Cl	
21.082	H	CH ₂ -COOCH ₃	CH ₃	CSNH ₂	Br	

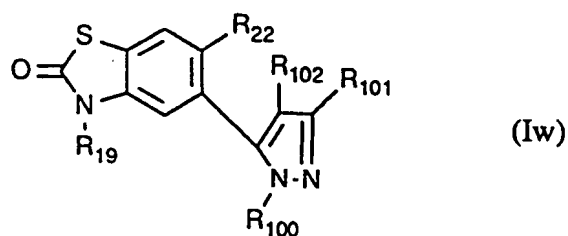
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Com- pound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
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21.083	H	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Cl	
21.084	H	CH(CH ₃)COOCH ₃	CH ₃	CSNH ₂	Br	
21.085	H	CH ₂ COOCH ₃	CH ₃	CSNH ₂	Cl	
21.086	F	CH ₂ COOCH ₃	CH ₃	CSNH ₂	Cl	

Table 22:

Compounds of the formula Iw



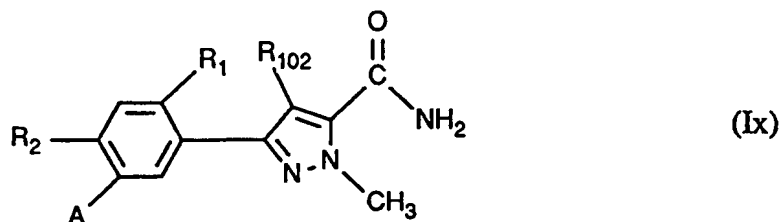
Com- pound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
----------------------	-----------------	-----------------	------------------	------------------	------------------	------------------

22.001	H	H	CH ₃	CN	Cl	
22.002	F	H	CH ₃	CN	Cl	
22.003	Cl	H	CH ₃	CN	Cl	
22.004	F	CH ₃	CH ₃	CN	Cl	
22.005	F	CH(CH ₃) ₂	CH ₃	CN	Cl	
22.006	F	CH ₂ -CH=CH ₂	CH ₃	CN	Cl	
22.007	F	CH ₂ -C≡CH	CH ₃	CN	Cl	
22.008	F	CH ₂ -COOCH ₃	CH ₃	CN	Cl	
22.009	F	CH ₂ -COOC ₂ H ₅	CH ₃	CN	Cl	
22.010	F	CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Cl	
22.011	F	-CH(CH ₃)C≡CH	CH ₃	CN	Cl	

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Com- pound No.	R ₂₂	R ₁₉	R ₁₀₀	R ₁₀₁	R ₁₀₂	Physical data
22.012	F	-CH ₃	CH ₃	CN	Br	
22.013	F	-CH(CH ₃) ₂	CH ₃	CN	Br	
22.014	F	-CH ₂ -CH=CH ₂	CH ₃	CN	Br	
22.015	F	-CH ₂ -C≡CH	CH ₃	CN	Br	
22.016	F	CH ₂ -COOCH ₃	CH ₃	CN	Br	
22.017	F	-CH ₂ -COOC ₂ H ₅	CH ₃	CN	Br	
22.018	F	-CH(CH ₃)COOC ₂ H ₅	CH ₃	CN	Br	
22.019	F	-CH(CH ₃)C≡CH	CH ₃	CN	Br	
22.020	H	-CH(CH ₃) ₂	CH ₃	CN	Cl	resin

Table 23: Compounds of the formula Ix



Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.001	Cl	F	Cl	H	
23.002	Cl	F	Cl	OH	solid
23.003	Cl	F	Cl	OCH ₃	173-175°C
23.004	Cl	F	Cl	OCH ₂ C ₆ H ₅	
23.005	Cl	F	Cl	OCH ₂ CH=CH ₂	
23.006	Cl	F	Cl	OCH(CH ₃) ₂	solid
23.007	Cl	F	Cl	COOCH ₃	
23.008	Cl	F	Cl	COOCH(CH ₃) ₂	162-165°C
23.009	Cl	F	Cl	OCH ₂ OCH ₃	
23.010	Cl	F	Cl	COOCH ₂ CH=CH ₂	
23.011	Cl	F	Cl	COOCH ₂ C ₆ H ₅	
23.012	Cl	F	Cl	NO ₂	
23.013	Cl	F	Cl	NHSO ₂ CH ₃	
23.014	Cl	F	Cl	N(SO ₂ CH ₃) ₂	
23.015	Cl	F	Cl	NH ₂	
23.016	Cl	F	Cl	I	226-228°C
23.017	Cl	F	Cl	Br	
23.018	Cl	F	Cl	Cl	
23.019	Cl	F	Cl	F	
23.020	Cl	F	Cl	SO ₂ Cl	
23.021	Cl	F	Cl	SH	
23.022	Cl	F	Cl	SCH ₃	
23.023	Cl	F	Cl	SCH ₂ CH=CH ₂	
23.024	Cl	F	Cl	SCH(CH ₃) ₂	

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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.025	Cl	F	Cl	CH ₃	
23.026	Cl	F	Cl	CHO	
23.027	Cl	F	Cl	CH ₂ Cl	
23.028	Cl	F	Cl	CH ₂ OH	
23.029	Cl	F	Cl	OCH ₂ COOCH ₃	
23.030	Cl	F	Cl	OCH ₂ COOCH ₂ CH ₃	
23.031	Cl	F	Cl	SCH ₂ COOCH ₃	
23.032	Cl	F	Cl	SCH ₂ COOCH ₂ CH ₃	
23.033	Cl	H	Cl	H	
23.034	Cl	H	Cl	OH	
23.035	Cl	H	Cl	NO ₂	
23.036	Cl	H	Cl	NH ₂	
23.037	Cl	H	Cl	I	
23.038	Cl	H	Cl	Br	
23.039	Cl	H	Cl	Cl	
23.040	Cl	H	Cl	F	
23.041	Cl	H	Cl	OCH ₃	
23.042	Cl	H	Cl	COOH	
23.043	Cl	H	Cl	COCl	
23.044	Cl	H	Cl	COOCH ₃	
23.045	Cl	H	Cl	OCH ₂ CH=CH ₂	
23.046	Cl	H	Cl	COOCH ₂ CH=CH ₂	
23.047	Cl	H	Cl	OCH ₂ CH=CH ₂	
23.048	Cl	H	Cl	OCH ₂ OCH ₃	
23.049	Cl	H	Cl	OCH ₂ C ₆ H ₅	
23.050	Cl	H	Cl	SO ₂ Cl	
23.051	Cl	H	Cl	SH	
23.052	Cl	H	Cl	SCH ₃	
23.053	Cl	H	Cl	SCH ₂ CH=CH ₂	
23.054	Cl	H	Cl	SCH ₂ C ₆ H ₅	
23.055	Cl	H	Cl	CH ₃	

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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.056	Cl	H	Cl	CH ₂ Cl	
23.057	Cl	H	Cl	CH ₂ OH	
23.058	Cl	H	Cl	CHO	
23.059	Cl	Cl	Cl	OH	
23.060	Br	F	Cl	COOCH ₂ CH ₂ CN	solid
23.061	Cl	Cl	Cl	NO ₂	
23.062	Cl	Cl	Cl	NH ₂	
23.063	Br	F	Cl	I	205-207°C
23.064	Br	F	Cl	Br	
23.065	Br	F	Cl	Cl	
23.066	Br	F	Cl	H	167-168°C
23.067	Br	F	Cl	OH	solid
23.068	Br	F	Cl	OCH ₃	191-193°C
23.069	Br	F	Cl	SH	
23.070	Br	F	Cl	SCH ₃	
23.071	Br	F	Cl	SO ₂ Cl	
23.072	Br	F	Cl	OCH ₂ CH=CH ₂	
23.073	Br	F	Cl	OCH ₂ C ₆ H ₅	
23.074	Br	F	Cl	SCH ₂ CH=CH ₂	
23.075	Br	F	Cl	OCH(CH ₃) ₂	
23.076	Br	F	Cl	SCH(CH ₃) ₂	
23.077	Br	F	Cl	SCH ₂ C ₆ H ₅	
23.078	Br	F	Cl	COOCH ₃	158-160°C
23.079	Br	F	Cl	COOH	
23.080	Br	F	Cl	COCl	
23.081	Br	F	Cl	COOCH(CH ₃) ₂	
23.082	Br	F	Cl	COOCH ₂ CH=CH ₂	
23.083	Br	F	Cl	COOCH ₂ C ₆ H ₅	solid
23.084	Br	F	Cl	CH ₃	
23.085	Br	F	Cl	CH ₂ OH	
23.086	Br	F	Cl	CH ₂ Cl	




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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.087	Br	F	Cl	CHO	
23.088	Cl	F	CH ₃	H	
23.089	Cl	F	CH ₃	NO ₂	
23.090	Cl	F	CH ₃	NH ₂	
23.091	Cl	F	CH ₃	I	
23.092	Cl	F	CH ₃	Br	
23.093	Cl	F	CH ₃	OH	
23.094	Cl	F	CH ₃	OCH ₃	
23.095	Cl	F	CH ₃	SO ₂ Cl	
23.096	Cl	F	CH ₃	SH	
23.097	Cl	F	CH ₃	SCH ₃	
23.098	Cl	F	CH ₃	COOH	
23.099	Cl	F	CH ₃	COCl	
23.100	Cl	F	CH ₃	COOCH ₃	
23.101	Cl	F	CH ₃	CH ₃	
23.102	Cl	F	CH ₃	CHO	
23.103	Cl	F	CH ₃	CH ₂ Cl	
23.104	Cl	F	CH ₃	CH ₂ OH	
23.105	Cl	F	NO ₂	H	
23.106	Cl	F	NO ₂	F	
23.107	Cl	F	NO ₂	Cl	
23.108	Cl	F	NO ₂	Br	
23.109	Cl	F	NO ₂	I	
23.110	Cl	F	NO ₂	OCH ₃	
23.111	Cl	F	NO ₂	OCH ₂ OCH ₃	
23.112	Cl	F	NO ₂	OCH ₂ CH=CH ₂	
23.113	Cl	F	NO ₂	OCH ₂ C ₆ H ₅	
23.114	Cl	F	NO ₂	OH	
23.115	Cl	F	NO ₂	SCH ₃	
23.116	Cl	F	NO ₂	SCH ₂ CH=CH ₂	
23.117	Cl	F	NO ₂	SCH ₂ C ₆ H ₅	

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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.118	Cl	F	NO ₂	SCOCH ₃	
23.119	Cl	F	NO ₂	SCOC ₆ H ₅	
23.120	Cl	F	NO ₂	OCH ₂ COOCH ₃	
23.121	Cl	F	NO ₂	OCH ₂ COOCH ₂ CH=CH ₂	
23.122	Cl	F	NO ₂	OCH ₂ COOCH ₂ C ₆ H ₅	
23.123	Cl	F	NO ₂	OCH ₂ COOH	
23.124	Cl	F	NO ₂	OCH ₂ COCl	
23.125	Cl	F	NO ₂	SCH ₂ COOCH ₃	
23.126	Cl	F	NO ₂	SCH ₂ COOCH ₂ CH=CH ₂	
23.127	Cl	F	NO ₂	SCH ₂ COOCH ₂ C ₆ H ₅	
23.128	Cl	F	NO ₂	SCH ₂ COOH	
23.129	Cl	F	NO ₂	SCH ₂ COCl	
23.130	Cl	F	Br	H	
23.131	Cl	F	Br	OH	
23.132	Cl	F	Br	OCH ₃	
23.133	Cl	F	Br	COOH	
23.134	Cl	F	Br	COOCH ₃	
23.135	Cl	F	Br	SH	
23.136	Cl	F	Br	I	
23.137	Cl	Cl	Cl	OCH ₃	
23.138	Cl	Cl	Cl	COOH	
23.139	Cl	Cl	Cl	COOCH ₃	
23.140	Cl	Cl	Cl	Br	
23.141	Cl	Cl	Cl	I	
23.142	Cl	Cl	Cl	SH	
23.143	Cl	F	Cl	CH(CH ₃)C≡CH	165-166°C
23.144	Cl	H	Cl	SCH ₂ COOCH ₃	155-156°C
23.145	Cl	F	Cl	COOH	247-249°C
23.146	Cl	F	Cl	COOC(CH ₃)COOC ₂ H ₅	108-109°C
23.147	Br	F	Cl	COOC(CH ₃)COOC ₂ H ₅	
23.148	Cl	F	Cl	COOC(CH ₃)COOH	

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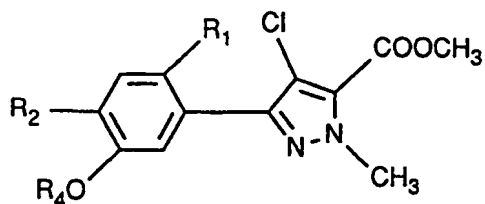
Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.149	Br	F	Cl	COOC(CH ₃)COOH	
23.150	Cl	F	H	F	
23.151	Br	F	H	F	158-159°C
23.152	Cl	Cl	H	Cl	
23.153	Cl	F	F	H	195-196°C
23.154	Br	F	F	H	
23.155	Cl	F	F	NO ₂	
23.156	Br	F	F	NO ₂	
23.157	Cl	F	NH ₂	OCH ₃	
23.158	Br	F	NH ₂	OCH ₃	
23.159	Cl	F	NH ₂	OCH ₂ - 	
23.160	Br	F	NH ₂	OCH ₂ - 	
23.161	Cl	F	Cl	OSO ₂ CF ₃	
23.162	Br	F	Cl	OSO ₂ CF ₃	
23.163	Cl	F	Cl	CH=CH-COOC ₂ H ₅	solid
23.164	Cl	F	Cl	OCH(CH ₃)C≡CH	165-166°C
23.165	Br	F	Cl	OCH(CH ₃)C≡CH	
23.166	Cl	F	Cl	COOC ₂ H ₅	solid
23.167	Br	F	Cl	COOC ₂ H ₅	
23.168	Cl	F	Cl		
23.169	Cl	F	Cl	N(CH ₂ CH=CH ₂) ₂	resin
23.170	Cl	F	Cl	C≡C-CH ₂ OH	
23.171	Br	F	Cl	C≡C-CH ₂ OH	220-224°C
23.172	Cl	F	Cl	COOC(CH ₃) ₃	solid
23.173	Br	F	Cl	COOC(CH ₃) ₃	
23.174	Cl	F	Cl	COSCH(CH ₃) ₂	
23.175	Br	F	Cl	COSCH(CH ₃) ₂	solid
23.176	Cl	F	Cl	COOCH ₂ CH ₂ CN	

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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
23.177	Cl	F	F	NH ₂	197-198°C

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Table 24: Compounds of the formula Iy



(Iy)

Compound No.	R ₁	R ₂	R ₄	Physical data
24.001	H	Cl	H	
24.002	H	Br	H	
24.003	H	NO ₂	H	
24.004	H	CN	H	
24.005	F	Cl	H	
24.006	F	Br	H	
24.007	F	NO ₂	H	
24.008	F	CN	H	
24.009	Cl	Cl	H	
24.010	Cl	NO ₂	H	
24.011	H	Cl	CH ₃	
24.012	H	Br	CH ₃	
24.013	H	NO ₂	CH ₃	
24.014	H	CN	CH ₃	
24.015	F	Cl	CH ₃	solid
24.016	F	Br	CH ₃	
24.017	F	NO ₂	CH ₃	solid
24.018	F	CN	CH ₃	
24.019	Cl	Cl	CH ₃	
24.020	Cl	NO ₂	CH ₃	
24.021	H	Cl	-CH(CH ₃) ₂	
24.022	H	Br	-CH(CH ₃) ₂	
24.023	H	NO ₂	-CH(CH ₃) ₂	
24.024	H	CN	-CH(CH ₃) ₂	

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Compound No.	R ₁	R ₂	R ₄	Physical data
24.025	F	Cl	-CH(CH ₃) ₂	solid
24.026	F	Br	-CH(CH ₃) ₂	
24.027	F	NO ₂	-CH(CH ₃) ₂	
24.028	F	CN	-CH(CH ₃) ₂	
24.029	Cl	Cl	-CH(CH ₃) ₂	
24.030	Cl	NO ₂	-CH(CH ₃) ₂	
24.031	H	Cl	-COOCH ₃	
24.032	H	Br	-COOCH ₃	
24.033	H	NO ₂	-COOCH ₃	
24.034	H	CN	-COOCH ₃	
24.035	F	Cl	-COOCH ₃	
24.036	F	Br	-COOCH ₃	
24.037	F	NO ₂	-COOCH ₃	
24.038	F	CN	-COOCH ₃	
24.039	Cl	Cl	-COOCH ₃	
24.040	Cl	NO ₂	-COOCH ₃	
24.041	H	Cl	-CH ₂ OCH ₃	
24.042	H	Br	-CH ₂ OCH ₃	
24.043	H	NO ₂	-CH ₂ OCH ₃	
24.044	H	CN	-CH ₂ OCH ₃	
24.045	F	Cl	-CH ₂ OCH ₃	
24.046	F	Br	-CH ₂ OCH ₃	
24.047	F	NO ₂	-CH ₂ OCH ₃	
24.048	F	CN	-CH ₂ OCH ₃	
24.049	Cl	Cl	-CH ₂ OCH ₃	
24.050	Cl	NO ₂	-CH ₂ OCH ₃	
24.051	H	Cl	-CH ₂ -C ₆ H ₅	
24.052	H	Br	-CH ₂ -C ₆ H ₅	
24.053	H	NO ₂	-CH ₂ -C ₆ H ₅	
24.054	H	CN	-CH ₂ -C ₆ H ₅	
24.055	F	Cl	-CH ₂ -C ₆ H ₅	
24.056	F	Br	-CH ₂ -C ₆ H ₅	

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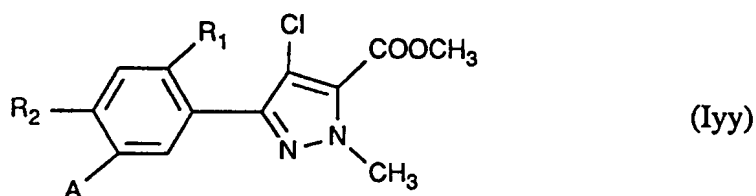
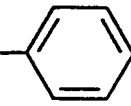
Compound No.	R ₁	R ₂	R ₄	Physical data
24.057	F	NO ₂	-CH ₂ -C ₆ H ₅	
24.058	F	CN	-CH ₂ -C ₆ H ₅	
24.059	Cl	Cl	-CH ₂ -C ₆ H ₅	
24.060	Cl	NO ₂	-CH ₂ -C ₆ H ₅	
24.061	H	Cl	-CH ₂ -CH=CH ₂	
24.062	H	Br	-CH ₂ -CH=CH ₂	
24.063	H	NO ₂	-CH ₂ -CH=CH ₂	
24.064	H	CN	-CH ₂ -CH=CH ₂	
24.065	F	Cl	-CH ₂ -CH=CH ₂	
24.066	F	Br	-CH ₂ -CH=CH ₂	
24.067	F	NO ₂	-CH ₂ -CH=CH ₂	
24.068	F	CN	-CH ₂ -CH=CH ₂	
24.069	Cl	Cl	-CH ₂ -CH=CH ₂	
24.070	Cl	NO ₂	-CH ₂ -CH=CH ₂	
24.071	F	Cl	-CH ₂ COOH	
24.072	F	Cl	-CH(CH ₃)COOH	
24.073	F	Cl	-C(CH ₃) ₂ COOH	
24.074	F	NH ₂	-CH ₃	resin
24.075	F	NH ₂	-CH ₂ - 	
24.076	Cl	NH ₂	-CH ₃	
24.077	F	H	-CH ₃	
24.078	Cl	H	-CH ₃	

Table 25:

Compounds of the formula Iyy



Compound No.	R ₁	R ₂	A	Physical data
25.001	H	Cl	NO ₂	
25.002	H	Cl	NH ₂	
25.003	H	Cl	Br	
25.004	H	Cl	I	
25.005	F	Cl	NO ₂	m.p. 166-167°C
25.006	F	Cl	NH ₂	m.p. 127-128°C
25.007	F	Cl	NHSO ₂ CH ₃	
25.008	F	Cl	N(SO ₂ CH ₃) ₂	
25.009	F	Cl	SH	
25.010	F	Cl	SCH ₃	
25.011	F	Cl	SCH ₂ - 	
25.012	F	Cl	Br	
25.013	F	Cl	I	m.p. 166-167°C
25.014	F	Cl	SCH ₂ COOH	
25.015	F	Cl	SCH(CH ₃)COOH	
25.016	F	Cl	SC(CH ₃) ₂ COOH	
25.017	Cl	Cl	NO ₂	m.p. 203-205°C
25.018	Cl	Cl	NH ₂	solid
25.019	Cl	Cl	NHSO ₂ CH ₃	
25.020	Cl	Cl	N(SO ₂ CH ₃) ₂	solid
25.021	F	Cl	N(CH ₂ CH=CH ₂)	oil

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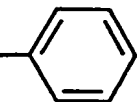
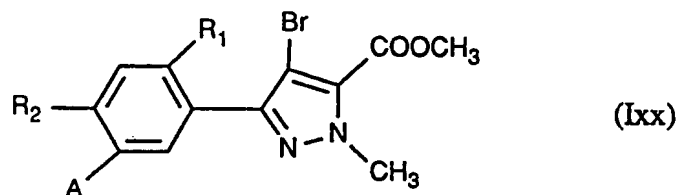
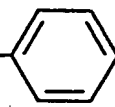
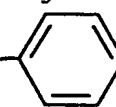
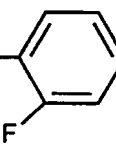

Compound No.	R ₁	R ₂	A	Physical data
25.022	Cl	Cl	SH	
25.023	Cl	Cl	SCH ₃	
25.024	Cl	Cl	SCH ₂ - 	
25.025	Cl	Cl	SCH ₂ COOH	
25.026	Cl	Cl	SCH(CH ₃)COOH	
25.027	Cl	Cl	SC(CH ₃) ₂ COOH	
25.028	Cl	Cl	Br	
25.029	Cl	Cl	I	
25.030	F	H	F	m.p. 89-91°C
25.031	F	NO ₂	F	m.p. 160-162°C
25.032	F	F	H	m.p. 151-152°C
25.033	F	F	NO ₂	m.p. 156-158°C
25.034	F	F	NH ₂	m.p. 91-92°C
25.035	F	OCH ₃	NO ₂	
25.036	F	OH	NO ₂	
25.037	Cl	H	Cl	
25.038	Cl	NO ₂	Cl	
25.039	F	H	Br	
25.040	F	H	I	
25.041	Cl	NO ₂	Cl	
25.042	Cl	NO ₂	O	
25.043	F	Cl	H	m.p. 152°C

Table 26:

Compounds of the formula Ixx



Compound No.	R ₁	R ₂	A	Physical data
26.001	F	Cl	H	m.p. 141-142°C
26.002	F	Cl	OH	
26.003	F	Cl	OCH ₃	
26.004	F	Cl	OCH ₂ - 	solid
26.005	F	Cl	OCH ₂ COOCH ₃	
26.006	F	Cl	OCH ₂ COOC(CH ₃) ₃	
26.007	F	Cl	OCH(CH ₃)COOH	
26.008	F	Cl	OCH(CH ₃)COOCH ₂ CH ₃	
26.009	F	Cl	OCH(CH ₃)COOCH ₂ - 	
26.010	F	Cl	OCH(CH ₃)COOCH ₂ - 	solid
26.011	F	Cl	I	
26.012	F	Cl	OC(CH ₃) ₂ COOH	
26.013	F	Cl	OC(CH ₃) ₂ COOCH ₃	
26.014	F	Cl	OC(CH ₃) ₂ COOCH ₂ - 	
26.015	F	Cl	SH	
26.016	F	Cl	SCH ₃	

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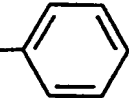
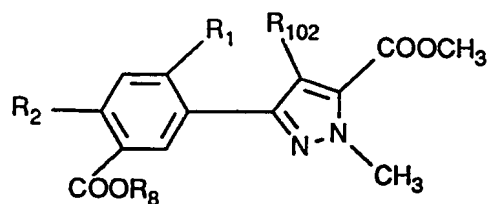
Compound No.	R ₁	R ₂	A	Physical data
26.017	F	Cl	SCH ₂ - 	
26.018	F	Cl	SCH(CH ₃) ₂	
26.019	F	Cl	SCH ₂ COOCH ₃	
26.020	F	Cl	NH ₂	m.p. 134-136°C
26.021	F	Cl	NHSO ₂ CH ₃	
26.022	H	Cl	H	solid
26.023	F	H	F	m.p. 88-91°C
26.024	F	NO ₂	F	m.p. 165-166°C
26.025	F	NO ₂	OCH ₃	
26.026	F	NH ₂	OCH ₃	
26.027	Cl	H	Cl	
26.028	Cl	NO ₂	Cl	
26.029	Cl	NO ₂	OCH ₃	
26.030	Cl	NH ₂	OCH ₃	
26.031	F	F	H	
26.032	F	F	NO ₂	
26.033	F	Cl	NO ₂	m.p. 163-166°C
26.034	F	Cl	NH ₂	m.p. 134-136°C

Table 27: Compounds of the formula Iww



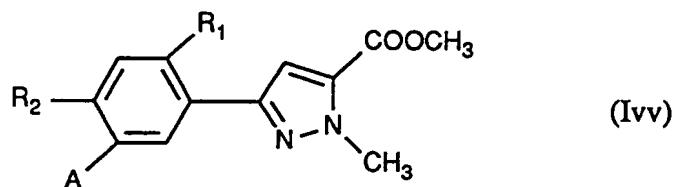
(Iww)

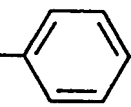
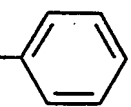
Compound No.	R_1	R_2	R_{102}	R_8	Physical data
27.001	H	Cl	Cl	H	solid
27.002	F	Cl	Cl	H	
27.003	Cl	Cl	Cl	H	
27.004	H	Cl	Cl	CH_3	
27.005	F	Cl	Cl	CH_3	
27.006	Cl	Cl	Cl	CH_3	
27.007	H	Br	Cl	CH_3	
27.008	F	Br	Cl	CH_3	
27.009	Cl	Br	Cl	CH_3	
27.010	H	Cl	Cl	CH_2CH_3	
27.011	F	Cl	Cl	CH_2CH_3	
27.012	Cl	Cl	Cl	CH_2CH_3	
27.013	F	NO_2	Cl	CH_2CH_3	
27.014	F	NH_2	Cl	CH_2CH_3	
27.015	F	I	Cl	CH_2CH_3	
27.016	H	NO_2	Cl	CH_2CH_3	
27.017	H	NH_2	Cl	CH_2CH_3	
27.018	H	I	Cl	CH_2CH_3	
27.019	F	Cl	Br	H	
27.020	F	Cl	Br	CH_3	
27.021	F	Cl	Br	CH_2CH_3	

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Table 28:

Compounds of the formula Ivv



Compound No.	R ₁	R ₂	A	Physical data
28.001	H	Cl	H	solid
28.002	H	Cl	Br	
28.003	H	Cl	OCH ₃	
28.004	H	Cl	NO ₂	
28.005	H	Cl	SCH ₃	
28.006	H	Cl	COOH	
28.007	H	Cl	COOCH ₂ - 	m.p. 125-126°C
28.008	F	Cl	H	
28.009	F	Cl	NO ₂	
28.010	F	Cl	NH ₂	
28.011	F	Cl	SH	
28.012	F	Cl	SCH ₃	
28.013	F	Cl	OH	solid
28.014	F	Cl	OCH ₃	
28.015	F	Cl	COOH	
28.016	F	Cl	COOCH ₂ - 	
28.017	F	Cl	Br	
28.018	F	Cl	I	
28.019	F	Br	H	
28.020	F	Br	NO ₂	
28.021	F	Br	NH ₂	
28.022	F	Br	SH	

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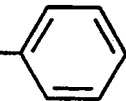
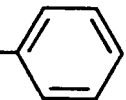
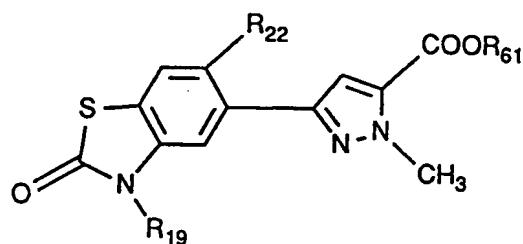
Compound No.	R ₁	R ₂	A	Physical data
28.023	F	Br	SCH ₃	
28.024	F	Br	OH	
28.025	F	Br	OCH ₃	
28.026	F	Br	COOH	
28.027	F	Br	COOCH ₂ - 	
28.028	F	Br	I	
28.029	F	Br	OSO ₂ CF ₃	
28.030	F	Cl	OSO ₂ CF ₃	
28.031	Cl	Cl	H	m.p. 154-155°C
28.032	Cl	Cl	NO ₂	
28.033	Cl	Cl	NH ₂	
28.034	Cl	Cl	OH	
28.035	Cl	Cl	OCH ₃	
28.036	Cl	Cl	SH	
28.037	Cl	Cl	SCH ₃	
28.038	Cl	Cl	COOH	
28.039	Cl	Cl	COOCH ₂ - 	
28.040	Cl	Cl	Br	
28.041	Cl	Cl	I	
28.042	F	H	F	m.p. 106-107°C
28.043	Cl	H	Cl	
28.044	F	F	H	m.p. 91-92°C
28.045	F	Cl	OCH(CH ₃) ₂	solid

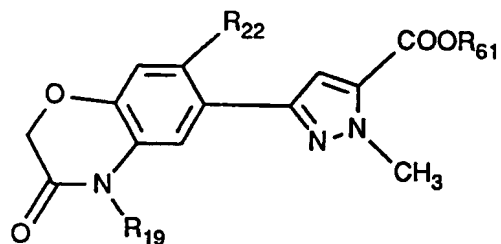
Table 29: Compounds of the formula Iuu



(Iuu)

Compound No.	R ₆₁	R ₂₂	R ₁₉	Physical data
29.001	H	H	H	
29.002	H	F	H	
29.003	H	Cl	H	
29.004	H	H	CH(CH ₃) ₂	
29.005	H	F	CH(CH ₃) ₂	
29.006	H	Cl	CH(CH ₃) ₂	
29.007	CH ₃	H	H	
29.008	CH ₃	F	H	
29.009	CH ₃	Cl	H	
29.010	CH ₃	H	CH(CH ₃) ₂	
29.011	CH ₃	F	CH(CH ₃) ₂	m.p. 208-210°C
29.012	CH ₃	Cl	CH(CH ₃) ₂	
29.013	CH ₃	H	CH ₂ CH=CH ₂	
29.014	CH ₃	F	CH ₂ CH=CH ₂	
29.015	CH ₃	Cl	CH ₂ CH=CH ₂	
29.016	CH ₃	H	CH ₂ C≡CH	
29.017	CH ₃	F	CH ₂ C≡CH	
29.018	CH ₃	Cl	CH ₂ C≡CH	
29.019	CH ₃	H	CH ₂ COOH	
29.020	CH ₃	F	CH ₂ COOH	
29.021	CH ₃	Cl	CH ₂ COOH	
29.022	CH ₃	H	CH ₂ -COOCH ₃	
29.023	CH ₃	F	CH ₂ -COOC ₂ H ₅	
29.024	CH ₃	F	CH(CH ₃)COOC ₂ H ₅	

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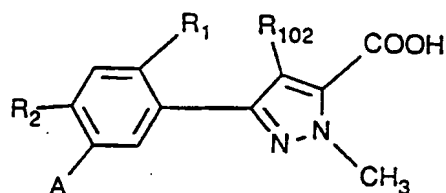
Table 30: Compounds of the formula Itt

(Itt)

Compound No.	R ₆₁	R ₂₂	R ₁₉	Physical data
30.001	H	H	H	
30.002	H	F	H	
30.003	H	Cl	H	
30.004	H	H	CH ₂ CH=CH ₂	
30.005	H	F	CH ₂ CH=CH ₂	
30.006	H	Cl	CH ₂ CH=CH ₂	
30.007	H	H	CH ₂ C≡CH	
30.008	H	F	CH ₂ C≡CH	
30.009	H	Cl	CH ₂ C≡CH	
30.010	H	Cl	CH(CH ₃)C≡CH	

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Table 31: Compounds of the formula Iu



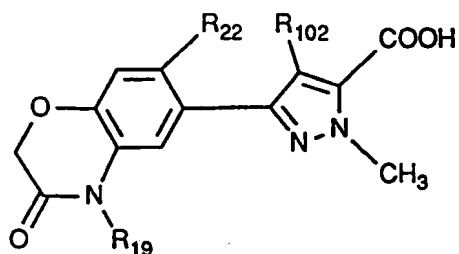
(Iu)

Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
31.001	H	H	Cl	H	
31.002	H	H	Cl	COOCH ₃	
31.003	H	H	Cl	NO ₂	
31.004	H	H	H	NH ₂	
31.005	H	H	Cl	I	
31.006	Cl	H	Cl	COOCH ₃	
31.007	Cl	H	Cl	NO ₂	
31.008	Cl	H	Cl	NH ₂	
31.009	Cl	H	Cl	I	
31.010	Cl	F	Cl	COOCH ₃	
31.011	Cl	F	Cl	NO ₂	
31.012	Cl	F	Cl	NH ₂	
31.013	Cl	F	Cl	I	m.p. 208-211°C
31.014	H	F	Cl	OH	
31.015	H	F	Cl	OCH ₃	
31.016	H	F	Cl	OCH(CH ₃) ₂	
31.017	Cl	F	Cl	OH	
31.018	Cl	F	Cl	OCH ₃	m.p. 212-213°C
31.019	Cl	F	Cl	OCH(CH ₃) ₂	
31.020	Cl	F	Cl	OCH ₂ C≡CH	
31.021	Cl	F	Cl	COOCH(CH ₃) ₂	
31.022	Cl	F	Br	OH	
31.023	Cl	F	Br	COOCH ₃	
31.024	Cl	F	Cl	Br	

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Compound No.	R ₁₀₂	R ₁	R ₂	A	Physical data
31.025	Cl	F	Cl	H	solid
31.026	Cl	F	Cl	SH	
31.027	Cl	F	Cl	SCH ₃	
31.028	Cl	F	Cl	COOCH ₂ CH ₃	
31.029	Br	F	Cl	OCH ₃	solid
31.030	Br	F	Cl	SCH ₃	
31.031	Br	F	Cl	COOCH ₃	
31.032	Br	F	Cl	COOCH ₂ CH ₃	
31.033	Br	F	Cl	I	solid
31.034	Br	F	Cl	OH	
31.035	Br	F	Cl	SH	
31.036	Br	F	Cl	NH ₂	
31.037	Cl	Cl	Cl	OH	
31.038	Cl	Cl	Cl	OCH ₃	
31.039	Cl	Cl	Cl	SH	
31.040	Cl	Cl	Cl	SCH ₃	
31.041	Cl	Cl	Cl	COOCH ₂ CH ₃	
31.042	Cl	Cl	Cl	COOCH ₃	
31.043	Cl	F	H	F	
31.044	Br	F	H	F	
31.045	Cl	F	F	H	m.p. 181-183°C
31.046	Br	F	F	H	
31.047	Cl	F	Cl	H	
31.048	Br	F	Cl	H	

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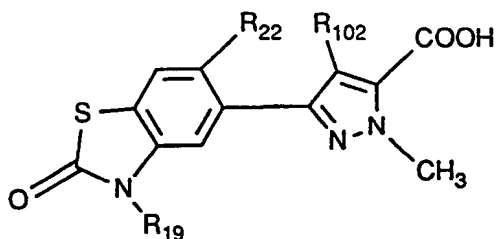
Table 32: Compounds of the formula Irr

(Irr)

Compound No.	R ₁₀₂	R ₂₂	R ₁₉	Physical data
32.001	H	H	H	
32.002	H	H	CH(CH ₃) ₂	
32.003	H	H	CH ₂ C≡CH	
32.004	H	H	CH ₂ COOC ₂ H ₅	
32.005	Cl	H	CH(CH ₃) ₂	
32.006	Cl	H	CH ₂ C≡CH	
32.007	Cl	H	CH ₂ COOC ₂ H ₅	
32.008	Cl	H	CH(CH ₃)C≡CH	
32.009	Cl	H	CH(CH ₃)COOCH ₃	
32.010	Cl	F	CH(CH ₃) ₂	
32.011	Cl	F	CH ₂ C≡CH	
32.012	Cl	F	CH ₂ CH=CH ₂	
32.013	Cl	F	CH(CH ₃)C≡CH	
32.014	Cl	F	CH ₂ COOCH ₃	
32.015	Cl	F	CH ₂ COOCH ₂ CH ₃	
32.016	Cl	F	CH(CH ₃)COOCH ₃	
32.017	Cl	F	CH(CH ₃)COOCH ₂ CH ₃	

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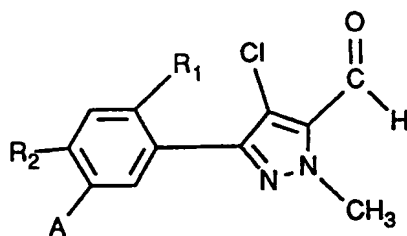
Table 33: Compounds of the formula Iqq



(Iqq)

Compound No.	R ₁₀₂	R ₂₂	R ₁₉	Physical data
33.001	H	H	H	
33.002	H	F	H	
33.003	H	F	CH(CH ₃) ₂	
33.004	H	F	CH ₂ -C≡CH	
33.005	H	F	CH ₂ -CH=CH ₂	
33.006	H	F	CH ₂ COOCH ₃	
33.007	H	F	CH(CH ₃)COOCH ₃	
33.008	H	H	CH(CH ₃) ₂	
33.009	H	H	CH ₂ C≡CH	
33.010	H	H	CH ₂ COOCH ₃	
33.011	Cl	F	CH(CH ₃) ₂	m.p. 260-262°C
33.012	Cl	F	CH ₂ C≡CH	
33.013	Cl	F	CH ₂ CH=CH ₂	
33.014	Cl	F	CH ₂ COOCH ₃	

Table 34: Compounds of the formula Ipp



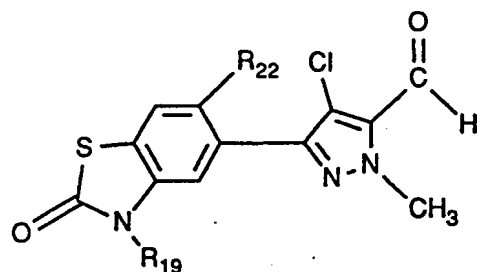
(Ipp)

Compound No.	R ₁	R ₂	A	Physical data
34.001	H	Cl	COOCH ₃	
34.002	H	Cl	COOCH(CH ₃) ₂	
34.003	H	Cl	COOH	
34.004	H	Cl	COOC(CH ₃) ₂ COOCH ₂ CH=CH ₂	
34.005	F	Cl	OH	
34.006	F	Cl	OCH ₃	
34.007	F	Cl	OCH(CH ₃) ₂	solid
34.008	F	Cl	OCH ₂ C≡CH	
34.009	F	Cl	OCH(CH ₃)C≡CH	
34.010	F	Cl	OCH ₂ COOCH ₃	
34.011	F	Cl	OCH ₂ COOH	
34.012	F	Cl	OCH ₂ COO(CH ₂) ₄ CH ₃	
34.013	F	Cl	SH	
34.014	F	Cl	SCH ₃	
34.015	F	Cl	Br	
34.016	F	Cl	I	
34.017	F	Cl	NH ₂	
34.018	F	Cl	COOCH ₂ CH ₃	
34.019	F	Cl	H	solid
34.020	F	Br	H	
34.021	F	Br	OH	
34.022	F	Br	OCH ₃	
34.023	F	Br	SH	
34.024	F	Br	SCH ₃	

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Compound No.	R ₁	R ₂	A	Physical data
34.025	F	Br	COOH	
34.026	F	Br	COOCH ₂ CH ₃	
34.027	F	Br	NH ₂	
34.028	F	Br	I	
34.029	Cl	Cl	OH	
34.030	Cl	Cl	OCH ₃	
34.031	Cl	Cl	SH	
34.032	Cl	Cl	SCH ₃	
34.033	Cl	Cl	COOH	
34.034	Cl	Cl	COOCH	
34.035	Cl	Cl	Br	
34.036	Cl	Cl	I	
34.037	Cl	Cl	NH ₂	
34.038	F	Cl	NO ₂	
34.039	F	Br	NO ₂	
34.040	Cl	Cl	NO ₂	

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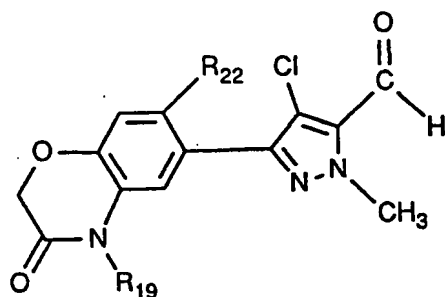
Table 35: Compounds of the formula Ioo

(Ioo)

Compound No.	R ₂₂	R ₁₉	Physical data
--------------	-----------------	-----------------	---------------

35.001	H	H	
35.002	H	CH(CH ₃) ₂	
35.003	H	CH ₂ COOH	
35.004	H	CH ₂ COOCH ₃	
35.005	H	CH ₂ C≡CH	
35.006	H	CH(CH ₃)C≡CH	
35.007	F	H	
35.008	F	CH(CH ₃) ₂	
35.009	F	CH ₂ COOH	
35.010	F	CH ₂ COOCH ₃	
35.011	F	CH ₂ C≡CH	
35.012	F	CH(CH ₃)C≡CH	
35.013	F	CH(CH ₃)COOC ₂ H ₅	

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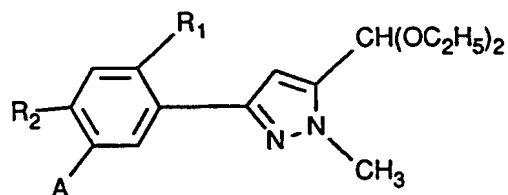
Table 36: Compounds of the formula Inn

(Inn)

Compound No.	R ₂₂	R ₁₉	Physical data
--------------	-----------------	-----------------	---------------

36.001	H	H	
36.002	H	CH(CH ₃) ₂	
36.003	H	CH ₂ C≡CH	
36.004	H	CH ₂ COOH	
36.005	H	CH ₂ COOC ₂ H ₅	
36.006	H	CH ₂ COOCH ₃	
36.007	H	CH(CH ₃)COOH	
36.008	H	CH(CH ₃)COOCH ₃	
36.009	H	CH(CH ₃)C≡CH	
36.010	F	H	
36.011	F	CH(CH ₃) ₂	
36.012	F	CH ₂ C≡CH	
36.013	F	CH ₂ COOH	
36.014	F	CH ₂ COOCH ₃	
36.015	F	CH(CH ₃)COOH	
36.016	F	CH(CH ₃)COOCH ₃	
36.017	F	CH(CH ₃)C≡CH	

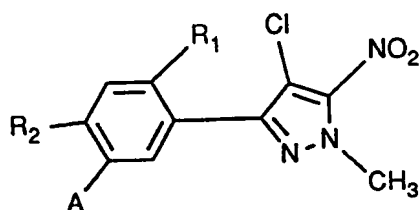
Table 37: Compounds of the formula Imm



(Imm)

Compound No.	R ₁	R ₂	A	Physical data
37.001	H	Cl	COOCH ₃	
37.002	H	Cl	COOH	
37.003	F	Cl	COOCH ₃	
37.004	F	Cl	COOCH(CH ₃) ₂	
37.005	Cl	Cl	NO ₂	
37.006	Cl	Cl	NHSO ₂ CH ₃	
37.007	F	Cl	OH	
37.008	F	Cl	OCH ₃	m.p. 73-74°C
37.009	F	Cl	OCH(CH ₃) ₂	solid
37.010	F	Cl	OCH ₂ C≡CH	
37.011	F	Cl	OCH(CH ₃)C≡CH	
37.012	F	Cl	OCH ₂ COO(CH ₂) ₄ CH ₃	
37.013	Cl	Cl	OCH ₃	
37.014	Cl	Cl	COOCH ₂ CH ₃	
37.015	Cl	Cl	COOCH ₃	
37.016	Cl	Cl	SCH ₃	
37.017	Cl	Cl	I	
37.018	Cl	Cl	Br	
37.019	F	Cl	Br	
37.020	F	Cl	I	
37.021	H	Cl	H	oil
37.022	F	Cl	OCH ₃	oil

Table 38: Compounds of the formula Ikk



(Ikk)

Compound No.	R ₁	R ₂	A	Physical data
38.001	H	NO ₂	F	
38.002	H	NO ₂	H	
38.003	H	NH ₂	H	
38.004	H	Cl	H	
38.005	H	Br	H	
38.006	H	CN	H	
38.007	H	CH ₃	H	
38.008	H	Cl	NO ₂	
38.009	H	Cl	NH ₂	
38.010	H	Cl	I	
38.011	H	Cl	COOH	
38.012	H	Cl	COCl	
38.013	H	Cl	COOCH ₃	
38.014	H	Cl	COOCH ₂ C ₆ H ₅	
38.015	H	Cl	COOCH ₂ CH=CH ₂	
38.016	F	NO ₂	H	
38.017	F	NO ₂	F	
38.018	F	NO ₂	COOCH ₃	
38.019	F	NO ₂	OH	
38.020	F	NO ₂	OCH ₃	
38.021	F	NO ₂	OCH ₂ OCH ₃	
38.022	F	NO ₂	OCH ₂ C ₆ H ₅	
38.023	F	NH ₂	OCH ₃	
38.024	F	NH ₂	OCH ₂ C ₆ H ₅	

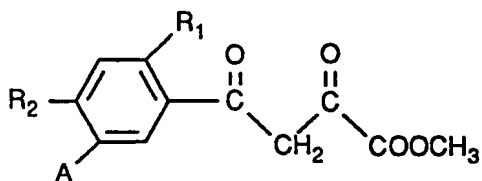
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Compound No.	R ₁	R ₂	A	Physical data
38.025	F	NH ₂	OCH ₂ OCH ₃	
38.026	F	NH ₂	COOCH ₂ C ₆ H ₅	
38.027	F	Cl	H	
38.028	F	Cl	NO ₂	
38.029	F	Cl	NH ₂	
38.030	F	Cl	OH	
38.031	F	Cl	I	
38.032	F	Cl	COOCH ₃	
38.033	F	Cl	CH ₂ OH	
38.034	F	Cl	CH ₂ Cl	
38.035	F	Cl	CHO	
38.036	F	Cl	-COCH ₃	
38.037	F	Cl	-CH(OCH ₃) ₂	
38.038	F	Cl	-COOH	
38.039	F	Cl	-COOCH ₂ C ₆ H ₅	
38.040	F	Cl	-COOCH(CH ₃) ₂	
38.041	F	Cl	-COO-CH ₂ CH=CH ₂	
38.042	F	Cl	-COOCH ₂ COOCH ₃	
38.043	F	Cl	-COOCH ₂ COOCH ₂ C ₆ H ₅	
38.044	F	Cl	-COOCH ₂ COOH	
38.045	F	Cl	-COOCH ₂ COCl	
38.046	F	Cl	-OCH ₃	
38.047	F	Cl	-OCH ₂ -CH=CH ₂	
38.048	F	Cl	-OCH ₂ COOCH ₃	
38.049	F	Cl	-OCH ₂ COOH	
38.050	F	Cl	-OCH ₂ COCl	
38.051	F	Cl	-OCH ₂ -C≡CH	
38.052	F	Cl	-OCH(CH ₃) ₂	

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Table 39:

Compounds of the formula IIc



(IIc)

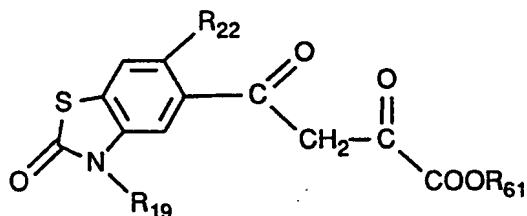
Compound
No.R₁R₂

A

Physical
data

39.001	F	Cl	H	solid
39.002	F	Cl	OCH ₃	
39.003	F	Cl	SCH ₃	
39.004	F	Cl	COOCH ₂ CH ₃	
39.005	F	Cl	COOCH ₃	
39.006	F	Cl	Br	
39.007	F	Cl	I	
39.008	F	Cl	COOH	
39.009	F	Br	H	
39.010	F	Br	OCH ₃	m.p. 135-137°C
39.011	F	Br	SCH ₃	
39.012	F	Br	COOH	
39.013	F	Br	COOCH ₃	
39.014	F	Br	COOCH ₂ CH ₃	
39.015	F	Br	I	
39.016	Cl	Cl	H	
39.017	Cl	Cl	OCH ₃	
39.018	Cl	Cl	SCH ₃	
39.019	Cl	Cl	COOH	m.p. 141-143°C
39.020	Cl	Cl	COOCH ₃	
39.021	Cl	Cl	COOCH ₂ CH ₃	
39.022	Cl	Cl	Br	
39.023	Cl	Cl	I	
39.024	F	H	F	
39.025	F	F	H	
39.026	F	Cl	OCH(CH ₃) ₂	solid

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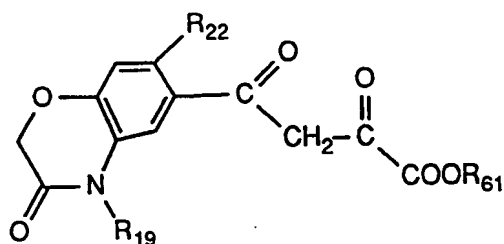
Table 40: Compounds of the formula IIIi 

(IIIi)

Compound No.	R ₆₁	R ₂₂	R ₁₉	Physical data
40.001	H	H	CH(CH ₃) ₂	m.p. 172°C
40.002	H	F	CH(CH ₃) ₂	
40.003	H	Cl	CH(CH ₃) ₂	
40.004	CH ₃	H	CH(CH ₃) ₂	
40.005	CH ₃	F	CH(CH ₃) ₂	
40.006	CH ₃	Cl	CH(CH ₃) ₂	
40.007	CH ₂ CH ₃	H	CH(CH ₃) ₂	
40.008	CH ₂ CH ₃	F	CH(CH ₃) ₂	
40.009	CH ₂ CH ₃	Cl	CH(CH ₃) ₂	
40.010	H	H	CH ₂ CH=CH ₂	
40.011	H	F	CH ₂ CH=CH ₂	
40.012	H	Cl	CH ₂ CH=CH ₂	
40.013	CH ₃	H	CH ₂ CH=CH ₂	
40.014	CH ₃	F	CH ₂ CH=CH ₂	
40.015	CH ₃	Cl	CH ₂ CH=CH ₂	
40.016	H	H	H	
40.017	H	F	H	
40.018	H	Cl	H	
40.019	CH ₃	H	H	
40.020	CH ₃	F	H	
40.021	CH ₃	Cl	H	

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Table 41: Compounds of the formula IIb

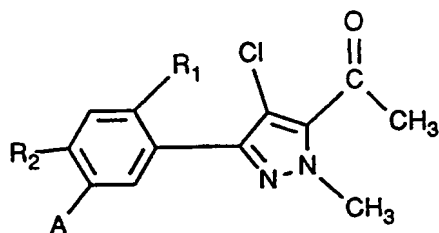


(IIb)

Compound No.	R ₆₁	R ₂₂	R ₁₉	Physical data
41.001	H	H	H	
41.002	H	F	H	
41.003	H	Cl	H	
41.004	H	H	CH ₂ CH=CH ₂	
41.005	H	F	CH ₂ CH=CH ₂	
41.006	H	Cl	CH ₂ CH=CH ₂	
41.007	CH ₃	H	H	m.p. 227-232°C
41.008	CH ₃	F	H	
41.009	CH ₃	Cl	H	
41.010	CH ₃	H	CH ₂ CH=CH ₂	
41.011	CH ₃	F	CH ₂ CH=CH ₂	
41.012	CH ₃	Cl	CH ₂ CH=CH ₂	
41.013	CH ₃	H	CH ₂ C≡CH	m.p. 166-168°C
41.014	CH ₃	F	CH ₂ C≡CH	
41.015	CH ₃	Cl	CH ₂ C≡CH	
41.016	CH ₃	H	CH ₂ COOH	
41.017	CH ₃	F	CH ₂ COOH	
41.018	CH ₃	Cl	CH ₂ COOH	

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Table 42: Compounds of the formula Ihh



(Ihh)

Compound No.	R ₁	R ₂	A	Physical data
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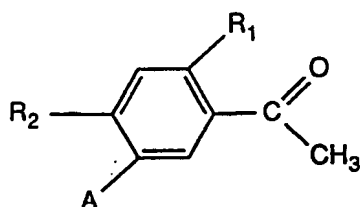
42.001	H	Cl	COOH	
42.002	H	Cl	COOCH ₃	
42.003	F	Cl	COOH	
42.004	F	Cl	COOCH ₃	
42.005	H	Cl	COOCH(CH ₃) ₂	
42.006	F	Cl	COOCH(CH ₃) ₂	
42.007	Cl	Cl	NO ₂	
42.008	Cl	Cl	NH ₂	
42.009	Cl	Cl	NH(SO ₂ CH ₃)	
42.010	Cl	Cl	N(SO ₂ CH ₃) ₂	
42.011	F	Cl	OH	
42.012	F	Cl	OCH ₃	
42.013	F	Cl	OCH(CH ₃) ₂	resin
42.014	F	Cl	OCH ₂ C≡CH	
42.015	F	Cl	OCH(CH ₃)C≡CH	
42.016	F	Cl	COSCH(CH ₃) ₂	
42.017	Cl	Cl	COOH	
42.018	F	Cl	COOCH ₂ CH=CH ₂	
42.019	F	Cl	COOCH ₂ CH ₃	
42.020	F	Cl	COOC(CH ₃) ₂ COOCH ₂ CH ₃	
42.021	F	Cl	COOC(CH ₃) ₂ COOH	
42.022	F	Cl	COOCH(CH ₃)COOCH ₃	
42.023	F	Cl	COOCH ₂ COOH	
42.024	F	Cl	Br	

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Compound No.	R ₁	R ₂	A	Physical data
42.025	F	Cl	I	
42.026	F	Cl	NO ₂	
42.027	F	Cl	NH ₂	
42.028	F	Cl	N(SO ₂ CH ₃) ₂	
42.029	F	Cl	NHSO ₂ CH ₃	
42.030	F	Cl	SH	
42.031	F	Cl	SCH ₃	
42.032	F	Cl	SCH(CH ₃)COOCH ₂ CH ₃	
42.033	F	Cl	OCH(CH ₃)COOH	
42.034	F	Cl	OCH(CH ₃)COOCH ₂ CH=CH ₂	

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Table 43: Compounds of the formula IIIvv



(IIIvv)

Compound No.	R ₁	R ₂	A	Physical data
43.001	F	NO ₂	H	
43.002	F	NO ₂	OH	
43.003	F	NO ₂	OCH ₃	m.p. 111-112°C
43.004	F	NO ₂	OCH ₂ CH=CH ₂	
43.005	F	NO ₂	OCH(CH ₃) ₂	
43.006	F	NO ₂	Cl	
43.007	F	NO ₂	F	oil
43.008	F	NO ₂	NH ₂	
43.009	F	NO ₂	I	
43.010	F	NO ₂	Br	
43.011	F	NO ₂	COOH	
43.012	F	NO ₂	COOCH ₃	
43.013	F	NO ₂	SH	
43.014	F	NO ₂	SCH ₃	
43.015	F	NH ₂	OH	
43.016	F	NH ₂	OCH ₃	solid
43.017	F	NH ₂	SH	
43.018	F	NH ₂	SCH ₃	
43.019	F	NH ₂	COOH	
43.020	F	NH ₂	COOCH ₃	
43.021	F	NH ₂	I	
43.022	F	NH ₂	Br	
43.023	F	Cl	H	oil
43.024	F	Cl	OCH(CH ₃) ₂	solid

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Compound No.	R ₁	R ₂	A	Physical data
43.025	F	Cl	OCH ₂ CH=CH ₂	
43.026	F	Cl	OCH ₂ C≡CH	
43.027	F	Cl	OCOOCH ₃	
43.028	F	Cl	NO ₂	
43.029	F	Cl	COOH	
43.030	F	Cl	COOCH ₃	
43.031	F	Cl	NH ₂	
43.032	F	Cl	I	
43.033	F	Cl	Br	
43.034	F	Cl	COOCH(CH ₃) ₂	
43.035	F	Cl	Cl	
43.036	F	Cl	OCH ₂ COOC ₂ H ₅	
43.037	F	Cl	SH	
43.038	F	Cl	SCH ₃	
43.039	F	Cl	CH ₂ Cl	
43.040	F	Br	COOH	
43.041	F	Br	COOCH ₃	
43.042	F	Br	OH	
43.043	F	Br	OCH ₃	
43.044	F	Br	NH ₂	
43.045	F	Br	NO ₂	
43.046	F	Br	H	
43.047	F	Br	SH	
43.048	F	Br	SCH ₃	
43.049	F	Br	SO ₂ Cl	
43.050	F	Br	CH ₂ Cl	
43.051	F	Br	COOH	
43.052	F	Br	COOCH ₃	
43.053	F	Br	OH	
43.054	F	Br	OCH ₃	
43.055	F	Br	NO ₂	
43.056	F	Br	SH	

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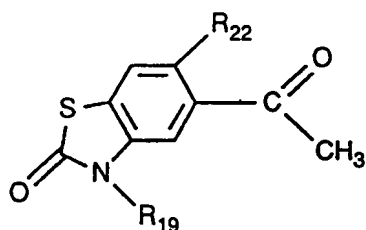
Compound No.	R ₁	R ₂	A	Physical data
43.057	F	Br	SCH ₃	
43.058	F	Br	CH ₂ Cl	
43.059	F	OCHF ₂	H	
43.060	F	OCF ₃	H	
43.061	F	OH	OH	
43.062	F	-O-CF ₂ -O-		
43.063	F	OH	OCH ₃	
43.064	F	OH	COOH	
43.065	F	OH	COOCH ₃	
43.066	F	OH	SCH ₃	
43.067	F	OCH ₃	SH	
43.068	H	OH	OH	
43.069	F	OH	NO ₂	
43.070	F	OCH ₃	NH ₂	
43.071	F	OH	NH ₂	
43.072	F	OCH ₃	COOH	
43.073	F	OCH ₃	NO ₂	
43.074	F	OCH ₃	COOCH ₃	
43.075	F	OCH ₃	NH ₂	
43.076	F	OCH ₃	I	
43.077	F	OCH ₃	SH	
43.078	F	OCF ₃	COOH	
43.079	F	OCF ₃	SH	
43.080	F	OCF ₃	OH	
43.081	F	OCHF ₂	OH	
43.082	F	OCHF ₂	COOH	
43.083	F	OCHF ₂	SH	
43.084	F	CF ₃	NO ₂	
43.085	F	CF ₃	NH ₂	
43.086	F	CF ₃	OH	
43.087	F	CF ₃	COOH	
43.088	F	CF ₃	SH	

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Compound No.	R ₁	R ₂	A	Physical data
43.089	F	CF ₃	SCH ₃	
43.090	F	CF ₃	OCH ₃	
43.091	F	CF ₃	COOCH ₃	
43.092	F	CN	OH	
43.093	F	CN	SH	
43.094	F	CN	OCH ₃	
43.095	F	CN	SCH ₃	
43.096	F	CN	NH ₂	
43.097	F	CN	NO ₂	
43.098	F	CN	COOH	
43.099	F	CN	COOCH ₃	
43.100	Cl	Cl	Br	
43.101	Cl	Cl	I	
43.102	Cl	Cl	COOH	
43.103	Cl	Cl	COOCH ₃	
43.104	Cl	Cl	COOCH ₂ CH ₃	
43.105	Cl	Cl	OCH ₃	
43.106	Cl	Cl	OH	
43.107	Cl	Cl	SCH ₃	
43.108	Cl	Cl	SH	
43.109	F	Cl	OCH ₃	solid
43.110	F	Cl	NO ₂	
43.111	Cl	Cl	NO ₂	solid

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Table 44: Compounds of the formula IIIa

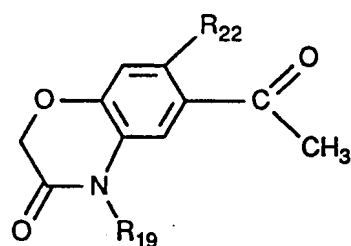


(IIIa)

Compound No.	R ₂₂	R ₁₉	Physical data
44.001	H	H	m.p. 197-199°C
44.002	F	H	m.p. 248-250°C
44.003	Cl	H	
44.004	H	CH(CH ₃) ₂	
44.005	F	CH(CH ₃) ₂	m.p. 194-196°C
44.006	Cl	CH(CH ₃) ₂	
44.007	H	CH ₂ CH=CH ₂	
44.008	F	CH ₂ CH=CH ₂	
44.009	Cl	CH ₂ CH=CH ₂	
44.010	H	CH ₂ COOH	
44.011	F	CH ₂ COOH	
44.012	Cl	CH ₂ COOH	
44.013	H	CH ₂ COOCH ₃	
44.014	F	CH ₂ COOCH ₃	
44.015	Cl	CH ₂ COOCH ₃	
44.016	H	CH ₂ C≡CH	
44.017	F	CH ₂ C≡CH	
44.018	Cl	CH ₂ C≡CH	
44.019	H	CH ₂ COOH	
44.020	F	CH ₂ COOH	
44.021	Cl	CH ₂ COOH	
44.022	H	CH(CH ₃)COOC ₂ H ₅	m.p. 85-87°C

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Table 45: Compounds of the formula IIIb



(IIIb)

Compound No.	R ₂₂	R ₁₉	Physical data
45.001	H	H	
45.002	F	H	
45.003	Cl	H	
45.004	H	CH ₂ CH=CH ₂	
45.005	F	CH ₂ CH=CH ₂	
45.006	Cl	CH ₂ CH=CH ₂	
45.007	H	CH ₂ COOH	
45.008	F	CH ₂ COOH	
45.009	Cl	CH ₂ COOH	
45.010	H	CH ₂ COOCH ₃	
45.011	F	CH ₂ COOCH ₃	
45.012	Cl	CH ₂ COOCH ₃	
45.013	H	CH ₂ C≡CH	m.p. 123-125°C
45.014	F	CH ₂ C≡CH	
45.015	Cl	CH ₂ C≡CH	

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Formulation examples for active ingredients of the formula I(% = percent by weight)F1. Emulsion concentrates

	a)	b)	c)	d)
Active ingredient according to Tables 1-18 and 23-31	5 %	10 %	25 %	50 %
Calcium dodecylbenzenesulfonate	6 %	8 %	6 %	8 %
Castor oil polyglycol ether (36 mol of EO)	4 %	-	4 %	4 %
Octylphenol polyglycol ether (7-8 mol of EO)	-	4 %	-	2 %
Cyclohexanone	-	-	10 %	20 %
Aromatic C ₉ -C ₁₂ hydrocarbon mixture	85 %	78 %	55 %	16 %

Emulsions of any desired concentration can be prepared from such concentrates by dilution with water.

F2. Solutions

	a)	b)	c)	d)
Active ingredient according to Tables 1-18 and 23-31	5 %	10 %	50 %	90 %
1-Methoxy-3-(3-methoxy-propoxy)- propane	-	20 %	20 %	-
Polyethylene glycol MW 400	20 %	10 %	-	-
N-Methyl-2-pyrrolidone	-	-	30 %	10 %
Aromatic C ₉ -C ₁₂ hydrocarbon mixture	75 %	60 %	-	-

The solutions are suitable for use in the form of tiny drops.

F3. Wettable powders

	a)	b)	c)	d)
Active ingredient according to Tables 1-18 and 23-31	5 %	25 %	50 %	80 %

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Sodium ligninsulfonate	4 %	-	3 %	-
Sodium lauryl sulfate	2 %	3 %	-	4 %
Sodium diisobutyl-naphthalenesulfonate	-	6 %	5 %	6 %
Octylphenol polyglycol ether (7-8 mol of EO)	-	1 %	2 %	-
Highly disperse silicic acid	1 %	3 %	5 %	10 %
Kaolin	88 %	62 %	35 %	-

The active ingredient is mixed thoroughly with the additives and the mixture is ground thoroughly in a suitable mill. Wettable powders which can be diluted with water to give suspensions of any desired concentration are obtained.

F4. Coated granules

a) b) c)

Active ingredient according to

Tables 1-18 and 23-31

0.1 % 5 % 15 %

Highly disperse silicic acid

0.9 % 2 % 2 %

Inorganic carrier material

99.0 % 93 % 83 %

(Ø 0.1 - 1 mm)

for example CaCO₃ or SiO₂

The active ingredient is dissolved in methylene chloride and sprayed onto the carrier and the solvent is then evaporated off in vacuo.

F5. Coated granules

a) b) c)

Active ingredient according to

Tables 1-18 and 23-31

0.1 % 5 % 15 %

Polyethylene glycol MW 200

1.0 % 2 % 3 %

Highly disperse silicic acid

0.9 % 1 % 2 %

Inorganic carrier material

98.0 % 92 % 80 %

(Ø 0.1 - 1 mm)

for example CaCO₃ or SiO₂

The finely ground active ingredient is uniformly applied, in a mixer, to the carrier material

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which has been moistened with polyethylene glycol. Dust-free coated granules are obtained in this manner.

F6. Extruded granules

	a)	b)	c)	d)
Active ingredient according to Tables 1-18 and 23-31	0.1 %	3 %	5 %	15 %
Sodium ligninsulfonate	1.5 %	2 %	3 %	4 %
Carboxymethylcellulose	1.4 %	2 %	2 %	2 %
Kaolin	97.0 %	93 %	90 %	79 %

The active ingredient is mixed with the additives and the mixture is ground and moistened with water. This mixture is extruded and then dried in a stream of air.

F7. Dusts

	a)	b)	c)
Active ingredient according to Tables 1-18 and 23-31	0.1 %	1 %	5 %
Talc	39.9 %	49 %	35 %
Kaolin	60.0 %	50 %	60 %

Ready-to-use dusts are obtained by mixing the active ingredient with the carriers and grinding the mixture on a suitable mill.

F8. Suspension concentrates

	a)	b)	c)	d)
Active ingredient according to Tables 1-18 and 23-31	3 %	10 %	25 %	50 %
Ethylene glycol	5 %	5 %	5 %	5 %
Nonylphenol polyglycol ether (15 mol of EO)	-	1 %	2 %	-
Sodium ligninsulfonate	3 %	3 %	4 %	5 %
Carboxymethylcellulose	1 %	1 %	1 %	1 %
37% aqueous formaldehyde solution	0.2 %	0.2 %	0.2 %	0.2 %
Silicone oil emulsion	0.8 %	0.8 %	0.8 %	0.8 %

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Water	87 %	79 %	62 %	38 %
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The finely ground active ingredient is intimately mixed with the additives. A suspension concentrate is thus obtained, from which suspensions of any desired concentration can be prepared by dilution with water.

Biological examples

Example B1: Herbicidal action before emergence of the plants (pre-emergence)

Monocotyledonous and dicotyledonous test plants are sown in sandy soil in plastic pots. Immediately after sowing, the test substances are sprayed on in an aqueous suspension prepared from a 25 % wettable powder (Example F3, b)), corresponding to a dosage of 2000 g of AS/ha (500 l of water/ha). The test plants are then grown in a greenhouse under optimum conditions. After a test period of 3 weeks, the test is evaluated with a nine-level scale of ratings (1 = complete damage, 9 = no action). Rating scores of 1 to 4 (in particular 1 to 3) mean a good to very good herbicidal action.

Test plants: Setaria, Sinapis, Solanum, Stellaria, Ipomoea.

The compounds according to the invention show a good herbicidal action.

Examples of the good herbicidal action are listed in Table B1.

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Table B1: Pre-emergence action:

Test plant: Active ingredient No.	Setaria	Solanum	Stellaria	Ipomoea
1.032	1	1	1	1
1.045	6	1	1	4
4.005	3	1	1	2
6.011	1	1	1	3
6.025	1	1	1	2
6.034	1	1	1	2
6.064	9	1	1	1
6.098	1	1	1	2
6.129	1	1	2	6
6.174	4	1	4	5
6.193	1	1	1	3
11.07	1	1	1	3
12.15	8	1	1	3
15.009	1	1	1	2
15.031	1	1	1	2
42.013	1	1	3	4

The same results are obtained if the compounds of the formula I are formulated according to Examples F1, F2 and F4 to F8.

Example B2: Post-emergence herbicidal action (contact herbicide)

Monocotyledonous and dicotyledonous test plants are grown in a greenhouse in plastic pots with standard soil, and in the 4- to 6-leaf stage are sprayed with an aqueous suspension of the test substances of the formula I prepared from a 25% wettable powder (Example F3, b)), corresponding to a dosage of 2000 g of AS/ha (500 l of water/ha). The test plants are then grown on in a greenhouse under optimum conditions. After a test period of about 18 days, the experiment is evaluated with a nine-level scale of ratings (1 = complete damage, 9 = no action). Rating scores of 1 to 4 (in particular 1 to 3) mean a good to very good herbicidal action.

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In this experiment also, the compounds of the formula I according to the invention show a good herbicidal action.

Table B2 shows examples of the good herbicidal activity of the compounds of the formula I.

Table B2: Post-emergence action:

Test plant: Active ingredient No.	Setaria	Sinapis	Solanum	Stellaria	Ipomoea
1.032	1	2	1	2	1
1.045	3	1	1	1	1
4.005	2	1	1	1	1
6.011	1	1	1	1	1
6.025	1	1	1	1	1
6.034	2	1	1	1	1
6.064	6	2	1	2	1
6.098	1	1	1	1	1
6.129	2	1	1	2	1
6.174	3	1	1	1	1
6.193	2	1	1	1	1
11.07	1	1	1	1	1
12.15	5	1	1	1	1
15.009	1	1	1	1	1
15.031	2	1	1	1	1
42.013	1	3	1	2	1

The same results are obtained if the compounds of the formula I are formulated according to Examples F1, F2 and F4 to F8.

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WHAT IS CLAIMED IS:

1. A compound of the formula I



in which

R_{100} is hydrogen, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_4 - C_8 cycloalkenyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl or cyano, where the groups listed for R_{100} , with the exception of hydrogen and cyano, can be substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 halogenoalkyl, cyano, nitro, $-COR_3$, $-X_3R_{04}$, $-COR_8$, $-NR_{56}R_{57}$ or $-NR_{56}OR_{57}$, in which R_{56} and R_{57} independently of one another are hydrogen, C_1 - C_8 alkyl, C_1 - C_8 halogenoalkyl, C_3 - C_8 alkenyl, C_3 - C_8 halogenoalkenyl, C_3 - C_8 alkynyl, C_1 - C_4 alkoxy- C_1 - C_8 alkyl, cyano- C_1 - C_8 alkyl, C_1 - C_8 alkoxycarbonyl- C_1 - C_4 alkyl, C_3 - C_7 cycloalkyl, C_3 - C_7 cycloalkyl- C_1 - C_4 alkyl, benzyl, C_1 - C_4 alkyl which is substituted by -N-morpholino, -N-thiomorpholino or -N-piperazino, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, C_1 - C_4 alkylamino-carbonyl- C_1 - C_4 alkyl, di- C_1 - C_4 alkylaminocarbonyl- C_1 - C_4 alkyl, C_1 - C_4 alkoxycarbonyl or C_1 - C_4 alkylcarbonyl; or

R_{56} and R_{57} together complete a 5-, 6- or 7-membered carbo- or heterocyclic ring;

R_3 is halogen, $-X_4-R_5$, amino, C_1 - C_4 alkylamino, di- C_1 - C_4 alkylamino, C_2 - C_4 -halogenoalkylamino, di- C_2 - C_4 halogenoalkylamino, C_1 - C_4 alkoxy- C_2 - C_4 alkylamino, di- C_1 - C_4 alkoxy- C_2 - C_4 alkylamino, C_3 - or C_4 alkenylamino, diallylamino, -N-pyrrolidino, -N-piperidino, -N-morpholino, -N-thiomorpholino, -N-piperazino or $-O-N=C(CH_3)-CH_3$; in which

X_4 is oxygen or sulfur; and

R_5 is hydrogen, C_1 - C_{10} alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_2 - C_8 halogenoalkyl, C_1 - C_8 -alkylthio- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, cyano- C_1 - C_8 alkyl, C_3 - C_8 alkenyl, C_3 - C_8 halogenoalkenyl, C_3 - C_8 alkynyl, C_3 - C_7 cycloalkyl, oxetan-3-yl, C_3 - C_7 -cycloalkyl- C_1 - C_4 alkyl, halogeno- C_3 - C_7 cycloalkyl or benzyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_1 - C_4 halogenoalkoxy or C_1 - C_4 alkoxy; alkali

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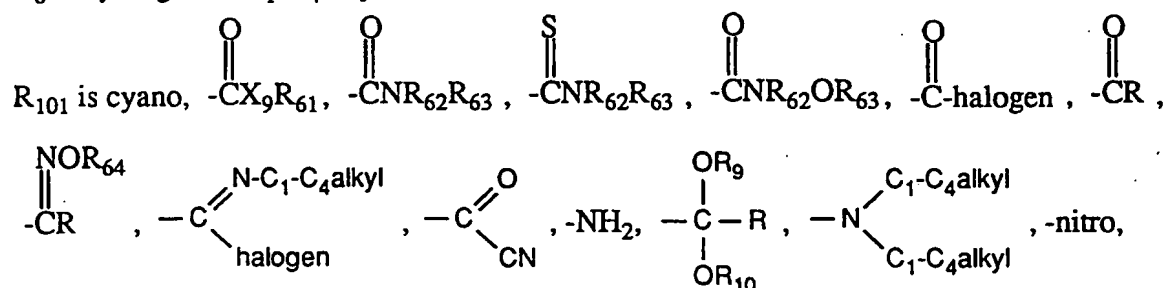
metal, alkaline earth metal or ammonium ions; or C_1 - C_6 alkyl-COOR₇, in which

R₇ is hydrogen, C_1 - C_6 alkyl, C_3 - C_8 alkenyl, C_3 - C_8 alkynyl, C_1 - C_8 alkoxy- C_2 - C_8 alkyl, C_1 - C_8 alkylthio- C_1 - C_8 alkyl or C_3 - C_7 cycloalkyl;

R₀₄ is hydrogen, C_1 - C_6 alkyl, C_1 - C_6 cyanoalkyl, C_2 - C_7 alkoxycarbonyl or oxetan-3-yl;

X₃ is oxygen or sulfur;

R₈ is hydrogen or C_1 - C_4 alkyl;



--NH-CHO or --NC , in which

X₉ is oxygen or sulfur;

R₆₁ is defined as R₅;

R₆₂ and R₆₃ independently of one another are defined as R₅₆;

halogen is fluorine, chlorine or bromine;

R is hydrogen, C_1 - C_4 alkyl or trifluoromethyl;

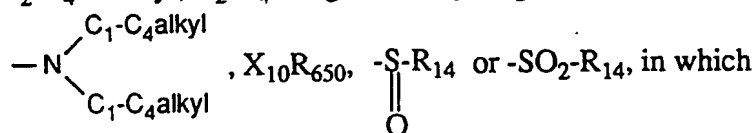
R₆₄ is hydrogen, C_1 - C_{10} alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_1 - C_4 alkylthio- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, C_1 - C_8 halogenoalkyl, C_2 - C_8 alkenyl, C_2 - C_8 halogenoalkenyl, C_3 - C_8 alkynyl, C_3 - C_7 cycloalkyl, oxetan-3-yl, halogeno- C_3 - C_7 -cycloalkyl, C_1 - C_8 alkylcarbonyl, allylcarbonyl, C_3 - C_7 cycloalkylcarbonyl, benzoyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_1 - C_4 halogenoalkoxy or C_1 - C_4 -alkoxy; C_1 - C_4 alkyl substituted by cyano, nitro, carboxyl, C_1 - C_8 alkylthio- C_1 - C_8 alkoxycarbonyl, phenyl, halogenophenyl, C_1 - C_4 alkylphenyl, C_1 - C_4 alkoxyphenyl, C_1 - C_4 halogenoalkylphenyl, C_1 - C_4 halogenoalkoxyphenyl, C_1 - C_6 alkoxycarbonyl, C_1 - C_4 alkoxy- C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 alkylthiocarbonyl, C_3 - C_8 alkenylthiocarbonyl, C_3 - C_8 alkynylthiocarbonyl, carbamoyl, C_1 - C_4 alkylaminocarbonyl, di- C_1 - C_4 alkylaminocarbonyl; phenylaminocarbonyl, which is unsubstituted or substituted on the phenyl up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_1 - C_4 halogenoalkoxy or C_1 - C_4 -alkoxy or once by cyano or nitro; dioxolan-2-yl, which is unsubstituted or substituted by one or two C_1 - C_4 alkyl radicals; or dioxanyl, which is unsubstituted or substituted by one or two C_1 - C_4 alkyl radicals; and

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R_9 and R_{10} independently of one another are each C_1 - C_4 alkyl, C_2 - C_4 halogenoalkyl or C_2 - C_8 alkoxyalkyl; or

R_9 and R_{10} together are an ethylene-, propylene- or a cyclohexane-1,2-diyl bridge, where these groups can be either unsubstituted or substituted by one or two radicals from the group consisting of C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl or C_1 - C_4 hydroxyalkyl;

R_{102} is hydrogen, halogen, C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_4 halogenoalkyl, cyano, C_2 - C_4 -alkenyl, C_2 - C_4 halogenoalkenyl, C_2 - C_4 alkynyl, C_2 - C_4 halogenoalkynyl, nitro, amino,



X_{10} is oxygen or sulfur;

R_{650} is hydrogen, C_1 - C_6 alkyl or C_1 - C_6 halogenoalkyl; and

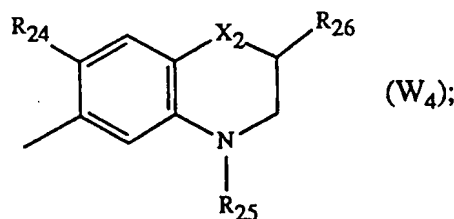
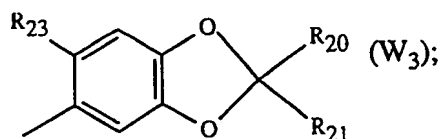
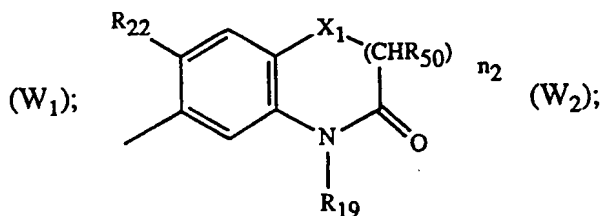
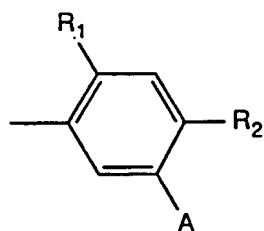
R_{14} is C_1 - C_6 alkyl; C_1 - C_6 halogenoalkyl, C_1 - C_6 alkylamino or di- C_1 - C_4 -alkylamino; and

W is an aromatic system, where phenyl and 2,4-dichlorophenyl are excluded,

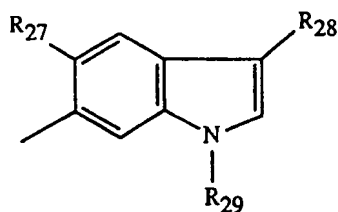
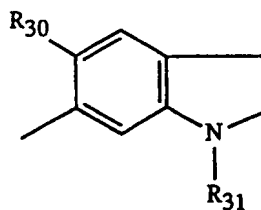
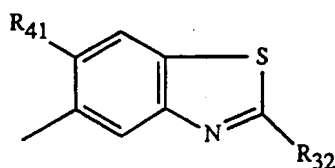
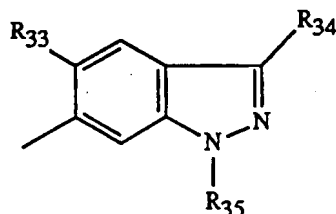
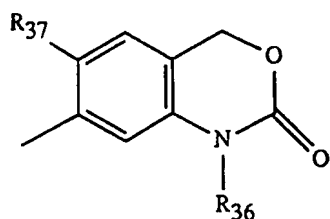
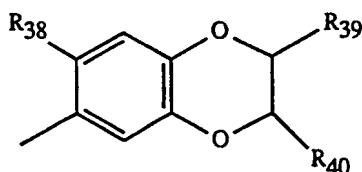
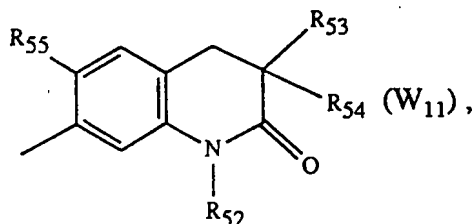
or a pyrazole N-oxide, salt, complex or stereoisomer of a compound of the formula I.

2. A compound according to claim 1, in which

W is a group W_1 to W_{11}



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(W₅);(W₆);(W₇);(W₈);(W₉); or(W₁₀)(W₁₁),

in which

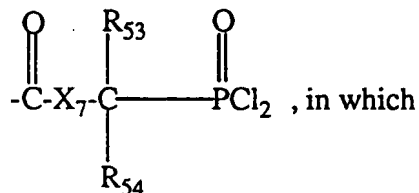
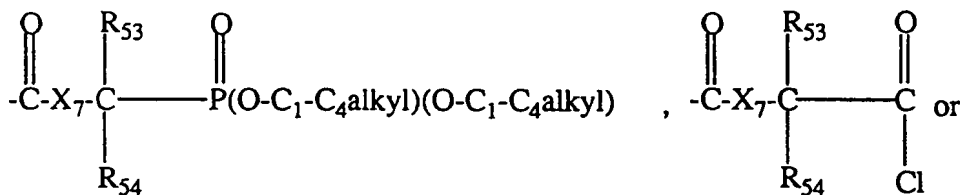
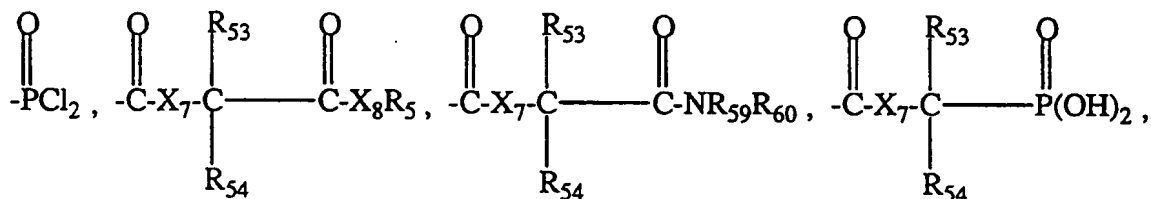
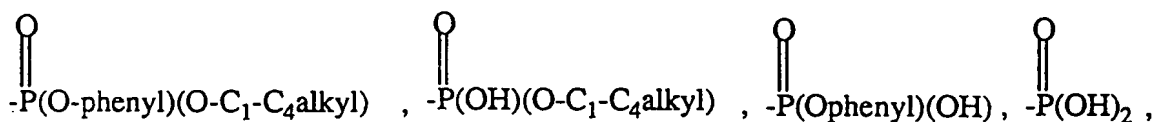
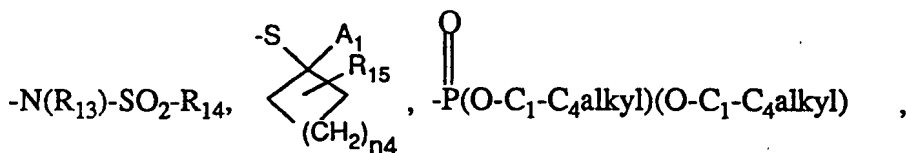
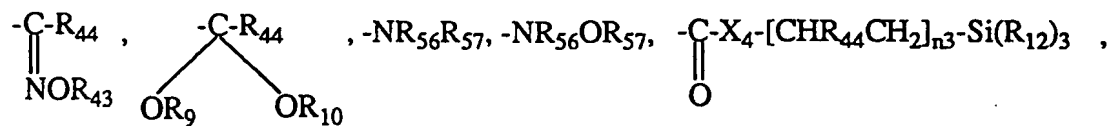
R₁, R₂₂, R₂₃, R₂₄, R₂₇, R₃₀, R₃₃, R₃₇, R₃₈, R₄₁ and R₅₅ independently of one another are hydrogen or halogen;

R₂ is cyano, amino, nitro, halogen, hydroxyl, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄halogenoalkoxy or C₁-C₄halogenoalkyl;

A is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₄-C₈cycloalkenyl, C₃-C₈cycloalkyl-C₁-C₆alkyl, C₄-C₈cycloalkenyl-C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, phenyl, phenyl-C₁-C₆alkyl, phenyl-C₂-C₆alkenyl, phenyl-C₂-C₆alkynyl, 5- or 6-membered heterocyclyl or 5- or 6-membered heterocyclyl-C₁-C₆alkyl, where the radicals listed for A can be substituted by C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, halogen, cyano, nitro, -COR₃, -X₃R₄, $\begin{array}{c} \text{CCN} \\ \parallel \\ \text{NOR}_{42} \end{array}$, -COR₈, $\begin{array}{c} \text{C-R}_{44} \\ \parallel \\ \text{NOR}_{43} \end{array}$, $\begin{array}{c} \text{C-R}_{45} \\ \diagup \quad \diagdown \\ \text{R}_9\text{O} \quad \text{OR}_{10} \end{array}$, -NR₅₆R₅₇

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or $\text{NR}_{56}\text{OR}_{57}$, or A is halogen, cyano, nitro, $-\text{COR}_3$, $-\text{X}_4\text{R}_4$, $-\text{C}(\text{CN})=\text{NOR}_{42}$, $-\text{COR}_{44}$,



R_3 , X_3 , R_8 , R_9 , R_{10} , R_{56} , R_{57} and R_{14} are as defined in claim 1;

R_4 is hydrogen, C_1 - C_{10} alkyl, C_1 - C_4 alkoxy- C_1 - C_4 alkyl, C_1 - C_4 alkylthio- C_1 - C_4 alkyl, di- C_1 - C_4 alkylamino- C_1 - C_4 alkyl, C_1 - C_8 halogenoalkyl, C_2 - C_8 alkenyl, C_2 - C_8 halogenoalkenyl, C_3 - C_8 alkynyl, C_3 - C_7 cycloalkyl, oxetan-3-yl, halogeno- C_3 - C_7 cycloalkyl, C_1 - C_8 alkylcarbonyl, C_1 - C_8 alkoxycarbonyl, allylcarbonyl, $-\text{SO}_2\text{CF}_3$, $-\text{SO}_2\text{C}_6\text{H}_5$, C_3 - C_7 cycloalkylcarbonyl, benzoyl, which is unsubstituted or substituted on the phenyl ring up to three times in an identical or different manner by halogen, C_1 - C_4 alkyl, C_1 - C_4 halogenoalkyl, C_1 - C_4 halogenoalkoxy or C_1 - C_4 alkoxy; C_1 - C_8 alkyl substituted by cyano, nitro, carboxyl, C_1 - C_8 alkylthio- C_1 - C_8 alkoxycarbonyl, phenyl, halogenophenyl,

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C₁-C₄alkylphenyl, C₁-C₄alkoxyphenyl, C₁-C₄halogenoalkylphenyl, C₁-C₄halogenoalkoxyphenyl, C₁-C₆alkoxycarbonyl, C₁-C₄alkoxy-C₁-C₄alkoxyl, C₁-C₄alkoxy-C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkylthiocarbonyl, C₃-C₈alkenylthiocarbonyl, C₃-C₈alkinylthiocarbonyl, carbamoyl, C₁-C₄alkylaminocarbonyl, di-C₁-C₄alkylaminocarbonyl, C₃-C₈alkenylaminocarbonyl, di-C₃-C₈alkenylaminocarbonyl, C₁-C₄alkyl-C₃-C₈alkenylaminocarbonyl, phenyloxycarbonyl or phenyl-C₁-C₈alkyloxycarbonyl, which is unsubstituted or substituted on the phenyl up to three times in an identical or different manner by halogen, C₁-C₄alkyl, cyano, nitro or amino; phenylaminocarbonyl, which is unsubstituted or substituted on the phenyl up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄alkoxy or once by cyano or nitro; dioxolan-2-yl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals; or dioxanyl, which is unsubstituted or substituted by one or two C₁-C₄alkyl radicals;

R₄₃ is defined as R₄;

R₄₄ is hydrogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl or C₁-C₄alkoxy-C₁-C₄alkyl;

R₄₅ is hydrogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl or C₁-C₄alkoxy-C₁-C₄alkyl;

R₄₂ is defined as R₄₃;

X₄ is oxygen, $\begin{array}{c} \text{R}_{13} \\ | \\ \text{---N---} \end{array}$ or sulfur;

n₃ is 0, 1, 2, 3 or 4;

R₁₂ is C₁-C₈alkyl;

R₁₃ is hydrogen, C₁-C₅alkyl, benzyl, C₁-C₄halogenoalkyl, C₃-C₈alkenyl, C₃-C₈alkynyl,

-SO₂R₁₄, -SO₂C₆H₅ or $\text{---SO}_2\text{---}\langle\text{C}_6\text{H}_4\rangle\text{---CH}_3$;

A₁ is cyano or -COR₁₆, in which

R₁₆ is chlorine, -X₅-R₁₇, amino, C₁-C₄alkylamino, di-C₁-C₄alkylamino, C₂-C₄-halogenoalkylamino, di-C₂-C₄halogenoalkylamino, C₁-C₄alkoxyalkylamino, di-C₁-C₄-alkoxyalkylamino, C₃-C₄alkenylamino, diallylamino, -N-pyrrolidino, -N-piperidino, -N-morpholino, -N-thiomorpholino, -N-piperazino, or the group -O-N=C(CH₃)-CH₃, or -N(OR₄₆)-R₆, in which

X₅ is oxygen or sulfur;

R₁₇ is hydrogen, C₁-C₁₀alkyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₂-C₈halogenoalkyl, C₁-C₁₀-alkylthio-C₁-C₄alkyl, di-C₁-C₄alkylamino-C₁-C₄alkyl, cyano-C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈halogenoalkenyl, C₃-C₈alkynyl, C₃-C₇cycloalkyl, C₃-C₇cycloalkyl-C₁-C₄alkyl, halogeno-C₃-C₇cycloalkyl or benzyl, which is unsubstituted or substituted on the phenyl

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ring up to three times in an identical or different manner by halogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₁-C₄halogenoalkoxy or C₁-C₄alkoxy; alkali metal, alkaline earth metal or ammonium ions, or the group -[CHR₄₇-(CH₂)_m]-COOR₄₈ or

-[CHR₄₉-(CH₂)_t-Si(R₁₈)₃];

m is 0, 1, 2, 3 or 4;

t is 0, 1, 2, 3 or 4;

R₁₈ is C₁-C₄alkyl;

R₄₇ and R₄₉ independently of one another are hydrogen or C₁-C₄alkyl; and

R₄₈ is defined as R₇ in claim 1;

R₆ and R₄₆ independently of one another are hydrogen or C₁-C₄alkyl;

n₄ is 0, 1, 2, 3 or 4;

R₁₅ is hydrogen, fluorine, chlorine, bromine, C₁-C₄alkyl or trifluoromethyl;

X₇ is oxygen, sulfur or -NR₈-, in which

R₈ is as defined above;

R₅₃ and R₅₄ independently of one another are hydrogen, C₁-C₄alkyl, C₁-C₄halogenoalkyl, C₂-C₆alkenyl or C₂-C₆alkynyl, or R₅₃ and R₅₄, together with the carbon atom to which they are bonded, form a 3- to 6-membered carbocyclic ring;

X₈ is oxygen or sulfur;

R₅ is as defined in claim 1; and

R₅₉ and R₆₀ independently of one another are defined as R₅₆ in claim 1;

X₁ is oxygen or sulfur;

n₂ is 0, 1, 2, 3 or 4;

R₁₉ is hydrogen, C₁-C₆alkyl, C₂-C₄alkenyl or C₂-C₆alkynyl; halogen-substituted

C₁-C₆alkyl, C₂-C₄alkenyl or C₃-C₆alkynyl; C₁-C₄alkoxy-C₁-C₄alkyl,

C₁-C₄alkoxy-C₁-C₂alkoxy-C₁-C₂alkyl, 1-phenylpropen-3-yl, cyano or

C₃-C₆cycloalkyl-substituted C₁-C₆alkyl; carboxy-C₁-C₄alkyl,

C₁-C₆alkoxycarbonyl-C₁-C₄alkyl, C₂-C₆halogenoalkoxycarbonyl-C₁-C₄alkyl,

C₁-C₄alkoxy-C₁-C₂alkoxycarbonyl-C₁-C₄alkyl,

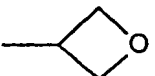
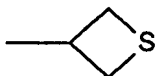
C₁-C₆alkoxycarbonyl-C₁-C₂alkoxycarbonyl-C₁-C₄alkyl,

C₃-C₆cycloalkyl-C₁-C₂alkoxycarbonyl-C₁-C₄alkyl, C₁-C₅alkylaminocarbonyl-C₁-C₄alkyl,

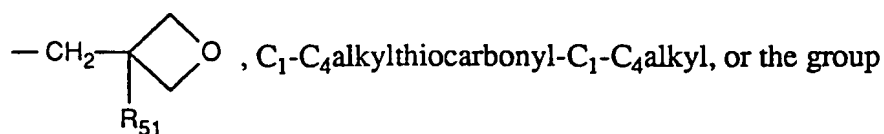
di-C₁-C₄alkylamino-C₁-C₄alkyl, di-C₁-C₅alkylaminocarbonyl-C₁-C₄alkyl,

C₃-C₆cycloalkyl, C₁-C₄alkylthio-C₁-C₄alkyl, benzyl or halogen-substituted benzyl,

C₁-C₄alkylsulfonyl, C₃-C₆alkenyloxy-C₁-C₄alkyl, C₁-C₄alkoxycarbonyl,

C₁-C₈alkylcarbonyl, C₁-C₄alkyl-COO  , C₁-C₄alkyl-COO  ,

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$-\text{[CHR}_{47}\text{-(CH}_2\text{)}_m\text{]COX}_6\text{-CHR}_{47}\text{-(CH}_2\text{)}_m\text{-COOR}_{48}$; in which

R_{47} , R_{48} and m are as defined above;

X_6 is oxygen or sulfur;

R_{51} , R_{50} , R_{26} , R_{28} , R_{32} , R_{34} , R_{39} and R_{40} independently of one another are hydrogen or $\text{C}_1\text{-C}_4\text{alkyl}$;

R_{20} and R_{21} independently of one another are hydrogen, $\text{C}_1\text{-C}_4\text{alkyl}$ or fluorine;

X_2 is oxygen or sulfur;

R_{25} , R_{29} , R_{31} , R_{35} , R_{36} and R_{52} are defined as R_{56} ; and

R_{53} and R_{54} are as defined above.

3. A compound according to claim 1, in which

R_{100} is hydrogen, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkyl}$ substituted by $-\text{COR}_3$, or $\text{C}_3\text{-C}_8\text{cycloalkyl}$, in which

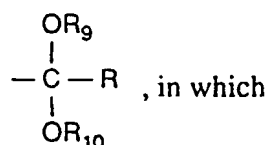
R_3 is $-\text{X}_4\text{-R}_5$, in which

X_4 is oxygen or sulfur, and

R_5 is $\text{C}_1\text{-C}_{10}\text{alkyl}$.

4. A compound according to claim 1, in which

R_{101} is cyano, $-\text{C}(=\text{O})\text{X}_9\text{R}_{61}$, $-\text{C}(=\text{S})\text{NR}_{62}\text{R}_{63}$, $-\text{C}(=\text{O})\text{-halogen}$, $-\text{C}(=\text{O})\text{R}$, $-\text{C}(=\text{NOR}_{64})\text{R}$, $-\text{NH}_2$, -nitro or



X_9 , R_{61} , R_{62} , R_{63} , R , R_{64} , R_9 and R_{10} are as defined in claim 1.

5. A compound according to claim 1, in which

R_{101} is cyano.

6. A compound according to claim 1, in which

R_{102} is hydrogen, halogen, $\text{C}_1\text{-C}_4\text{alkyl}$, $\text{C}_1\text{-C}_4\text{halogenoalkyl}$, cyano or $\text{C}_2\text{-C}_4\text{alkynyl}$.

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7. A compound according to claim 2, in which
W is a group W₁ or W₂.

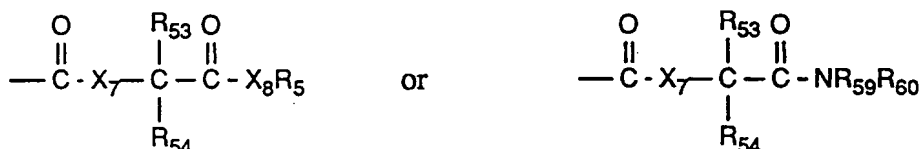
8. A compound according to claim 7, in which, in the group W₁,

R₁ is hydrogen or halogen;

R₂ is cyano, nitro or halogen; and

A is C₁-C₆alkyl or C₂-C₆alkynyl, where these radicals can be substituted by -COR₃,

-X₃R₄,



in which R₃ is -X₄-R₅, in which

X₄ is oxygen or sulfur; and

R₅ is C₁-C₁₀alkyl;

X₃ is oxygen or sulfur;

R₄ is hydrogen, C₁-C₁₀alkyl, C₁-C₄alkyl substituted by cyano, carboxyl or

C₁-C₆alkoxycarbonyl;

X₇ is oxygen or sulfur;

R₅₃ and R₅₄ independently of one another are hydrogen or C₁-C₄alkyl;

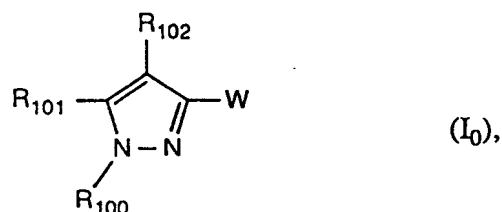
X₈ is oxygen or sulfur;

R₅ is C₁-C₁₀alkyl; and

R₅₉ and R₆₀ independently of one another are hydrogen, C₁-C₈alkyl or

C₁-C₈halogenoalkyl.

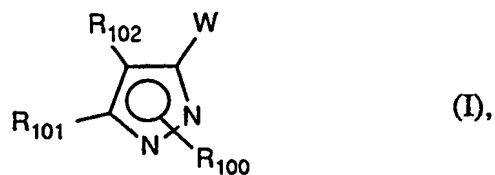
9. A compound according to any one of claims 1 to 8, which has the formula I₀



in which W, R₁₀₀, R₁₀₁ and R₁₀₂ are as defined in claim 1.

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10. A process for the preparation of a compound of the formula I

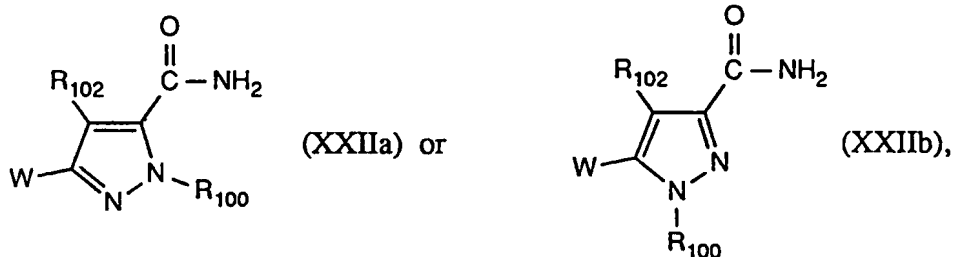


in which

W, R₁₀₀ and R₁₀₂ are as defined in claim 1 and

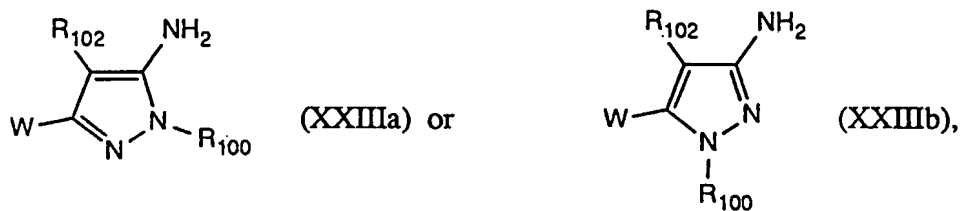
R₁₀₁ is the radical -CN, which comprises

a) dehydrating a compound of the formula XXIIa or XXIIb



in which W, R₁₀₀ and R₁₀₂ are as defined; or

b) first diazotizing a compound of the formula XXIIIa or XXIIIb



in which W, R₁₀₀ and R₁₀₂ are as defined,

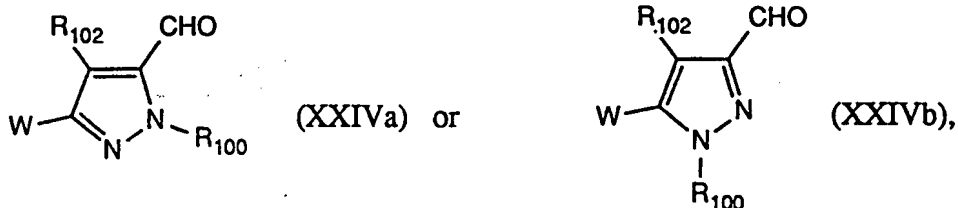
and then reacting the diazonium salt formed with a salt of the formula XXXI

- 188 -



in which M^{\oplus} is an alkali metal, alkaline earth metal or transition metal ion; or

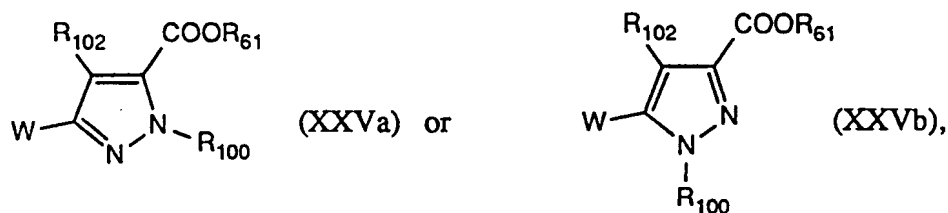
c) reacting a compound of the formula XXIVa or XXIVb



in which W, R_{100} and R_{102} are as defined,

with hydroxylamine and dehydrating the oxime intermediately formed; or

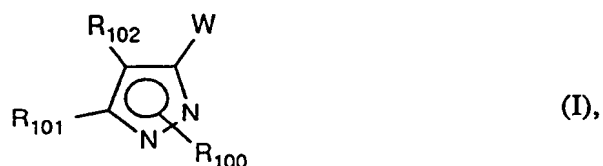
d) reacting a compound of the formula XXVa or XXVb



in which W, R_{61} , R_{100} and R_{102} are as defined in claim 1,

with dimethylaluminium amide in the presence of an inert organic solvent.

11. A process for the preparation of a compound of the formula I

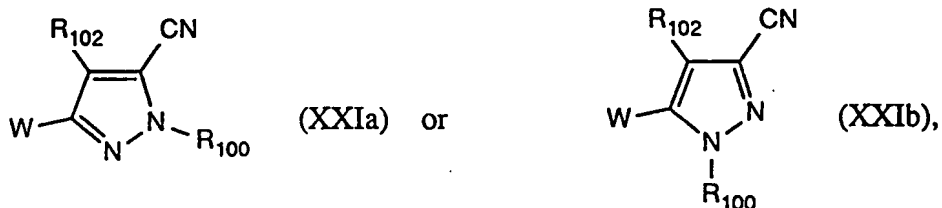


- 189 -

in which W, R₁₀₀ and R₁₀₂ are as defined in claim 1 and

R₁₀₁ is the radical $\begin{array}{c} \text{S} \\ \parallel \\ -\text{C}-\text{NH}_2 \end{array}$
which comprises

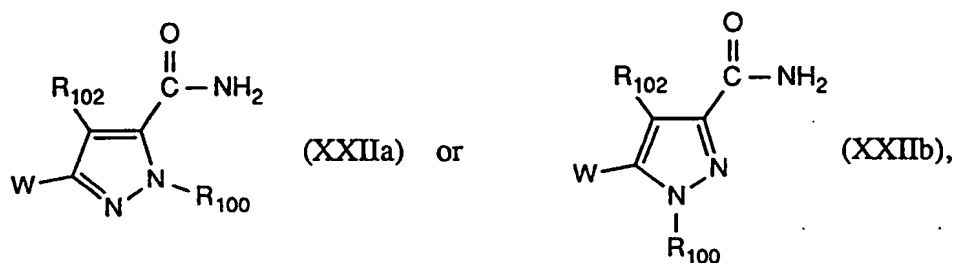
a) reacting a compound of the formula XXIa or XXIb



in which W, R₁₀₀ and R₁₀₂ are as defined,

with hydrogen sulfide in an organic solvent under base catalysis or with a source of hydrogen sulfide under acid catalysis; or

b) reacting a compound of the formula XXIIa or XXIIb



in which W, R₁₀₀ and R₁₀₂ are as defined, with a suitable sulfur reagent in a solvent.

12. A process for the preparation of a compound of the formula I



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in which W is as defined in claim 1;

R₁₀₀ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl;

R₁₀₂ is halogen, in particular chlorine, bromine or iodine; and

R₁₀₁ is the radical -COOR₆₁, where

R₆₁ is C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl,

which comprises starting from a compound of the formula II



in which W and R₆₁ are as defined, and either

a) by converting the compound into the compound of the formula VIII



with hydrazine and subsequently alkylating this in the presence of a compound containing a corresponding C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl group, of the formula XXIXa



or of the formula XXIXb

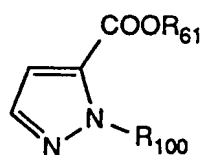


in which, in the compounds of the formulae XXIXa and XXIXb, the radical R₁₀₀ is as defined and

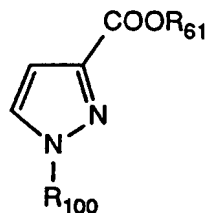
L₁ is a leaving group,

to give the compounds of the formulae IXa and IXb

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(IXa) and



(IXb)

and then chlorinating, brominating or iodinating these; or

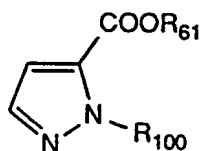
b) cyclizing this compound with the compound of the formula XXX



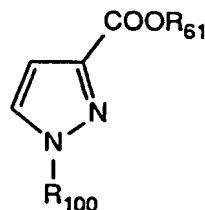
(XXX),

in which R_{100} is as defined,

to give the compounds of the formulae IXa and IXb



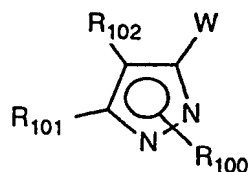
(IXa) and



(IXb)

and then chlorinating, brominating or iodinating these.

13. A process for the preparation of a compound of the formula I



(I),

in which

W is as defined in claim 1;

R_{100} is C_1 - C_6 alkyl, C_3 - C_6 alkenyl or C_3 - C_6 alkynyl;

R_{102} is hydrogen; and

R_{101} is the radical $-\text{CH}(\text{OR}_9)_2$, where

R_9 is C_1 - C_4 alkyl, C_2 - C_4 halogenoalkyl or C_2 - C_8 alkoxyalkyl,

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which comprises cyclizing a compound of the formula V

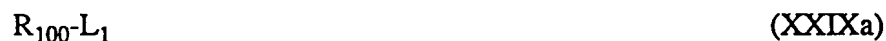


in which W and R₉ are as defined,

a) with hydrazine to give the compound of the formula XII



and then alkylating this in the presence of a compound containing a corresponding C₁-C₆alkyl, C₃-C₆alkenyl or C₃-C₆alkynyl group, of the formula XXIXa



or of the formula XXIXb



in which, in the compounds of the formulae XXIXa and XXIXb, the radical R₁₀₀ is as defined and L₁ is a leaving group; or

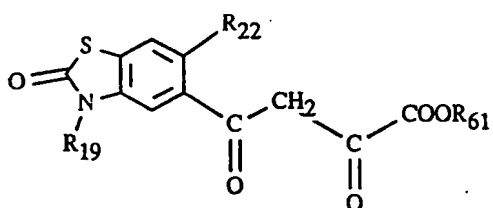
b) with a compound of the formula XXX



in which R₁₀₀ is as defined.

14. A compound of the formula IIa

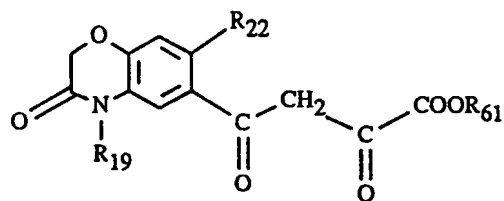
- 193 -



(IIa),

in which R₁₉ is hydrogen, C₁-C₆alkyl or C₂-C₄alkenyl;
 R₂₂ is hydrogen or halogen; and
 R₆₁ is hydrogen or C₁-C₁₀alkyl.

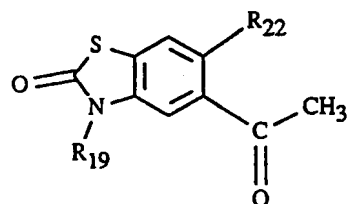
15. A compound of the formula IIb



(IIb),

in which R₁₉ is hydrogen, carboxy-C₁-C₄alkyl, C₂-C₄alkenyl or C₂-C₆alkynyl;
 R₂₂ is hydrogen or halogen; and
 R₆₁ is hydrogen or C₁-C₁₀alkyl.

16. A compound of the formula IIIa

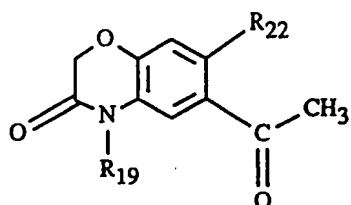


(IIIa),

in which R₁₉ is hydrogen, C₁-C₆alkyl, carboxy-C₁-C₄alkyl,
 C₁-C₆alkoxycarbonyl-C₁-C₄alkyl, C₂-C₄alkenyl or C₂-C₆alkynyl; and
 R₂₂ is hydrogen or halogen.

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17. A compound of the formula IIIb



(IIIb),

in which R₁₉ is hydrogen, carboxy-C₁-C₄alkyl, C₁-C₆alkoxycarbonyl-C₁-C₄alkyl, C₂-C₄alkenyl or C₂-C₆alkynyl; and R₂₂ is hydrogen or halogen.

18. A herbicidal composition which inhibits plant growth, which comprises one or more compounds of the formula I according to claim 1.

19. A composition according to claim 18, which comprises between 0.1 % and 95 % of active ingredient of the formula I according to claim 1.

20. A method of controlling undesirable plant growth, which comprises applying an active amount of an active ingredient of the formula I according to claim 1 or of a composition comprising this active ingredient to the plants or their environment.

21. A method according to claim 20, wherein an amount of active ingredient of between 0.001 and 2 kg per hectare is applied.

22. A method of inhibiting plant growth, which comprises applying an active amount of an active ingredient of the formula I according to claim 1 or of a composition comprising this active ingredient to the plants or their environment.

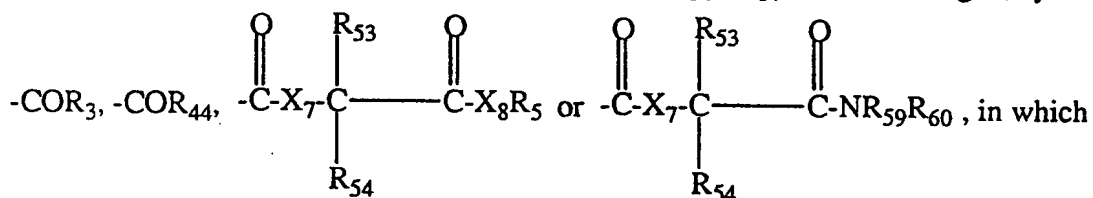
23. The use of a composition according to claim 18 for selectively controlling weeds in crops of useful plants.

24. Compounds according to the claims 1, 2 and 7 to 9 wherein W is the group W₁; and R₁ is fluorine.

25. Compounds according to the claims 1, 2 and 7 to 9 wherein W is the group W_1 ; and R_1 is hydrogen.

26. Compounds according to the claims 1, 2, 7 and 9 wherein W is the group W_1 ; R_1 is chlorine; A is $-X_4R_4$, $-NR_{56}R_{57}$, $-NR_{56}OR_{57}$ or $-N(R_{13})-SO_2-R_{14}$; X_4 is oxygen or sulfur; R_4 and R_{13} are as defined in claim 2; and R_{14} , R_{56} and R_{57} are as defined in claim 1, with the proviso that R_{57} may not be C_1 - C_8 alkoxycarbonyl- C_1 - C_4 alkyl if R_{56} is hydrogen.

27. Compounds according to the claims 1, 2, 7 and 9 wherein W is the group W_1 ; R_1 is chlorine; A is C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_4 - C_8 cycloalkenyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl, phenyl- C_2 - C_6 alkenyl, phenyl- C_2 - C_6 alkynyl, 5- or 6-membered heterocyclyl or 5- or 6-membered heterocyclyl- C_1 - C_6 alkyl, where the radicals listed for A can be substituted by C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, halogen, cyano, nitro, $-COR_3$, $-X_3R_4$, $-COR_8$, $-NR_{56}R_{57}$ or $-NR_{56}OR_{57}$, or A is halogen, cyano,



R_3 to R_5 , R_8 , R_{44} , R_{53} , R_{54} , R_{56} , R_{57} , R_{59} , R_{60} , X_3 , X_7 and X_8 are as defined in claim 2.

28. Compounds according to the claims 1 to 6 and 9 wherein R_{100} is methyl; R_{101} is cyano; R_{102} is bromine, and W is as defined in claim 2.

29. Compounds according to the claims 1, 2, 4 and 9 wherein R_{101} is $\begin{array}{c} S \\ \vdots \\ -\ddot{C}-NR_{62}R_{63} \end{array}$ wherein R_{62} and R_{63} are as defined in claim 1.

30. Compounds according to the claims 1, 2 and 9 wherein W is a group selected from W_2 to W_{11} .

31. Compounds according to the claims 1, 2 and 9 wherein R_{100} is hydrogen, C_2 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_4 - C_8 cycloalkenyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl or cyano, where the groups listed for R_{100} , with the exception of hydrogen and cyano, can be substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 halogenoalkyl, cyano, nitro, $-COR_3$, $-X_3R_{04}$, $-COR_8$, $-NR_{56}R_{57}$

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or $-NR_{56}OR_{57}$, in which R_3 , R_{04} , R_8 , R_{56} , R_{57} and X_3 are as defined in claim 1.

32. Compounds according to the claims 1, 2, 9 and 31 wherein R_{100} is C_2 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_4 - C_8 cycloalkenyl, C_4 - C_8 cycloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl, phenyl, phenyl- C_1 - C_6 alkyl or cyano, where the groups listed for R_{100} , with the exception of cyano, can be substituted by halogen, C_1 - C_6 alkyl, C_1 - C_6 halogenoalkyl, cyano, nitro, $-COR_3$, $-X_3R_{04}$, $-COR_8$, $-NR_{56}R_{57}$ or $-NR_{56}OR_{57}$, in which R_3 , R_{04} , R_8 , R_{56} , R_{57} and X_3 are as defined in claim 1.

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D231/16 A01N43/56 C07D231/12 C07D231/14 C07D413/04
C07D417/04 C07D265/36 C07D277/68

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CHEMICAL ABSTRACTS, vol. 115, no. 9, 2 September 1991, Columbus, Ohio, US; abstract no. 92260d, J. MIURA ET AL. 'Preparation of 3- or 5-phenylpyrazole derivatives as herbicides.' page 765 ;column 2 ; cited in the application see abstract & JP,A,03 093 774 (NIHON NOHYAKU CO., LTD.) 18 April 1991 --- -/--	1-9, 18-32

☒ Further documents are listed in the continuation of box C.

☐ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

12 October 1995

Date of mailing of the international search report

19. 10. 95

Name and mailing address of the ISA

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Authorized officer

Fink, D

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CHEMICAL ABSTRACTS, vol. 114, no. 17, 29 April 1991, Columbus, Ohio, US; abstract no. 164226b, Y. MIURA ET AL. 'Preparation of 3- or 5-phenylpyrazole derivatives as herbicides.' page 779 ;column 2 ; cited in the application see abstract & JP,A,02 300 173 (NIHON NOHYAKU CO., LTD.) 12 December 1990 ---	1-9, 18-32
P,X	CHEMICAL ABSTRACTS, vol. 121, no. 7, 15 August 1994, Columbus, Ohio, US; abstract no. 76197g, T. OBATA ET AL. 'Preparation of 2-acylamino-2-thiazolines and their use as pesticides.' page 381 ;column 1 ; see compound no. III & JP,A,06 065 239 (UBE INDUSTRIES) 8 March 1994 ---	1,3,4,6, 9
X	---	1,3,4,6, 9
X	CHEMICAL ABSTRACTS, vol. 112, no. 19, 7 May 1990, Columbus, Ohio, US; abstract no. 178770v, M.K. BERNARD ET AL. 'Azoles. Part 25. Isomeric 3- and 5-aminopyrazole derivatives.' page 749 ;column 1 ; see abstract & PHARMAZIE, vol.44, no.8, 1989 pages 535 - 539 ---	1-4,6-9
X	CHEMICAL ABSTRACTS, vol. 112, no. 3, 15 January 1990, Columbus, Ohio, US; abstract no. 21206t, M. GOMEZ-GUILLEN ET AL. 'New pentahydroxypentylpyrazoles from the reaction of D-mannose and D-galactose methylhydrazones with nitroalkenes.' page 528 ;column 1 ; see abstract; and Chemical Abstracts, CHEMICAL SUBSTANCES, 12th Collective Index, vol. 106-115, 1987-1991, pages 78343CS and 78428CS: RN [124344-96-3] and [124344-99-6] & CARBOHYDR. RES., no.189, 1989 pages 349 - 358 ---	1-4,6,7

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CHEMICAL ABSTRACTS, vol. 102, no. 25, 24 June 1985, Columbus, Ohio, US; abstract no. 220868d, J.R. BECK ET AL. 'Prazole derivatives.' page 594 ;column 1 ; see abstract; and Chemical Abstracts, CHEMICAL SUBSTANCES, 11th Collective Index, vol. 96-105, 1982-1986, pages 58069CS, 58070CS and 58071CS: RN [93618-33-8], [93660-30-1], [93618-36-1], [93618-54-3], [93618-34-9], [93618-52-1] and [93618-4-6] & DD,A,210 265 (LILLY, ELI AND CO.) 6 June 1984 ---	1-4,6,7, 9
X	CHEMICAL ABSTRACTS, vol. 69, no. 15, 7 October 1968, Columbus, Ohio, US; abstract no. 59155g, I.I GRANDBERG ET AL. 'Pyrazoles. LXII. The synthesis of 5-aminopyrazole series with potential physiological activity.' page 5530 ;column 1 ; see 2nd table & KHIM.-FARM. ZH., vol.2, no.1, 1968 pages 16 - 22 ---	1-4,6,7, 9
X	CHEMICAL ABSTRACTS, vol. 102, no. 9, 4 March 1985, Columbus, Ohio, US; abstract no. 78877k, T. TAKAYA ET AL. 'Imidazo-heterocyclic compounds, and pharmaceutical composition comprising them.' page 600 ;column 1 ; see abstract; and Chemical Abstracts, CHEMICAL SUBSTANCES; 11th Collective Index, vol. 96-105, 1982-1986, page 11970CS: RN: [90348-03-1] and [94662-43-8] & EP,A,0 120 589 (FUJISAWA PHARMACEUTICAL CO., LTD.) 3 October 1984 ---	16

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>CHEMICAL ABSTRACTS, vol. 101, no. 7, 13 August 1984, Columbus, Ohio, US; abstract no. 55016u, D.R. SHRIDHAR ET AL. 'Synthesis and pharmacology of some new oxime ethers and alkanoic acid derivatives derived from 6-acetyl-2H-1,4-benzoxazin- and benzothiazine -3(4H)-ones.' page 616 ;column 1 ; see abstract; and Chemical Abstracts, CHEMICAL SUBSTANCES, 11th Collective Index, vol. 96-105, 1982-1986, pages 12117CS and 12124CS: RN [91119-98-1], [91119-97-0], [91119-94-7], [91119-93-6], [91119-95-8] and [26518-71-8] & INDIAN J. CHEM., SECT. B, vol.22B, no.12, 1983 pages 1236 - 1242 -----</p>	17

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Claims searched incompletely: 1-13, 18-27, 29-32

Please see attached sheet ./.

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/

The novelty search on the final compounds of general formula (I) revealed a vast amount of novelty-destroying compounds with respect to claim 1 of the present application.

Therefore, the search and the search report - as far as the novelty of the final compounds of formula (I) is concerned - had to be limited (for economical reasons; cf. Guidelines for the Examination in the EPO; Part B, Chapter III, item 2) to the following compounds of formula (I) of claim 1, wherein:

R_{100} = methyl;
 R_{101} = as defined by claim 1;
 R_{102} = H, Hal; and
 W = one of $W_1 - W_{11}$ (cf. present claim 2);

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
JP-A-03093774	18-04-91	NONE	
JP-A-02300173	12-12-90	NONE	
JP-A-06065239	08-03-94	NONE	
DD-A-210265		NONE	
EP-A-0120589	03-10-84	AU-B- 2482284	30-08-84
		DE-A- 3471936	14-07-88
		JP-C- 1845413	25-05-94
		JP-A- 59186983	23-10-84
		US-A- 4621084	04-11-86